Trying 3106016892...Open

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Dec 17	The CA Lexicon available in the CAPLUS and CA files
NEWS 3	Feb 06	Engineering Information Encompass files have new names
NEWS 4	Feb 16	TOXLINE no longer being updated
NEWS 5	Apr 23	Search Derwent WPINDEX by chemical structure
NEWS 6	Apr 23	PRE-1967 REFERENCES NOW SEARCHABLE IN CAPLUS AND CA
NEWS 7	May 07	DGENE Reload
NEWS 8	Jun 20	Published patent applications (A1) are now in USPATFULL
NEWS 9	JUL 13	New SDI alert frequency now available in Derwent's DWPI and DPCI
NEWS 10	Aug 23	In-process records and more frequent updates now in MEDLINE
NEWS 11	Aug 23	PAGE IMAGES FOR 1947-1966 RECORDS IN CAPLUS AND CA
NEWS 12	Aug 23	Adis Newsletters (ADISNEWS) now available on STN
NEWS 13	Sep 17	IMSworld Pharmaceutical Company Directory name change to PHARMASEARCH
NEWS 14	Oct 09	Korean abstracts now included in Derwent World Patents Index
NEWS 15	Oct 09	Number of Derwent World Patents Index updates increased
NEWS 16	Oct 15	Calculated properties now in the REGISTRY/ZREGISTRY File
NEWS 17	Oct 22	Over 1 million reactions added to CASREACT
NEWS 18	Oct 22	DGENE GETSIM has been improved
NEWS 19	Oct 29	AAASD no longer available
NEWS 20	Nov 19	New Search Capabilities USPATFULL and USPAT2
NEWS 21	Nov 19	TOXCENTER(SM) - new toxicology file now available on STN
NEWS 22	Nov 29	COPPERLIT now available on STN
NEWS 23	Nov 29	DWPI revisions to NTIS and US Provisional Numbers
NEWS 24	Nov 30	Files VETU and VETB to have open access
NEWS 25	Dec 10	WPINDEX/WPIDS/WPIX New and Revised Manual Codes for 2002
NEWS 26	Dec 10	DGENE BLAST Homology Search
NEWS 27	Dec 17	WELDASEARCH now available on STN
NEWS 28	Dec 17	STANDARDS now available on STN
NEWS 29	Dec 17	New fields for DPCI
NEWS 30	Dec 19	CAS Roles modified
NEWS 31	Dec 19	1907-1946 data and page images added to CA and CAplus

NEWS EXPRESS	August 15 CURRENT WINDOWS VERSION IS V6.0c, CURRENT MACINTOSH VERSION IS V6.0 (ENG) AND V6.0J (JP), AND CURRENT DISCOVER FILE IS DATED 07 AUGUST 2001
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:02:39 ON 27 DEC 2001

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.15	0.15

FILE 'REGISTRY' ENTERED AT 17:03:01 ON 27 DEC 2001
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STRUCTURE FILE UPDATES: 26 DEC 2001 HIGHEST RN 378741-70-9
DICTIONARY FILE UPDATES: 26 DEC 2001 HIGHEST RN 378741-70-9

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

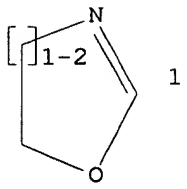
Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09530807.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS
L1 STR



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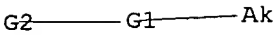

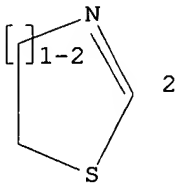

2

G1 C,N

G2 [@1],[@2]

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 17:03:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 55628 TO ITERATE

1.8% PROCESSED 1000 ITERATIONS 31 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 31999

L2 31 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 17:03:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

< 19.0% PROCESSED 190393 ITERATIONS 8854 ANSWERS

< 36.9% PROCESSED 369313 ITERATIONS 15963 ANSWERS

< 40.0% PROCESSED 400000 ITERATIONS 17227 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.42

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 47182

L3 17227 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL

	ENTRY	SESSION
FULL ESTIMATED COST	133.87	134.02

FILE 'CAPLUS' ENTERED AT 17:04:21 ON 27 DEC 2001
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FILE COVERS 1907 - 27 Dec 2001 VOL 135 ISS 26
FILE LAST UPDATED: 26 Dec 2001 (20011226/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGistRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

CAplus now provides online access to patents and literature covered in CA from 1907 to the present. Bibliographic information and abstracts were added in 2001 for over 3.8 million records from 1907-1966.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.


```
=> s l3 full

L4          1932 L3

=> s l4 and diseases?

          152528 DISEASES?
L5           132 L4 AND DISEASES?

=> s l5 and treatment?

         1710353 TREATMENT?
L6            97 L5 AND TREATMENT?

=> s l6 and method?

         2935163 METHOD?
L7            10 L6 AND METHOD?

=> d l7 1-10 ibib abs hitstr
```

L7 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2001:863509 CAPLUS
TITLE: **Methods** for treating immunomediated inflammatory disorders and changing skin pigmentation
INVENTOR(S): Costanzo, Michael J.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: U.S., 52 pp., Cont.-in-part of U.S. Ser. No. 110,409.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6323219	B1	20011127	US 1999-238882	19990127

PRIORITY APPLN. INFO.: US 1998-80441 P 19980402
US 1998-110409 A2 19980706

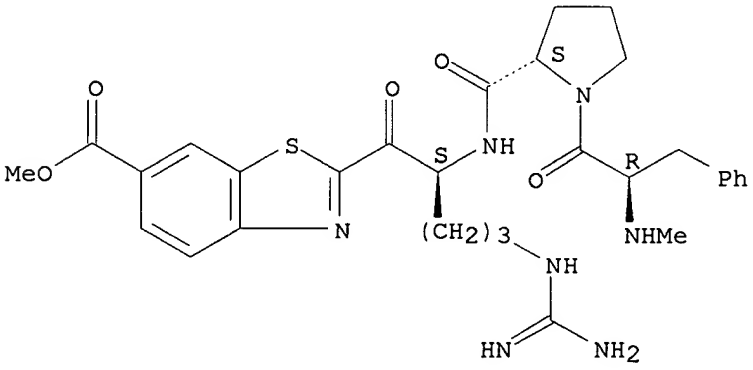
AB **Methods** and compns. are provided for bringing about changes in skin pigmentation and for treating inflammatory disorders. More particularly, the invention provides compds. which affect melanogenesis and can be used as depigmenting agents or as agents for darkening skin utilizing the protease-activated receptor 2 (PAR-2) pathway and compds. for the prevention and **treatment** of immunomediated inflammatory **diseases**, particularly those assocd. with the respiratory tract, e.g. asthma and allergic rhinitis.

IT **374898-12-1**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(immunomediated inflammatory disorder **treatment** and changing skin pigmentation)
RN 374898-12-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 179745-49-4
CMF C30 H37 N7 O5 S

Absolute stereochemistry.



CM 2

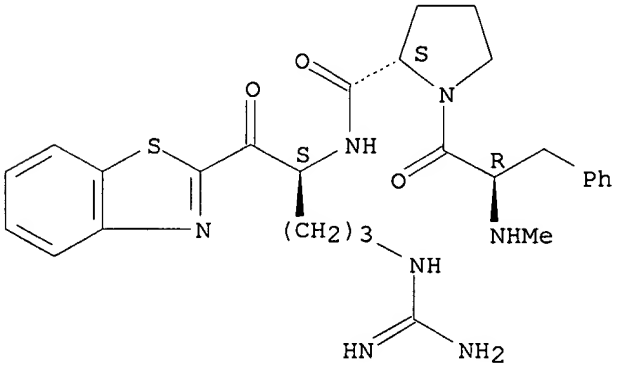
CRN 9078-38-0
CMF Unspecified
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
IT **178925-93-4 178925-93-4D**, prodrug derivs.
178925-96-7 179745-47-2 179745-49-4

179745-49-4D, prodrug derivs. **179745-51-8**
179745-55-2 179745-59-6 179745-59-6D, prodrug
derivs. **179745-67-6 179745-69-8 179745-69-8D**
, prodrug derivs. **179745-71-2 179745-71-2D**, prodrug
derivs. **179745-73-4 179745-75-6 179745-79-0**
179745-81-4 179745-83-6 179745-83-6D, prodrug
derivs. **179745-85-8 179745-85-8D**, prodrug derivs.
179745-87-0 179745-89-2 179745-93-8
179745-95-0 179745-97-2 179746-03-3
179746-05-5 179746-07-7 179746-09-9
179746-09-9D, prodrug derivs. **179746-15-7**
179746-17-9 179914-94-4 179915-00-5
179915-06-1 179915-06-1D, prodrug derivs.
186181-59-9 374898-10-9 374898-10-9D, prodrug
derivs. **374898-13-2**
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(immunomediated inflammatory disorder **treatment** and changing
skin pigmentation)

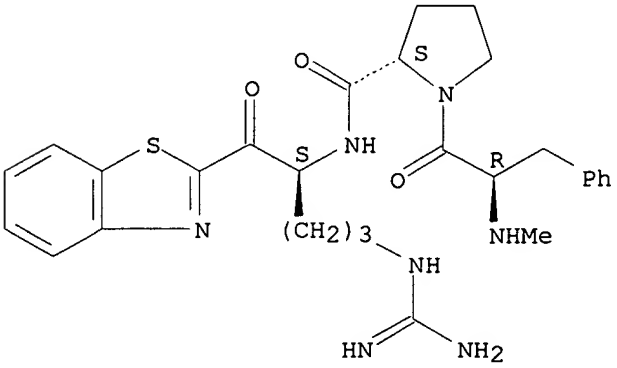
RN 178925-93-4 CAPLUS
CN L-Prolinamide,
N-methyl-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-
1-(2-benzothiazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 178925-93-4 CAPLUS
CN L-Prolinamide,
N-methyl-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-
1-(2-benzothiazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)

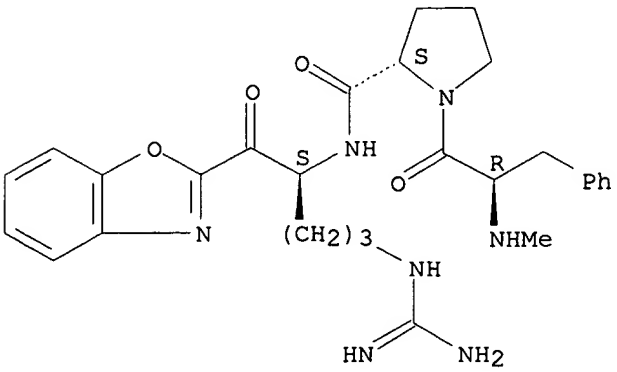
Absolute stereochemistry. Rotation (-).



RN 178925-96-7 CAPLUS
CN L-Prolinamide,
N-methyl-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-

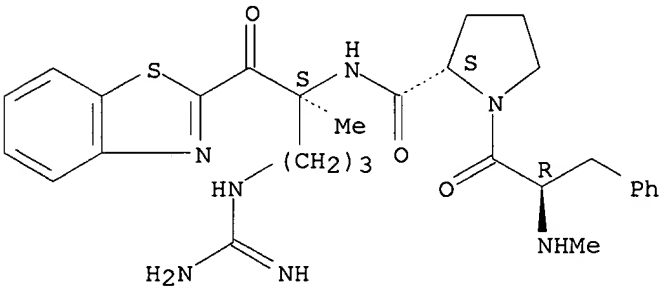
1-(2-benzoxazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



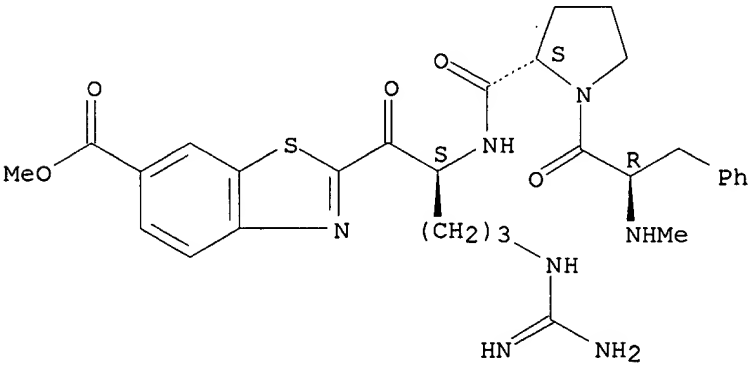
RN 179745-47-2 CAPLUS
CN L-Prolinamide,
N-methyl-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-
1-(2-benzothiazolylcarbonyl)-1-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179745-49-4 CAPLUS
CN L-Prolinamide,
N-methyl-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-
1-[[6-(methoxycarbonyl)-2-benzothiazolyl]carbonyl]butyl]- (9CI) (CA INDEX
NAME)

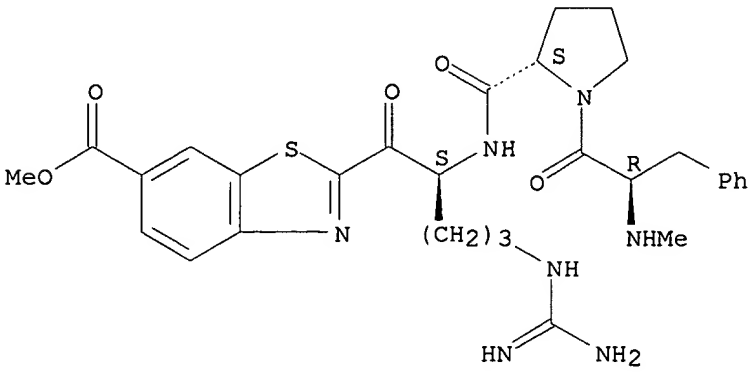
Absolute stereochemistry.



RN 179745-49-4 CAPLUS
CN L-Prolinamide,
N-methyl-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-

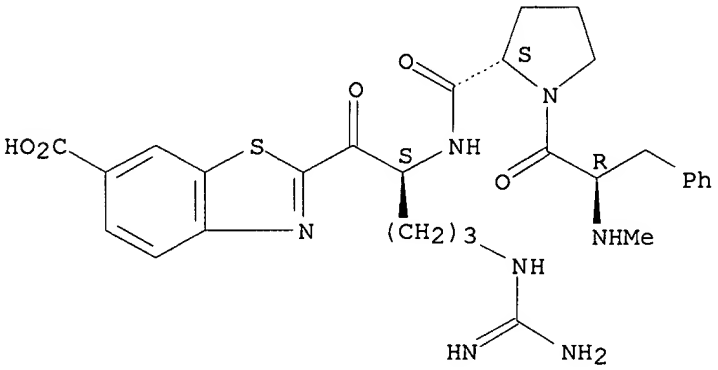
1-[[6-(methoxycarbonyl)-2-benzothiazolyl]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



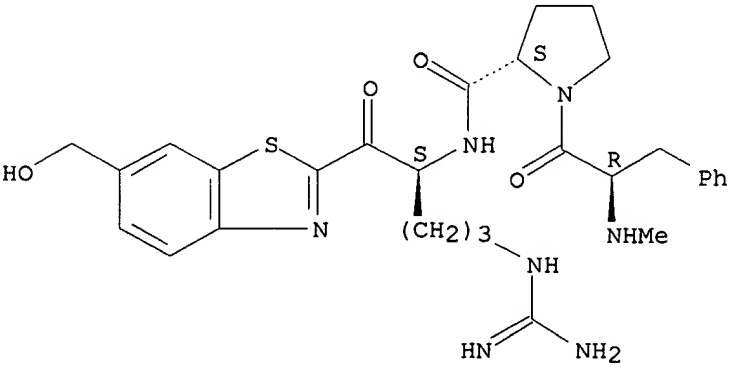
RN 179745-51-8 CAPLUS
CN L-Prolinamide, N-methyl-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-[(6-carboxy-2-benzothiazolyl)carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



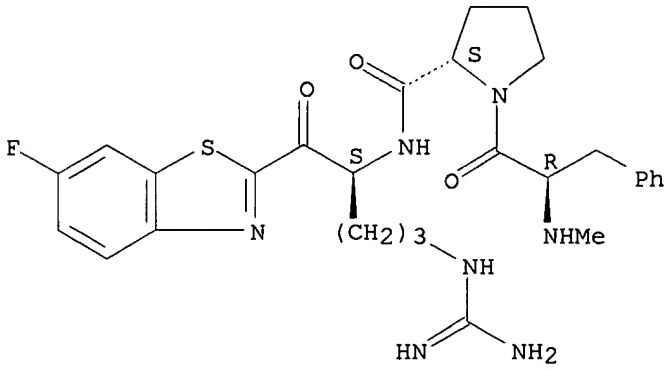
RN 179745-55-2 CAPLUS
CN L-Prolinamide, N-methyl-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-[[6-(hydroxymethyl)-2-benzothiazolyl]carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



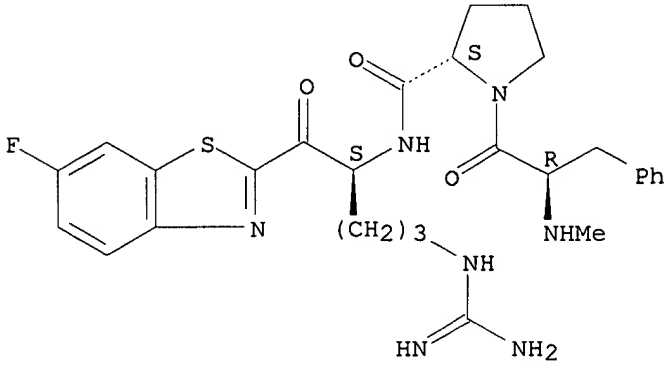
RN 179745-59-6 CAPLUS
CN L-Prolinamide,
N-methyl-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-
1-[(6-fluoro-2-benzothiazolyl)carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



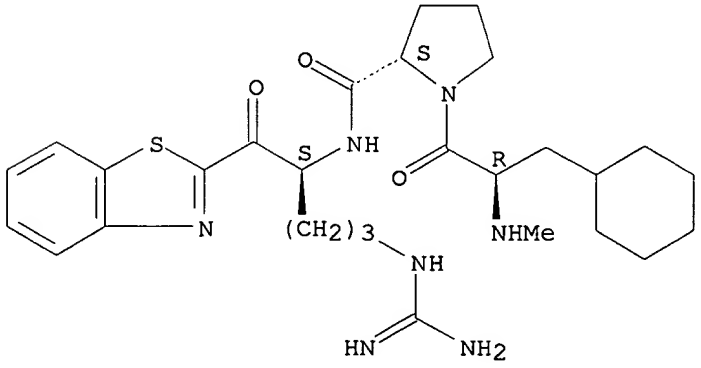
RN 179745-59-6 CAPLUS
CN L-Prolinamide,
N-methyl-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-
1-[(6-fluoro-2-benzothiazolyl)carbonyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



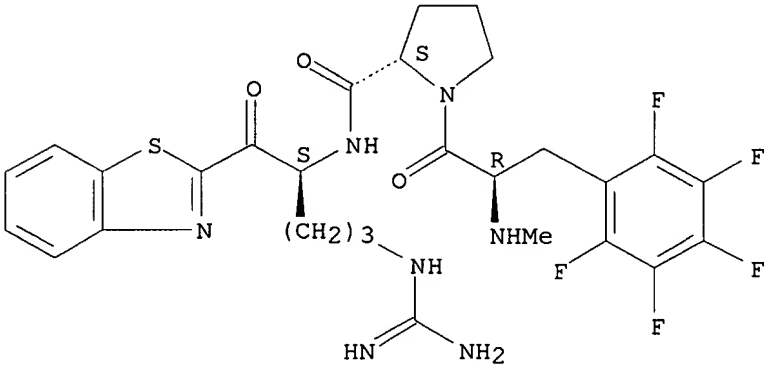
RN 179745-67-6 CAPLUS
CN L-Prolinamide, 3-cyclohexyl-N-methyl-D-alanyl-N-[(1S)-4-
[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



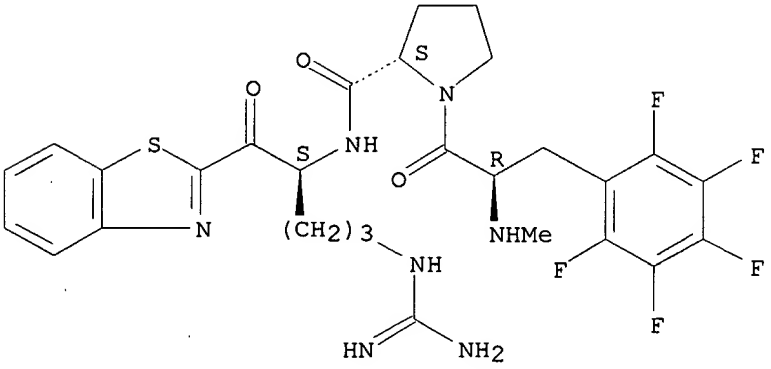
RN 179745-69-8 CAPLUS
CN L-Prolinamide, 2,3,4,5,6-pentafluoro-N-methyl-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



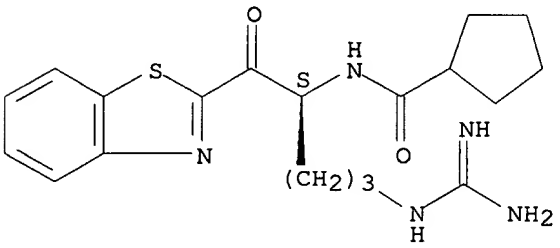
RN 179745-69-8 CAPLUS
CN L-Prolinamide, 2,3,4,5,6-pentafluoro-N-methyl-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



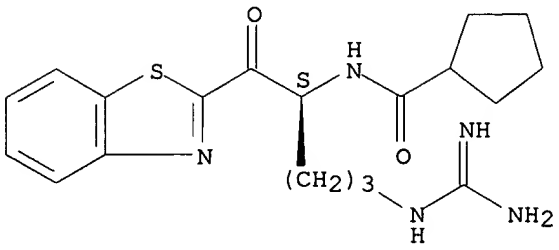
RN 179745-71-2 CAPLUS
CN Cyclopentanecarboxamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



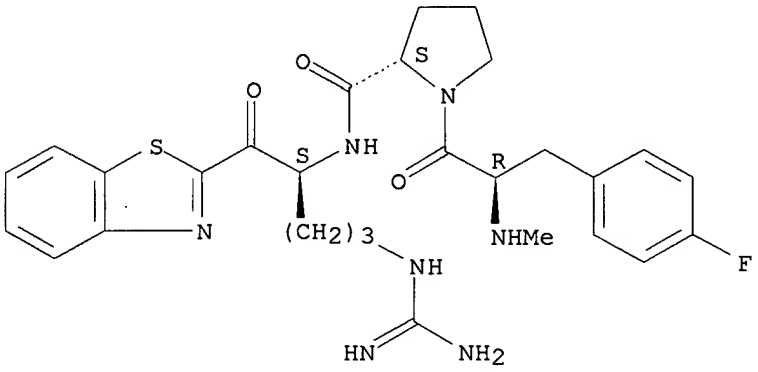
RN 179745-71-2 CAPLUS
CN Cyclopentanecarboxamide, N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



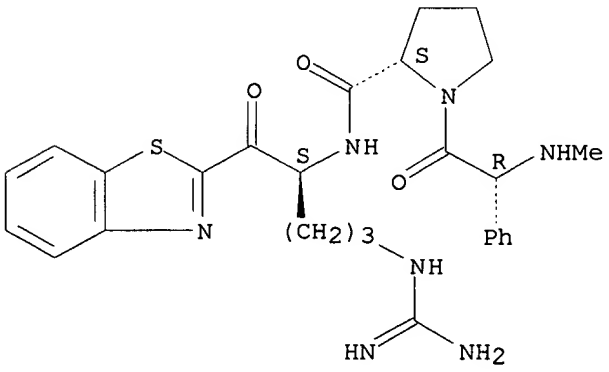
RN 179745-73-4 CAPLUS
CN L-Prolinamide, 4-fluoro-N-methyl-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



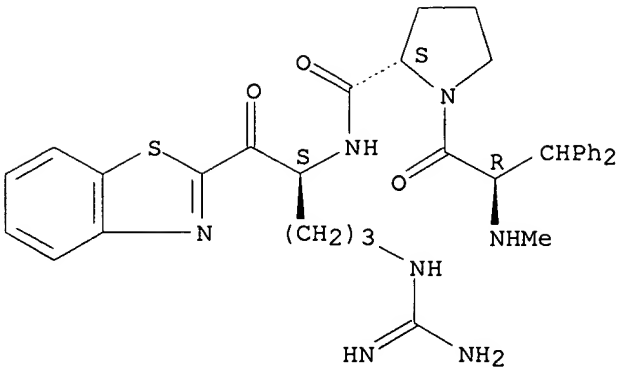
RN 179745-75-6 CAPLUS
CN L-Prolinamide, (2R)-N-methyl-2-phenylglycyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



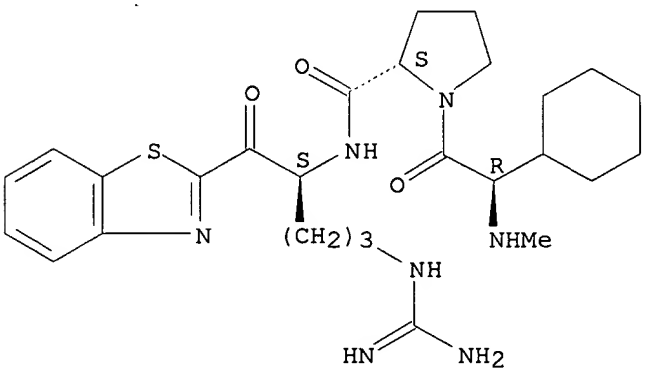
RN 179745-79-0 CAPLUS
CN L-Prolinamide, N-methyl-.beta.-phenyl-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



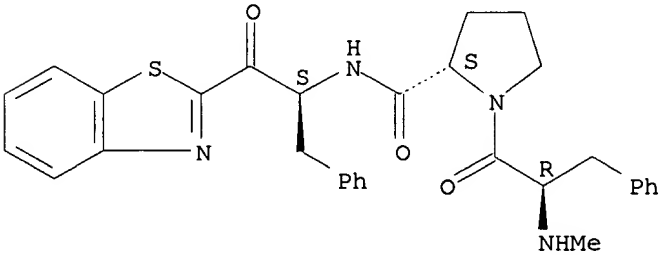
RN 179745-81-4 CAPLUS
CN L-Prolinamide, (2R)-2-cyclohexyl-N-methylglycyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



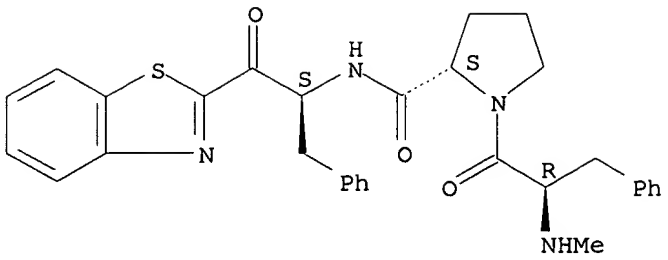
RN 179745-83-6 CAPLUS
CN L-Prolinamide,
N-methyl-D-phenylalanyl-N-[(1S)-2-(2-benzothiazolyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



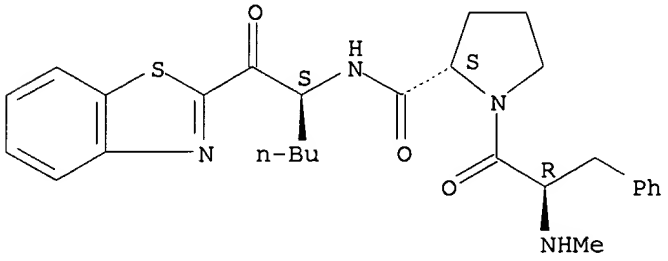
RN 179745-83-6 CAPLUS
CN L-Prolinamide,
N-methyl-D-phenylalanyl-N-[(1S)-2-(2-benzothiazolyl)-2-oxo-1-(phenylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



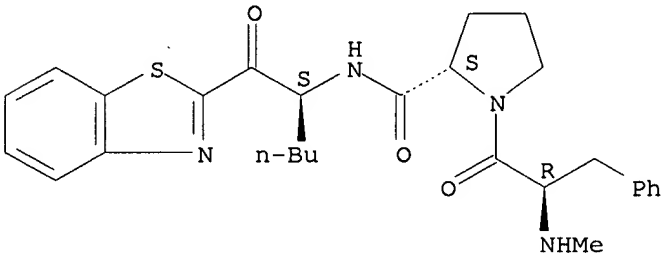
RN 179745-85-8 CAPLUS
CN L-Prolinamide, N-methyl-D-phenylalanyl-N-[(1S)-1-(2-benzothiazolylcarbonyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



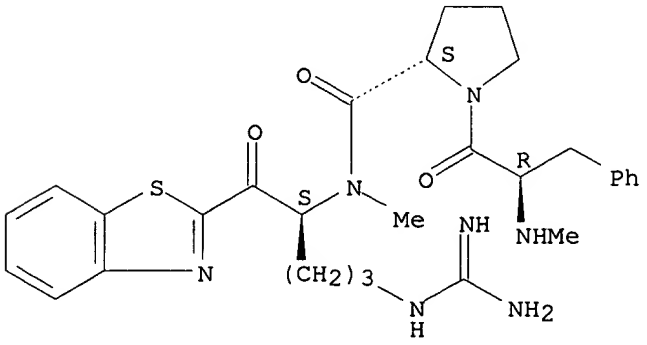
RN 179745-85-8 CAPLUS
CN L-Prolinamide, N-methyl-D-phenylalanyl-N-[(1S)-1-(2-benzothiazolylcarbonyl)pentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



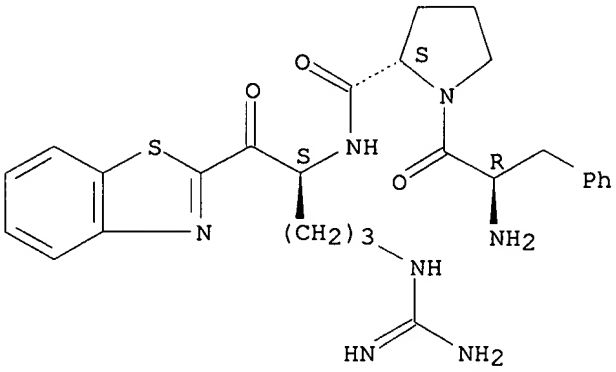
RN 179745-87-0 CAPLUS
CN L-Prolinamide, N-methyl-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



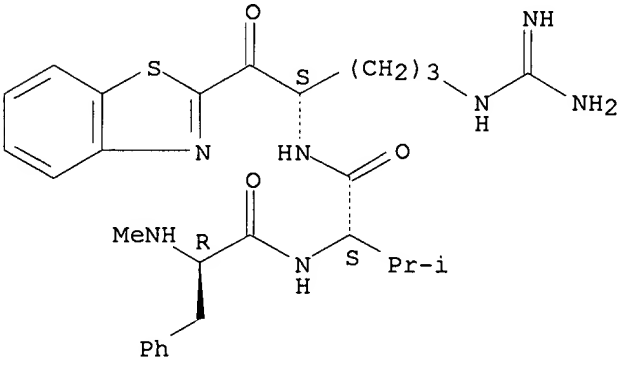
RN 179745-89-2 CAPLUS
CN L-Prolinamide, D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179745-93-8 CAPLUS
CN L-Valinamide,
N-methyl-D-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-(2-benzothiazolylcarbonyl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179745-95-0 CAPLUS
<------User Break------>

CN Guanidine, N-[(4S)-5-(2-benzothiazolyl)-4-(formylamino)-5-oxopentyl]- (9CI) (CA INDEX NAME)

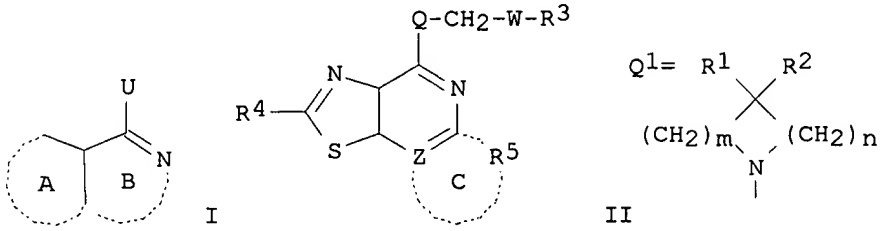
u
=> d l7 2-10 ibib abs hitstr

L7 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2001:254880 CAPLUS
DOCUMENT NUMBER: 134:280856
TITLE: Condensed heterocyclic compounds inhibiting macrophage migration inhibitory factor (MIF), **method** for their preparation and their use for drugs
INVENTOR(S): Sugihara, Yoshihiro; Horiguchi, Takashi; Maezaki, Hironobu; Kimura, Atsuhide
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 60 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001097979	A2	20010410	JP 2000-233157	20000728

PRIORITY APPLN. INFO.: JP 1999-214395 A 19990728
OTHER SOURCE(S): MARPAT 134:280856
GI



I II

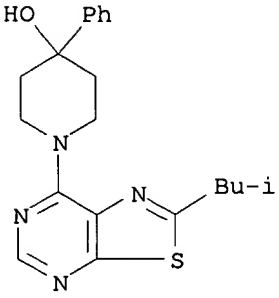
AB The title compds. [I; ring A and B = (un)substituted 4- to 8-membered heterocyclic ring, excluding 5H-pyrimido[5,4-b]indole ring; U = Q1, -Q-(CH2)k-W-R3; wherein R1 = halo, group linked through O, N, C, or S; R2 = (un)substituted hydrocarbyl or heterocyclyl; m, n = 1-4 integer; k = 2-6 integer; R3 = (un)substituted aryl or heterocyclyl; Q = (un)substituted N, S, O, (un)substituted C; W = CR6R7 (wherein R6 = halo, group linked through O, N, C, or S; R7 = H, optionally substituted hydrocarbyl or heterocyclyl); when W is CO, Q is (un)substituted N] and [II; ring A and B, Q, W, R3 = same as above; Z = N, (un)substituted C; R4, R5 = H, halo, group linked through C, O, N, or S; or when Z is C atom, R5 is linked to Z to from the ring C; when W is CO, Q is (un)substituted N, O, or (un)substituted C] are prepd. These compds. are useful for the prevention and **treatment** of kidney **diseases**, heart **diseases**, inflammatory **diseases**, allergies, autoimmune **diseases**,arteriosclerosis, infections, malignant tumors, and rejection after organ transplant, and diabetic retinopathy. Thus, 7-(methylthio)[1,3]thiazolo[5,4-d]pyrimidine-2-carboxylic acid Me ester was oxidized by m-chloroperbenzoic acid in CHCl3 for 20 min and condensed with 4-amino-1-phenylbutanone ethylene acetal in DMF to give 7-[(3-(2-phenyl-1,3-dioxolan-2-yl)propyl)amino][1,3]thiazolo[5,4-d]pyrimidine-2-carboxylic acid Me ester which was amidated with NH3 in MeOH at 60.degree. for 2 h to give 7-[(3-(2-phenyl-1,3-dioxolan-2-yl)propyl)amino][1,3]thiazolo[5,4-d]pyrimidine-2-carboxamide. The latter compd. was dissolved in THF, treated with 1 N aq. HCl, stirred for 20, and neutralized with K2CO3 to give, after salt formation with HCl, 7-[(4-oxo-4-phenylbutyl)amino][1,3]thiazolo[5,4-d]pyrimidine-2-carboxamide hydrochloride (III). III at 17 .mu.M in vitro inhibited by 87% the MIF-dependent proliferation of T-cells.

IT **333385-96-9P 333386-26-8P 333386-39-3P**
333386-43-9P 333386-47-3P 333386-49-5P
333386-52-0P 333386-53-1P 333387-16-9P
333387-17-0P 333387-68-1P 333388-18-4P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of condensed heterocyclic compds. inhibiting macrophage

migration inhibitory factor (MIF) as drugs)

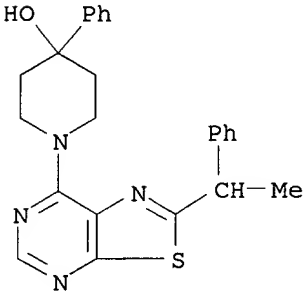
RN 333385-96-9 CAPLUS

CN 4-Piperidinol, 1-[2-(2-methylpropyl)thiazolo[5,4-d]pyrimidin-7-yl]-4-phenyl- (9CI) (CA INDEX NAME)



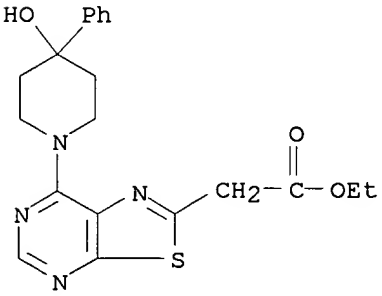
RN 333386-26-8 CAPLUS

CN 4-Piperidinol, 4-phenyl-1-[2-(1-phenylethyl)thiazolo[5,4-d]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)



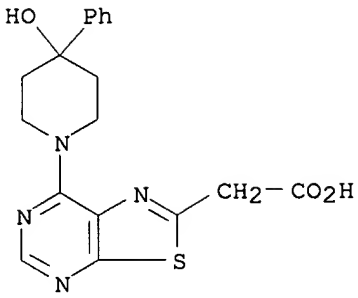
RN 333386-39-3 CAPLUS

CN Thiazolo[5,4-d]pyrimidine-2-acetic acid, 7-(4-hydroxy-4-phenyl-1-piperidinyl)-, ethyl ester (9CI) (CA INDEX NAME)

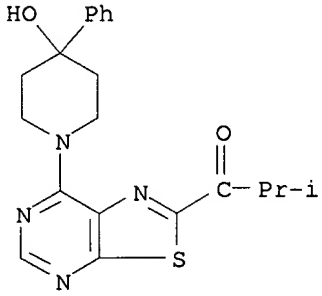


RN 333386-43-9 CAPLUS

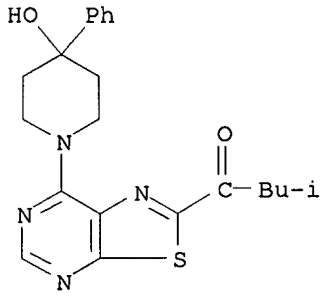
CN Thiazolo[5,4-d]pyrimidine-2-acetic acid, 7-(4-hydroxy-4-phenyl-1-piperidinyl)- (9CI) (CA INDEX NAME)



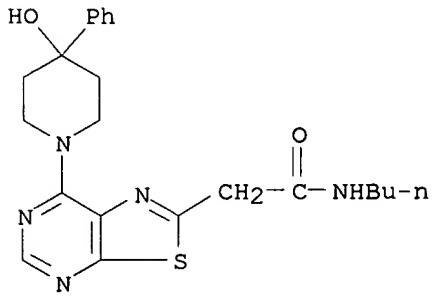
RN 333386-47-3 CAPLUS
CN 1-Propanone, 1-[7-(4-hydroxy-4-phenyl-1-piperidinyl)thiazolo[5,4-d]pyrimidin-2-yl]-2-methyl- (9CI) (CA INDEX NAME)

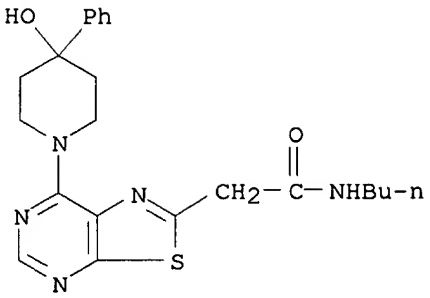


RN 333386-49-5 CAPLUS
CN 1-Butanone, 1-[7-(4-hydroxy-4-phenyl-1-piperidinyl)thiazolo[5,4-d]pyrimidin-2-yl]-3-methyl- (9CI) (CA INDEX NAME)

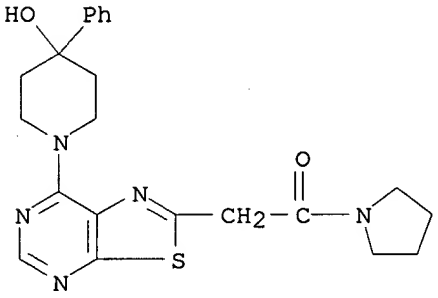


RN 333386-52-0 CAPLUS
CN Thiazolo[5,4-d]pyrimidine-2-acetamide, N-butyl-7-(4-hydroxy-4-phenyl-1-piperidinyl)- (9CI) (CA INDEX NAME)

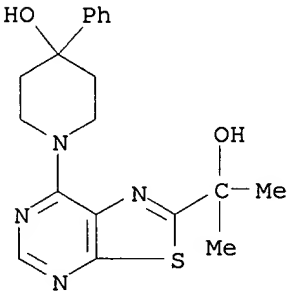




RN 333386-53-1 CAPLUS
CN Pyrrolidine, 1-[[7-(4-hydroxy-4-phenyl-1-piperidinyl)thiazolo[5,4-d]pyrimidin-2-yl]acetyl]- (9CI) (CA INDEX NAME)

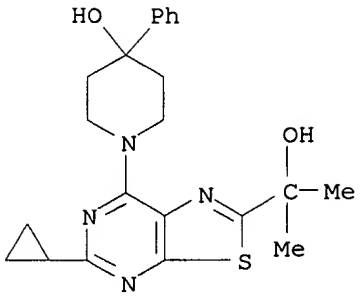


RN 333387-16-9 CAPLUS
CN Thiazolo[5,4-d]pyrimidine-2-methanol, 7-(4-hydroxy-4-phenyl-1-piperidinyl)-.alpha.,.alpha.-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



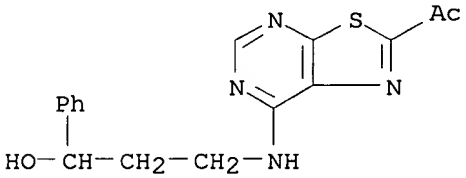
● HCl

RN 333387-17-0 CAPLUS
CN Thiazolo[5,4-d]pyrimidine-2-methanol, 5-cyclopropyl-7-(4-hydroxy-4-phenyl-1-piperidinyl)-.alpha.,.alpha.-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



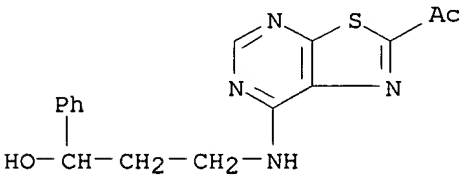
● HCl

RN 333387-68-1 CAPLUS
CN Ethanone,
1-[7-[(3-hydroxy-3-phenylpropyl)amino]thiazolo[5,4-d]pyrimidin-2-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

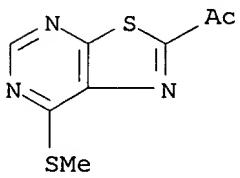


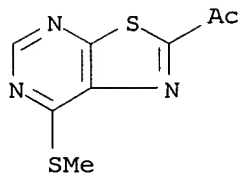
● HCl

RN 333388-18-4 CAPLUS
CN Ethanone,
1-[7-[(3-hydroxy-3-phenylpropyl)amino]thiazolo[5,4-d]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)



IT **333388-19-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of condensed heterocyclic compds. inhibiting macrophage migration inhibitory factor (MIF) as drugs)
RN 333388-19-5 CAPLUS
CN Ethanone, 1-[7-(methylthio)thiazolo[5,4-d]pyrimidin-2-yl]- (9CI) (CA INDEX NAME)

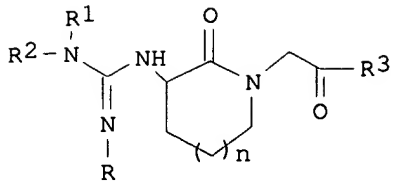




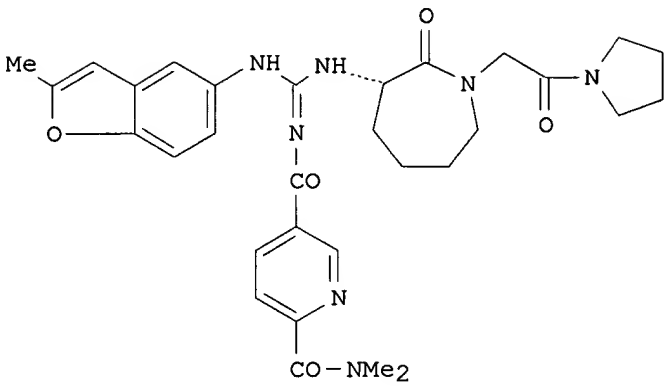
L7 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:573666 CAPLUS
DOCUMENT NUMBER: 133:164010
TITLE: Preparation of caprolactams, piperidinones, and pyrrolidinones as Factor Xa inhibitors in prevention or **treatment** of thromboses, coronary artery disease, or cerebrovascular disease in mammals
INVENTOR(S): Stein, Philip D.; Bisacchi, Gregory S.; Shi, Yan; O'Connor, Stephen P.; Li, Chi
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 284 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047207	A1	20000817	WO 2000-US2883	20000202
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6297233	B1	20011002	US 2000-496571	20000202
EP 1156803	A1	20011128	EP 2000-914505	20000202
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1999-119372 P 19990209
US 1999-167428 P 19991124
WO 2000-US2883 W 20000202
OTHER SOURCE(S): MARPAT 133:164010
GI



I



II

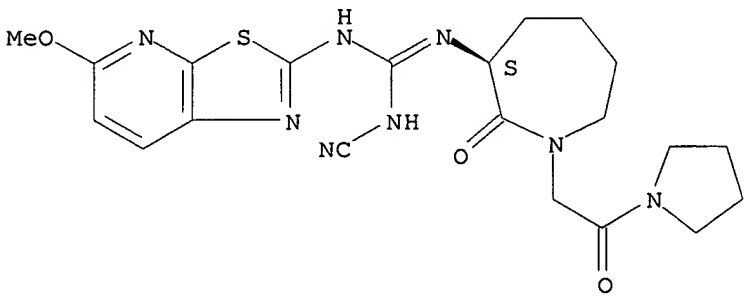
AB Title chiral compds. [I; R = CN, CONH2, COOCH2CH3, COC6H5, SO2NH2, OCH3, SO2N(CH3)2, SO2CH3, arylsulfonyl, heterocyclosulfonyl, (un)substituted Ph, heterocyclyl, heterocycleocarbonyl, alkoxylcarbonyl, arylaminocarbonyl; R1 = H, arylalkyl; R2 = alkyl, (un)substituted Ph, benzoheterocyclyl, cyclopentyl; R3 = heterocyclylamino, heterocyclyl, alkoxy, cycloalkylamino, OH; n = 0, 1, 2] , pharmaceutically acceptable salts, and stereoisomers are pred. as Factor Xa inhibitors and are useful as anticoagulants (no data). A **method** for treating cardiovascular **diseases** assocd. with thromboses is also provided. Thus, the title compd. II was prepd.

IT **288075-71-8P 288079-58-3P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of caprolactams as Factor Xa inhibitors in prevention or **treatment** of thromboses, coronary artery disease, or cerebrovascular disease in mammals)

RN 288075-71-8 CAPLUS

CN Pyrrolidine, 1-[[(3S)-3-[[(cyanoamino)[(5-methoxythiazolo[5,4-b]pyridin-2-yl)amino]methylene]amino]hexahydro-2-oxo-1H-azepin-1-yl]acetyl]- (9CI) (CA INDEX NAME)

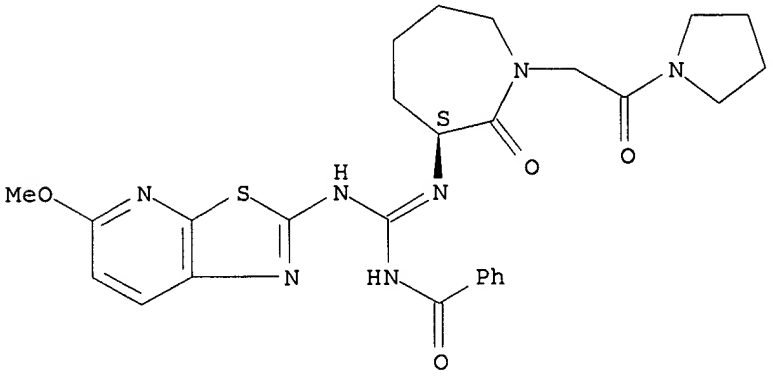
Absolute stereochemistry.



RN 288079-58-3 CAPLUS
CN Benzamide,
N-[[[(3S)-hexahydro-2-oxo-1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-1H-

azepin-3-yl]amino][(5-methoxythiazolo[5,4-b]pyridin-2-yl)amino]methylene]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2
REFERENCE(S): (1) Lowe; US 5484917 A 1996 CAPLUS
(2) Lowe; US 5618811 A 1997 CAPLUS

L7 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:456867 CAPLUS
DOCUMENT NUMBER: 133:84284
TITLE: A combination of fructose-1,6-bisphosphatase (FBPase) inhibitors and insulin sensitizers for the **treatment** of diabetes
INVENTOR(S): Erion, Mark D.; Vanpoelje, Paul
PATENT ASSIGNEE(S): Metabasis Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000038666	A2	20000706	WO 1999-US30713	19991222
WO 2000038666	A3	20011129		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1143955	A2	20011017	EP 1999-964313	19991222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NO 2001003115	A	20010824	NO 2001-3115	20010621

PRIORITY APPLN. INFO.: US 1998-114718 P 19981224
WO 1999-US30713 W 19991222
OTHER SOURCE(S): MARPAT 133:84284
AB Pharmaceutical compns. contg. an FBPase inhibitor and an insulin sensitizer are provided as well as **methods** for treating diabetes

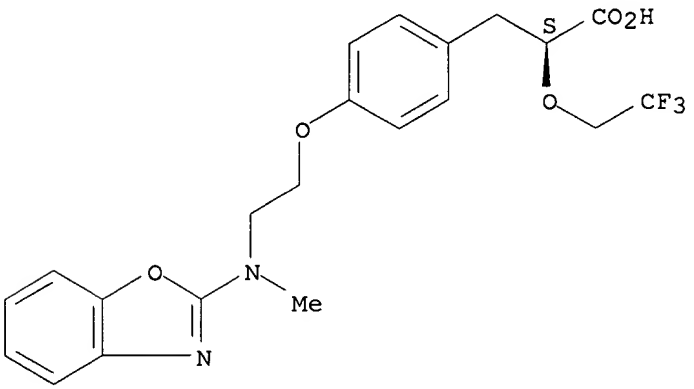
and **diseases** responding to increased glycemic control, an improvement in insulin sensitivity, a redn. in insulin levels, or an enhancement of insulin secretion.

IT **177785-17-0**, SB 219994 **204928-87-0**, SB 217092
204928-88-1, SB 219993 **281221-94-1**, SB 236636
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fructose-1,6-bisphosphatase inhibitor-insulin sensitizer combination for diabetes **treatment**, and inhibitor prepn.)

RN 177785-17-0 CAPLUS

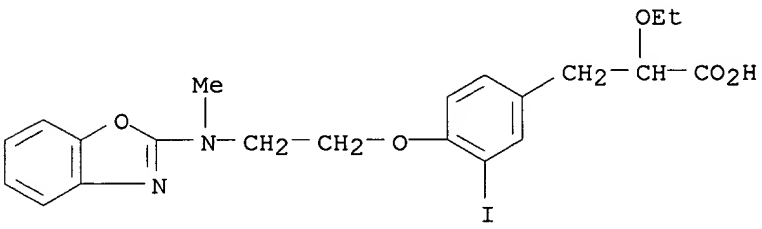
CN Benzenepropanoic acid, 4-[2-(2-benzoxazolylmethylamino)ethoxy]-.alpha.-(2,2,2-trifluoroethoxy)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 204928-87-0 CAPLUS

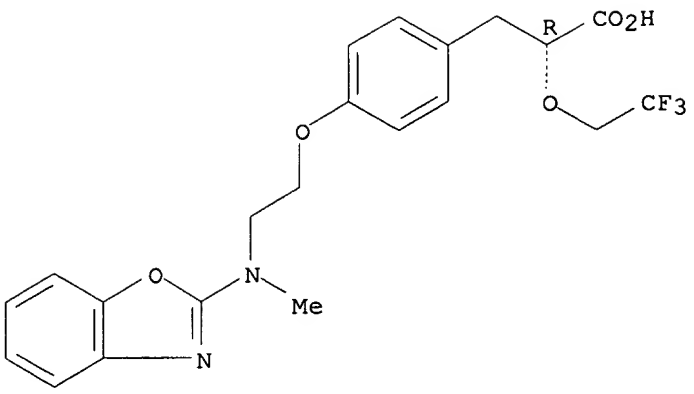
CN Benzenepropanoic acid, 4-[2-(2-benzoxazolylmethylamino)ethoxy]-.alpha.-ethoxy-3-iodo- (9CI) (CA INDEX NAME)



RN 204928-88-1 CAPLUS

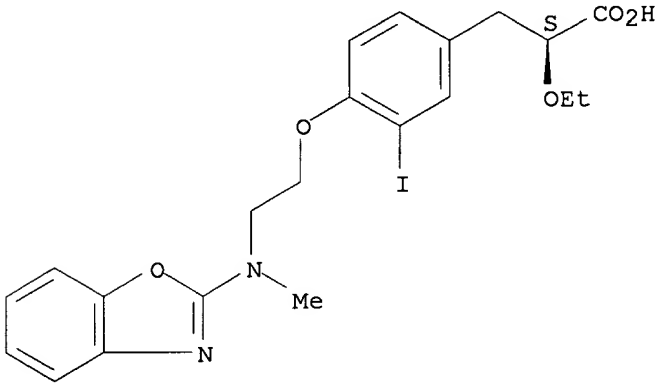
CN Benzenepropanoic acid, 4-[2-(2-benzoxazolylmethylamino)ethoxy]-.alpha.-(2,2,2-trifluoroethoxy)-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 281221-94-1 CAPLUS
CN Benzenepropanoic acid, 4-[2-(2-benzoxazolylmethylamino)ethoxy]-.alpha.-ethoxy-3-iodo-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:260233 CAPLUS
DOCUMENT NUMBER: 132:293662
TITLE: Preparation of pyrroledione derivatives as inhibitors of glycogen synthase kinase-3
INVENTOR(S): Coghlan, Matthew Paul; Fenwick, Ashley Edward; Haigh, David; Holder, Julie Caroline; Ife, Robert John; Reith, Alastair David; Smith, David Glynn; Ward, Robert William
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021927	A2	20000420	WO 1999-GB3280	19991005
WO 2000021927	A3	20000713		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ,

BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

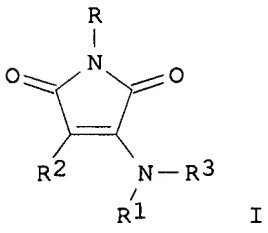
AU 9961116	A1	20000501	AU 1999-61116		19991005
EP 1119548	A1	20010801	EP 1999-947744		19991005
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					

PRIORITY APPLN. INFO.:

GB 1998-21974	A	19981008
GB 1998-27521	A	19981214
GB 1998-27883	A	19981217
GB 1999-5518	A	19990310
GB 1999-7086	A	19990326
GB 1999-19362	A	19990816
WO 1999-GB3280	W	19991005

OTHER SOURCE(S): MARPAT 132:293662

GI



I

AB A **method** for the **treatment** of conditions assocd. with a need for inhibition of GSK-3 (glycogen synthase kinase-3), such as diabetes, dementias such as Alzheimer's disease and manic depression which

method comprises the administration of a pharmaceutically effective, non-toxic amt. of a compd. of formula I [R is hydrogen, alkyl, aryl, or aralkyl; R1 is hydrogen, alkyl, aralkyl, hydroxyalkyl or alkoxyalkyl; R2 is substituted or unsubstituted aryl or substituted or unsubstituted heterocyclyl; R3 is hydrogen, substituted or unsubstituted alkyl, cycloalkyl, alkoxyalkyl, substituted or unsubstituted aryl, substituted or unsubstituted heterocyclyl or aralkyl wherein the aryl moiety is substituted or unsubstituted; or, R1 and R3 together with the nitrogen to which they are attached form a single or fused, optionally substituted, satd. or unsatd. heterocyclic ring] to a human or non-human mammal in need thereof. The most potent compds. of this invention show IC50 values in the range of 10 to 100 nM against glycogen synthase kinase-3.

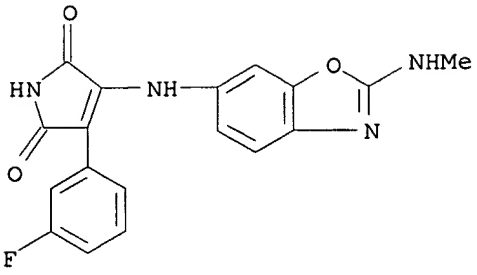
IT **264220-23-7P 264220-25-9P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

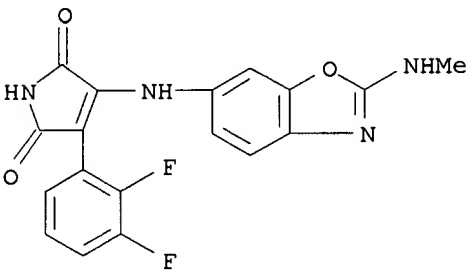
(prepn. of pyrroledione derivs. as inhibitors of glycogen synthase kinase-3)

RN 264220-23-7 CAPLUS

CN 1H-Pyrrole-2,5-dione, 3-(3-fluorophenyl)-4-[[2-(methylamino)-6-benzoxazolyl]amino]- (9CI) (CA INDEX NAME)



RN 264220-25-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(2,3-difluorophenyl)-4-[[2-(methylamino)-6-benzoxazolyl]amino]- (9CI) (CA INDEX NAME)



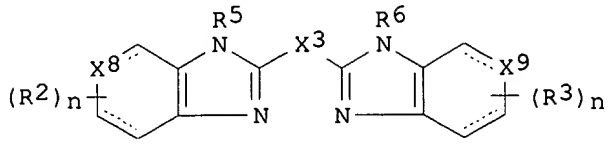
L7 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1998:682372 CAPLUS
DOCUMENT NUMBER: 129:316232
TITLE: Preparation of compounds and compositions for treating **diseases** associated with serine protease, particularly tryptase, activity
INVENTOR(S): Church, Timothy J.; Cutshall, Neil Scott; Gangloff, Anthony R.; Jenkins, Thomas E.; Linsell, Martin S.; Litvak, Joane; Rice, Kenneth D.; Spencer, Jeffrey R.; Wang, Vivian R.
PATENT ASSIGNEE(S): Axys Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9845275	A1	19981015	WO 1997-US21849	19971201
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9858950	A1	19981030	AU 1998-58950	19971201
CN 1251579	A	20000426	CN 1997-182098	19971201
EP 1019382	A1	20000719	EP 1997-954520	19971201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

JP 2001519806	T2	20011023	JP 1998-542739		19971201
NO 9904858	A	19991206	NO 1999-4858		19991006
LV 12495	B	20010120	LV 1999-153		19991102
LT 4704	B	20000925	LT 1999-131		19991105
US 2001053779	A1	20011220	US 2001-874412		20010604
PRIORITY APPLN. INFO.:			US 1997-833674	A	19970407
			US 1994-357491	B2	19941214
			US 1997-980515	A1	19971201
			WO 1997-US21849	W	19971201

OTHER SOURCE(S): CASREACT 129:316232; MARPAT 129:316232

GI



I

AB A preferred aspect of the invention are compds. of Formula [I; in which: the dashed lines independently represent optional bonds; each R2 independently is (C1-6)alkyl, (C1-6)alkyloxy, halo or hydroxy; each R3 independently is (C1-6)alkyl, (C1-6)alkyloxy, halo or hydroxy; X3 is -C(O)- or -CR7R8-, X8 is -CH(R1)n1- or -C(R1)n1=, wherein R1 is amino(N1-4)azolidinyl, amino(N1-4)azolyl, (N1-4)azolidinyl, (N1-4)azolyl, etc.; X8 is -N= or -NH(R1)n1-, wherein R1 is -C(NR9)R9, -C(NH)NHR10 or -C(NH)NR10R10, wherein R9 independently is hydrogen or (C1-6)alkyl and each R10 independently is (C1-6)alkyl; and X9 is -CH(R4)- or -C(R4)=, wherein R4 is -R12, -OR12, -N(R13)R12, etc.; wherein R4 is -C(O)R12, -C(O)OR12, -C(O)N(R13)R12, etc.; R12 is cyano, guanidino, halo, alkyl, etc.; R13 is hydrogen, alkyl; R5 is hydrogen or (C1-4)alkyl, R6 is hydrogen or (C1-4) alkyl; R7 is hydrogen, methyl; R8 is hydrogen Me, hydroxy; n = 0-4]. The compds., compns. and **methods** are effective for the prevention and **treatment** of inflammatory **diseases** assocd. with the respiratory tract, such as asthma and allergic rhinitis, as well as other types of immunomediated inflammatory disorders, such as rheumatoid arthritis, conjunctivitis and inflammatory bowel disease, various dermatol. conditions, as well as certain viral conditions. The compds. comprise potent and selective inhibitors of the mast-cell protease tryptase. The compns. for treating these conditions include oral, inhalant, topical and parenteral prepns. as well as devices comprising such prepns.

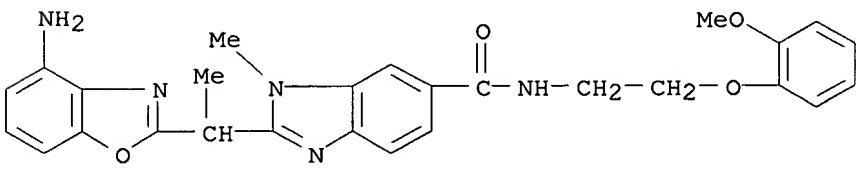
IT **214781-81-4P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of arenoimidazoles for treating human inflammatory disorder)

RN 214781-81-4 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 2-[1-(4-amino-2-benzoxazolyl)ethyl]-N-[2-(2-methoxyphenoxy)ethyl]-1-methyl- (9CI) (CA INDEX NAME)

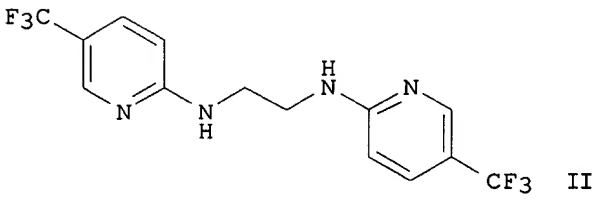


L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1998:268348 CAPLUS
DOCUMENT NUMBER: 128:321662
TITLE: Compositions and **methods** for treating bone deficit conditions
INVENTOR(S): Orme, Mark W.; Baindur, Nand; Robbins, Kirk G.; et al.
PATENT ASSIGNEE(S): Zymogenetics, Inc., USA; Osteoscreen, Inc.
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817267	A1	19980430	WO 1997-US18864	19971023
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, FI, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, US, US, US, US, US, US, US, US, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5990169	A	19991123	US 1997-806771	19970226
US 6153631	A	20001128	US 1997-806768	19970226
US 6251901	B1	20010626	US 1997-806769	19970226
US 5919808	A	19990706	US 1997-808743	19970228
US 5922753	A	19990713	US 1997-808742	19970228
US 5948776	A	19990907	US 1997-808739	19970228
US 5994358	A	19991130	US 1997-808744	19970228
US 5965573	A	19991012	US 1997-812141	19970306
AU 9749889	A1	19980515	AU 1997-49889	19971023
EP 973513	A1	20000126	EP 1997-912787	19971023
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001510450	T2	20010731	JP 1998-519529	19971023

PRIORITY APPLN. INFO.:
US 1996-735870 A2 19961023
US 1996-735873 A2 19961023
US 1996-735874 A2 19961023
US 1996-735876 A2 19961023
US 1996-735881 A2 19961023
US 1996-736220 A2 19961023
US 1996-736221 A2 19961023
US 1996-736222 A2 19961023
US 1996-736228 A2 19961023
US 1996-736318 A2 19961023
US 1996-736319 A2 19961023
WO 1997-US18864 W 19971023

OTHER SOURCE(S): MARPAT 128:321662
GI

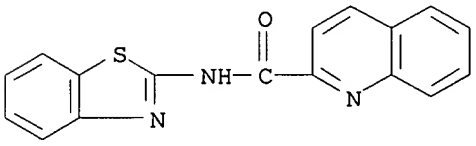


II

AB Compds. contg. 2 covalently linked arom. systems, i.e. Ar1LAr2 [I; Ar1,

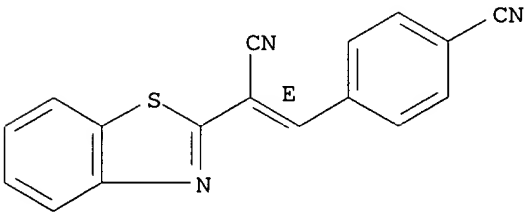
Ar2 = (un)substituted Ph, naphthyl, or 5- or 6-membered arom. heterocyclyl; L = linker (atoms or covalent bond per se) so as to space the arom. systems at a distance of 1.5-15 .ANG.] are effective in treating conditions assocd. with bone deficits. The compds. can be administered to vertebrate subjects alone or in combination with addnl. agents that promote bone growth or that inhibit bone resorption. They can be screened for activity prior to administration by assessing their ability to effect the transcription of a reporter gene coupled to a promoter assocd. with a bone morphogenetic protein and/or their ability to stimulate calvarial growth in model animal systems. A variety of compds. were prepd. and/or tested by high-throughput screening. For instance, title compd. II was prepd. by condensation of 2-chloro-5-(trifluoromethyl)pyridine with ethylenediamine in the presence of EtN(Pr-iso)2 at reflux. At 5-50 .mu.g/kg/day in ovariectomized rats, II stimulated bone growth with vol. increases of 21-71% obsd. In a calvarial bone growth assay, another compd. I induced a 4-fold increase in width of new calvarial bone vs. controls.

IT **206983-85-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and/or use of linked arom. and heteroarom. compds. for treating bone deficit conditions)
RN 206983-85-9 CAPLUS
CN 2-Quinolinecarboxamide, N-2-benzothiazolyl- (9CI) (CA INDEX NAME)



IT **206982-80-1 206982-81-2 206982-92-5**
206982-96-9 206982-97-0 206982-98-1
206982-99-2 206983-63-3 206983-64-4
206983-65-5 206983-66-6
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. and/or use of linked arom. and heteroarom. compds. for treating bone deficit conditions)
RN 206982-80-1 CAPLUS
CN 2-Benzothiazoleacetonitrile, .alpha.-[(4-cyanophenyl)methylene]-, (.alpha.E)- (9CI) (CA INDEX NAME)

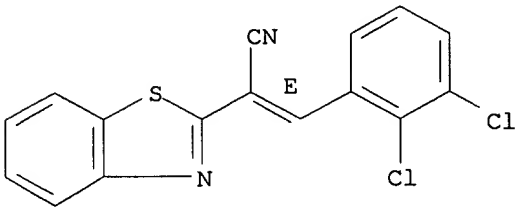
Double bond geometry as shown.



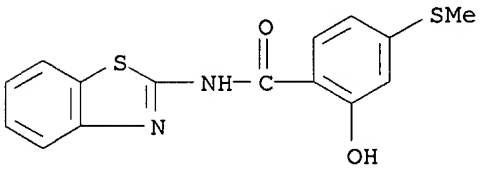
RN 206982-81-2 CAPLUS
CN 2-Benzothiazoleacetonitrile, .alpha.-[(2,3-dichlorophenyl)methylene]-,

(.alpha.E)- (9CI) (CA INDEX NAME)

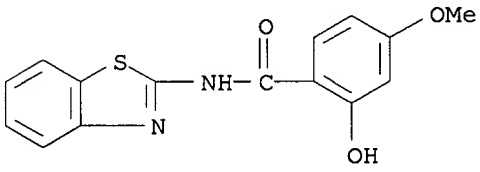
Double bond geometry as shown.



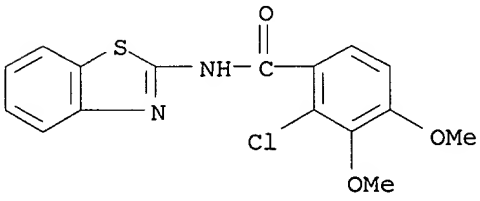
RN 206982-92-5 CAPLUS
CN Benzamide, N-2-benzothiazolyl-2-hydroxy-4-(methylthio)- (9CI) (CA INDEX NAME)



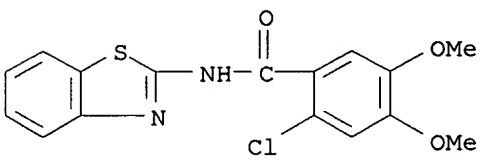
RN 206982-96-9 CAPLUS
CN Benzamide, N-2-benzothiazolyl-2-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



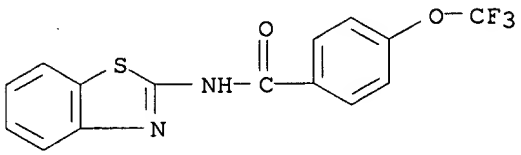
RN 206982-97-0 CAPLUS
CN Benzamide, N-2-benzothiazolyl-2-chloro-3,4-dimethoxy- (9CI) (CA INDEX NAME)



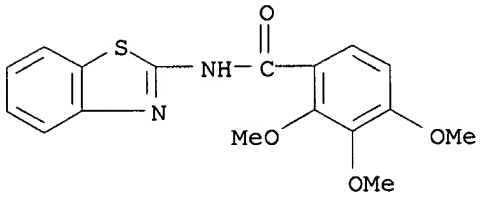
RN 206982-98-1 CAPLUS
CN Benzamide, N-2-benzothiazolyl-2-chloro-4,5-dimethoxy- (9CI) (CA INDEX NAME)



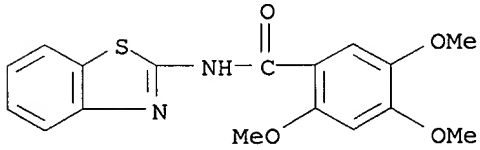
RN 206982-99-2 CAPLUS
CN Benzamide, N-2-benzothiazolyl-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



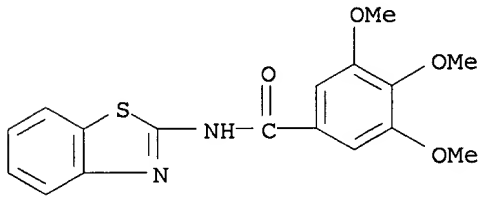
RN 206983-63-3 CAPLUS
CN Benzamide, N-2-benzothiazolyl-2,3,4-trimethoxy- (9CI) (CA INDEX NAME)



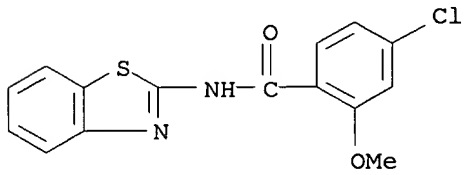
RN 206983-64-4 CAPLUS
CN Benzamide, N-2-benzothiazolyl-2,4,5-trimethoxy- (9CI) (CA INDEX NAME)



RN 206983-65-5 CAPLUS
CN Benzamide, N-2-benzothiazolyl-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)



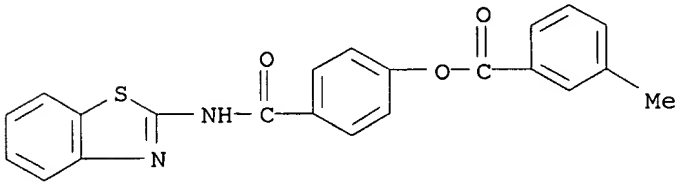
RN 206983-66-6 CAPLUS
CN Benzamide, N-2-benzothiazolyl-4-chloro-2-methoxy- (9CI) (CA INDEX NAME)



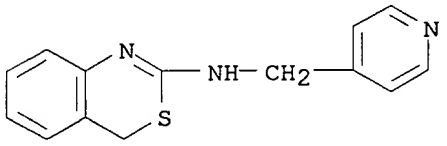
IT **190437-16-2 190437-54-8 190437-57-1**
190437-79-7 190437-80-0 190437-88-8
190437-89-9 190437-92-4 190437-93-5
RL: BAC (Biological activity or effector, except adverse); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of (hetero)arom. compds. for treating bone deficit conditions)

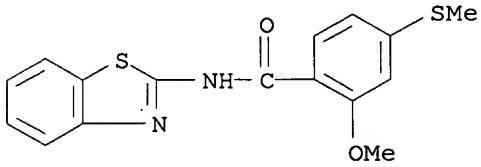
RN 190437-16-2 CAPLUS
CN Benzoic acid, 3-methyl-, 4-[(2-benzothiazolylamino)carbonyl]phenyl ester (9CI) (CA INDEX NAME)



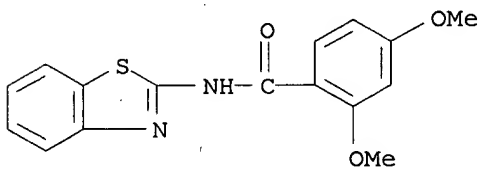
RN 190437-54-8 CAPLUS
CN 4H-3,1-Benzothiazin-2-amine, N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



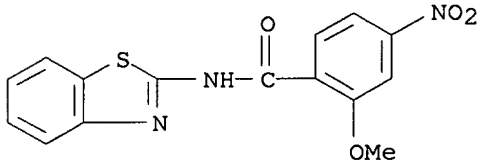
RN 190437-57-1 CAPLUS
CN Benzamide, N-2-benzothiazolyl-2-methoxy-4-(methylthio)- (9CI) (CA INDEX NAME)



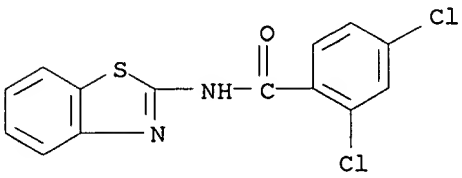
RN 190437-79-7 CAPLUS
CN Benzamide, N-2-benzothiazolyl-2,4-dimethoxy- (9CI) (CA INDEX NAME)



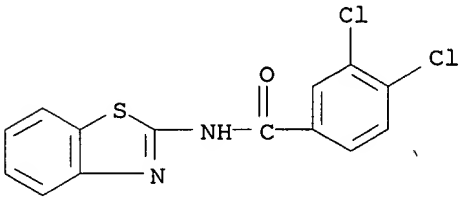
RN 190437-80-0 CAPLUS
CN Benzamide, N-2-benzothiazolyl-2-methoxy-4-nitro- (9CI) (CA INDEX NAME)



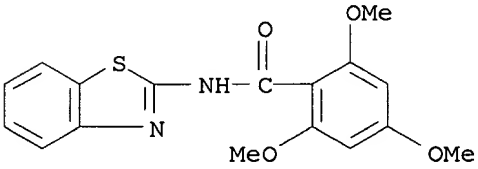
RN 190437-88-8 CAPLUS
CN Benzamide, N-2-benzothiazolyl-2,4-dichloro- (9CI) (CA INDEX NAME)



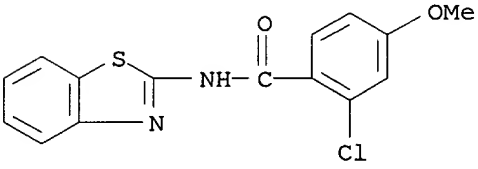
RN 190437-89-9 CAPLUS
CN Benzamide, N-2-benzothiazolyl-3,4-dichloro- (9CI) (CA INDEX NAME)



RN 190437-92-4 CAPLUS
CN Benzamide, N-2-benzothiazolyl-2,4,6-trimethoxy- (9CI) (CA INDEX NAME)

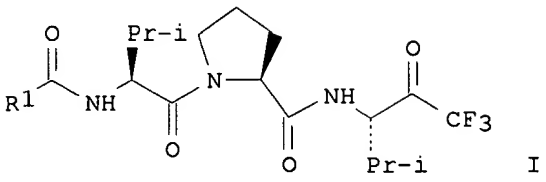


RN 190437-93-5 CAPLUS
CN Benzamide, N-2-benzothiazolyl-2-chloro-4-methoxy- (9CI) (CA INDEX NAME)



L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:603437 CAPLUS
DOCUMENT NUMBER: 127:248392
TITLE: Orally Active Trifluoromethyl Ketone Inhibitors of Human Leukocyte Elastase
AUTHOR(S): Veale, Chris A.; Bernstein, Peter R.; Bohnert, Claudia
M.; Brown, Frederick J.; Bryant, Craig; Damewood, James R., Jr.; Earley, Roger; Feeney, Scott W.; Edwards, Philip D.; Gomes, Bruce; Hulsizer, James M.; Kosmider, Ben J.; Krell, Robert D.; Moore, Gary; Salcedo, Theodora W.; Shaw, Andrew; Silberstein, David
S.; Steelman, Gary B.; Stein, Mark; Strimpler, Anne; Thomas, Roy M.; Vacek, Edward P.; Williams, Joseph C.;

Wolanin, Donald J.; Woolson, Sheila
CORPORATE SOURCE: Departments of Medicinal Chemistry Drug Disposition and Metabolism and Pharmacology, ZENECA Pharmaceuticals, Wilmington, DE, 19897, USA
SOURCE: J. Med. Chem. (1997), 40(20), 3173-3181
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



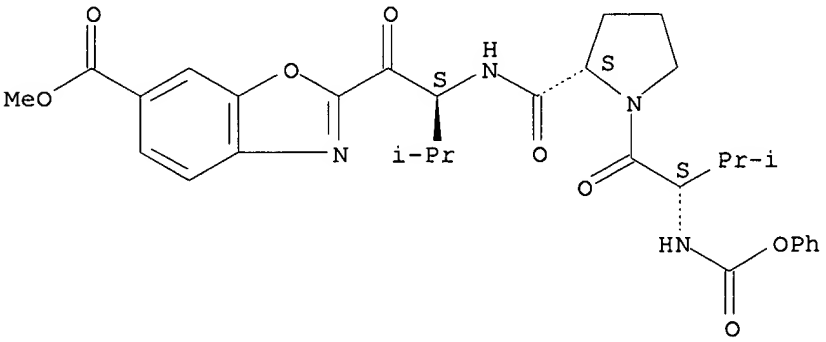
I

AB This paper describes the development of a series of peptidyl trifluoromethyl ketone inhibitors of human leukocyte elastase which are found to have excellent pharmacol. profiles. **Methods** have been developed that allow for the synthesis of these inhibitors in stereochem. pure form. Two of these compds., I [R1 = p-anisyl or MeO (1l)], have high levels of oral bioavailability in several species. Compd. 1l has entered development as ZD8321 and is presently undergoing clin. evaluation. These compds. demonstrate that peptidyl trifluoromethyl ketone inhibitors can achieve high levels of oral activity and bioavailability, and therefore they may prove useful as therapeutic agents in the **treatment** of **diseases** in which elastase is implicated.

IT **195727-51-6P 195727-52-7P 195727-53-8P 195727-54-9P 195727-56-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of peptidyl trifluoromethyl ketone inhibitors of human leukocyte elastase)

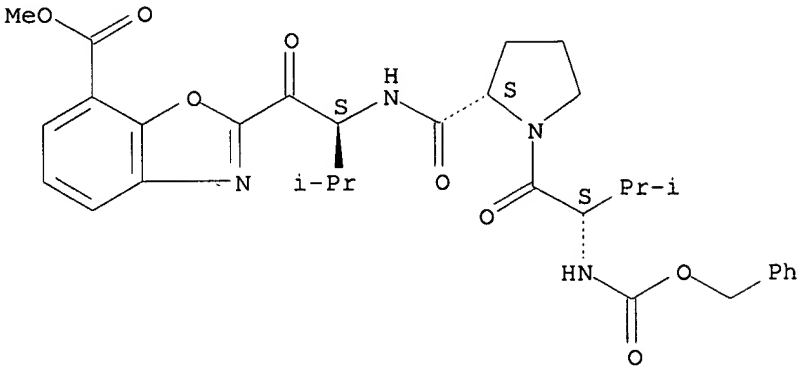
RN 195727-51-6 CAPLUS
CN L-Prolinamide, N-(phenoxycarbonyl)-L-valyl-N-[(1S)-1-[[6-(methoxycarbonyl)-2-benzoxazolyl]carbonyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



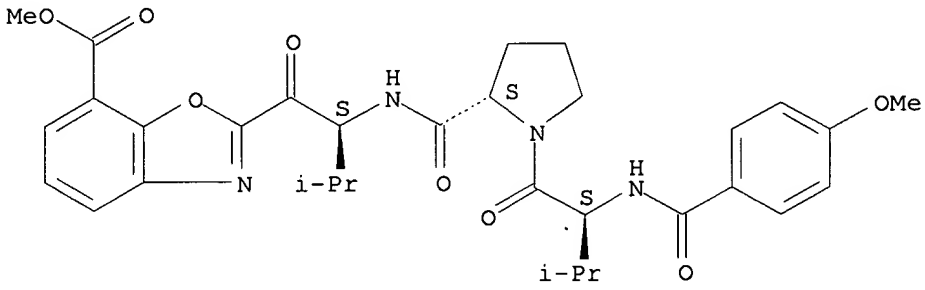
RN 195727-52-7 CAPLUS
CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-L-valyl-N-[(1S)-1-[[7-(methoxycarbonyl)-2-benzoxazolyl]carbonyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



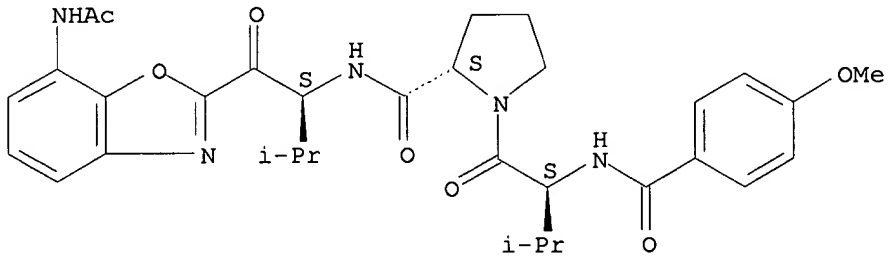
RN 195727-53-8 CAPLUS
CN L-Prolinamide, N-(4-methoxybenzoyl)-L-valyl-N-[(1S)-1-[[7-(methoxycarbonyl)-2-benzoxazolyl]carbonyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



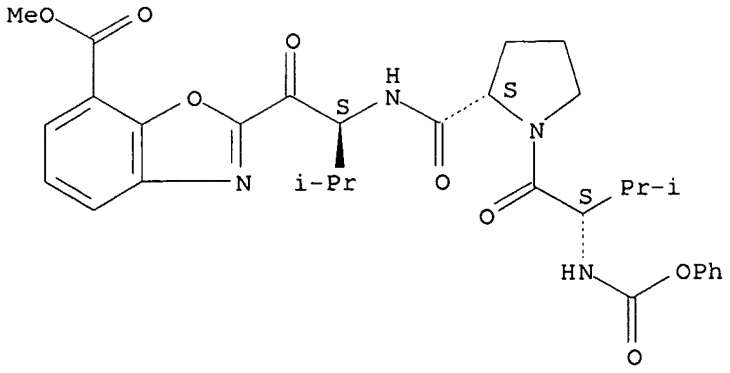
RN 195727-54-9 CAPLUS
CN L-Prolinamide,
N-(4-methoxybenzoyl)-L-valyl-N-[(1S)-1-[[7-(acetylamino)-2-benzoxazolyl]carbonyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 195727-56-1 CAPLUS
CN L-Prolinamide,
N-(phenoxycarbonyl)-L-valyl-N-[(1S)-1-[[7-(methoxycarbonyl)-2-benzoxazolyl]carbonyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)

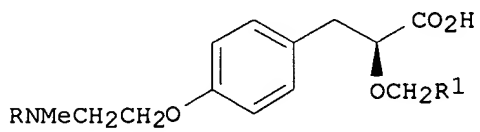
Absolute stereochemistry.



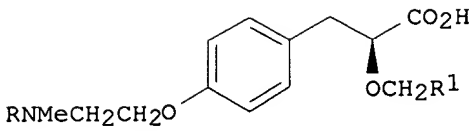
L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:564948 CAPLUS
DOCUMENT NUMBER: 127:161818
TITLE: Preparation of benzoxazole or pyridine derivatives as agonists of PPAR.alpha. and PPAR.gamma. for the **treatment** of Syndrome X
INVENTOR(S): Smith, Stephen Alistair
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK; Smith, Stephen Alistair
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9725042	A1	19970717	WO 1997-EP58	19970107
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2242632	AA	19970717	CA 1997-2242632	19970107
AU 9714397	A1	19970801	AU 1997-14397	19970107
EP 879053	A1	19981125	EP 1997-900973	19970107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
CN 1212622	A	19990331	CN 1997-192711	19970107
BR 9706968	A	19990406	BR 1997-6968	19970107
JP 2000503643	T2	20000328	JP 1997-524855	19970107
ZA 9700171	A	19980724	ZA 1997-171	19970109
NO 9803147	A	19980908	NO 1998-3147	19980708
US 6166049	A	20001226	US 1998-101316	19980910

PRIORITY APPLN. INFO.: GB 1996-464 A 19960109
WO 1997-EP58 W 19970107
OTHER SOURCE(S): MARPAT 127:161818
GI



I



I

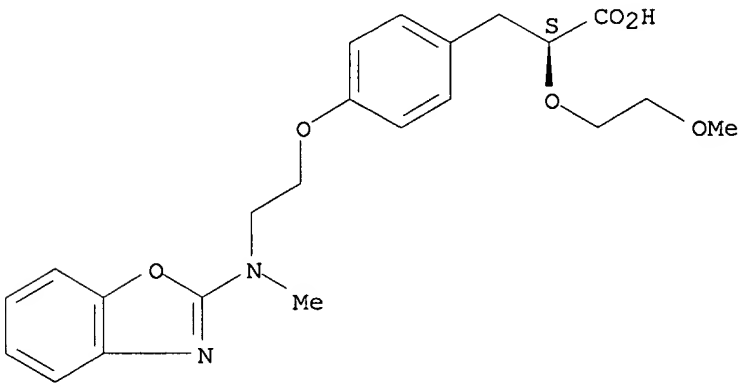
AB I (R = 2-benzoxazolyl, 2-pyridyl; R1 = CH2OMe, CF3) were prepd. for **treatment** and/or prophylaxis of Syndrome X in a human or non-human mammal, which **method** comprises the administration of an effective, nontoxic and pharmaceutically effective amt. of an agonist of PPAR.alpha. and PPAR.gamma.. E.g., treating [2S,N(1S)]-3-[4-[2-[N-(2-benzoxazolyl)-N-methylamino]ethoxy]phenyl]-2-(2-methoxyethoxy)-N-(2-hydroxy-1-phenylethyl)propanamide with H2SO4 in aq. dioxane gave (S)-3-[4-[2-[N-(2-benzoxazolyl)-N-methylamino]ethoxy]phenyl]-2-(2-methoxyethoxy)propanoic acid. Agonist effects of I at human PPAR.alpha. and PPAR.gamma. were assessed.

IT **177785-16-9P 177785-17-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzoxazole or pyridine derivs. as agonists of PPAR.alpha. and PPAR.gamma.)

RN 177785-16-9 CAPLUS

CN Benzenepropanoic acid, 4-[2-(2-benzoxazolylmethylamino)ethoxy]-.alpha.-(2-methoxyethoxy)-, (.alpha.S)- (9CI) (CA INDEX NAME)

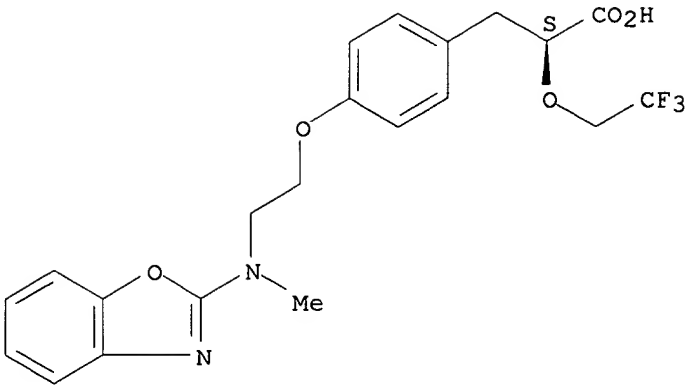
Absolute stereochemistry. Rotation (-).



RN 177785-17-0 CAPLUS

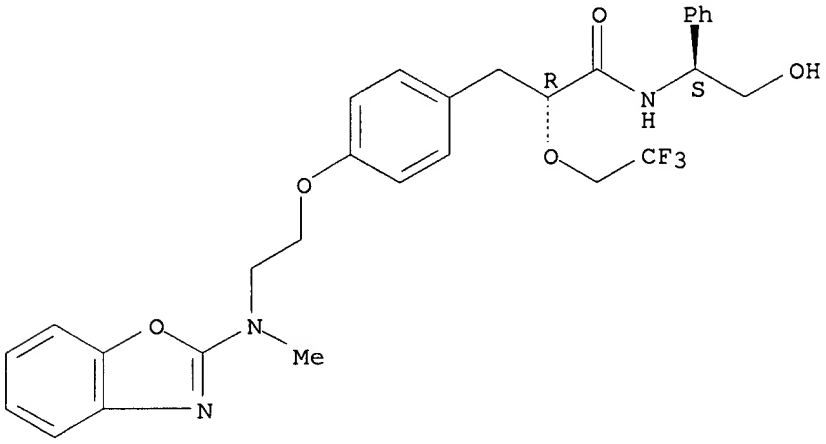
CN Benzenepropanoic acid, 4-[2-(2-benzoxazolylmethylamino)ethoxy]-.alpha.-(2,2,2-trifluoroethoxy)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

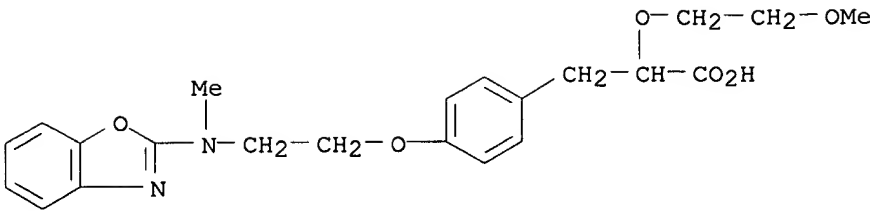


IT **193559-09-0P**
RL: BYP (Byproduct); PREP (Preparation)
(prepn. of benzoxazole or pyridine derivs. as agonists of PPAR.alpha. and PPAR.gamma.)
RN 193559-09-0 CAPLUS
CN Benzenepropanamide, 4-[2-(2-benzoxazolylmethylamino)ethoxy]-N-[(1S)-2-hydroxy-1-phenylethyl]-.alpha.-(2,2,2-trifluoroethoxy)-, (.alpha.R)-(9CI)
(CA INDEX NAME)

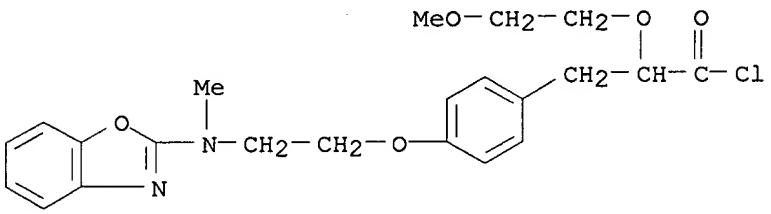
Absolute stereochemistry. Rotation (+).



IT **177785-18-1P 177785-19-2P 177785-20-5P**
177785-22-7P 177785-23-8P 177785-25-0P
177785-26-1P 177785-27-2P 177785-29-4P
177785-30-7P 177785-31-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of benzoxazole or pyridine derivs. as agonists of PPAR.alpha. and PPAR.gamma.)
RN 177785-18-1 CAPLUS
CN Benzenepropanoic acid, 4-[2-(2-benzoxazolylmethylamino)ethoxy]-.alpha.-(2-methoxyethoxy)- (9CI) (CA INDEX NAME)

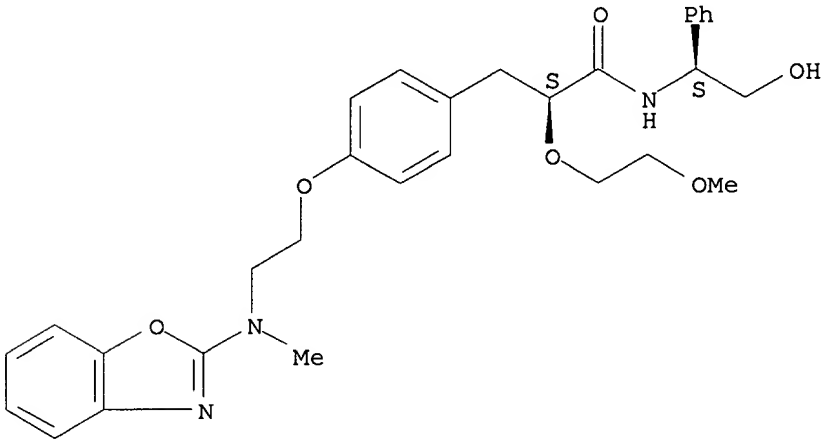


RN 177785-19-2 CAPLUS
CN Benzenepropanoyl chloride, 4-[2-(2-benzoxazolylmethylamino)ethoxy]-.alpha.-(2-methoxyethoxy)- (9CI) (CA INDEX NAME)

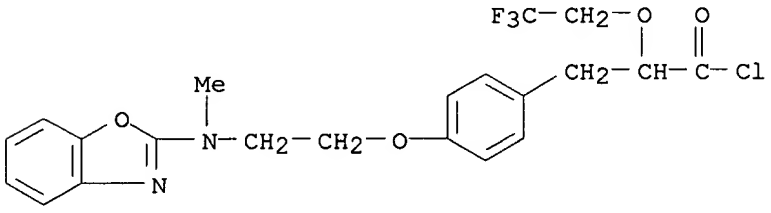


RN 177785-20-5 CAPLUS
CN Benzenepropanamide, 4-[2-(2-benzoxazolylmethylamino)ethoxy]-N-[(1S)-2-hydroxy-1-phenylethyl]-.alpha.-(2-methoxyethoxy)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



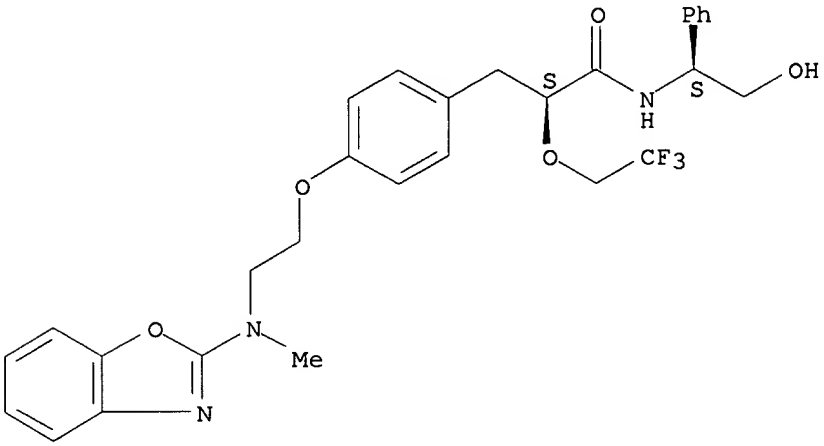
RN 177785-22-7 CAPLUS
CN Benzenepropanoyl chloride, 4-[2-(2-benzoxazolylmethylamino)ethoxy]-.alpha.-(2,2,2-trifluoroethoxy)- (9CI) (CA INDEX NAME)



RN 177785-23-8 CAPLUS

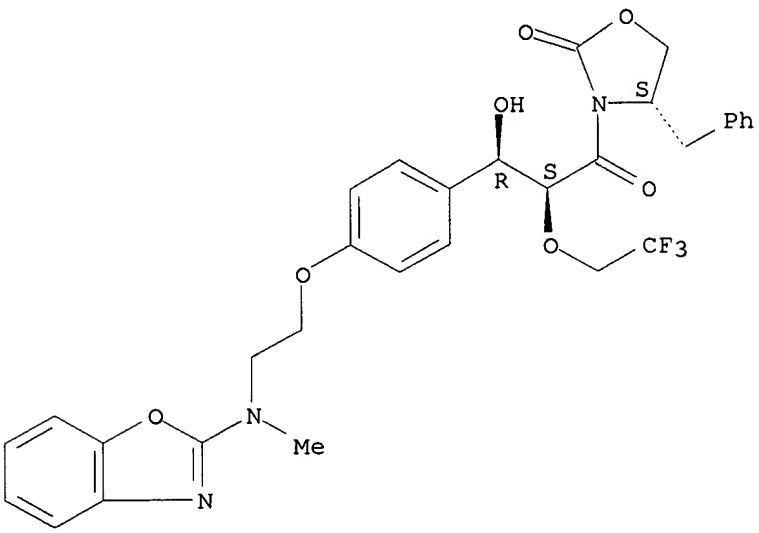
CN Benzenepropanamide, 4-[2-(2-benzoxazolylmethylamino)ethoxy]-N-[(1S)-2-hydroxy-1-phenylethyl]-.alpha.-(2,2,2-trifluoroethoxy)-, (.alpha.S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



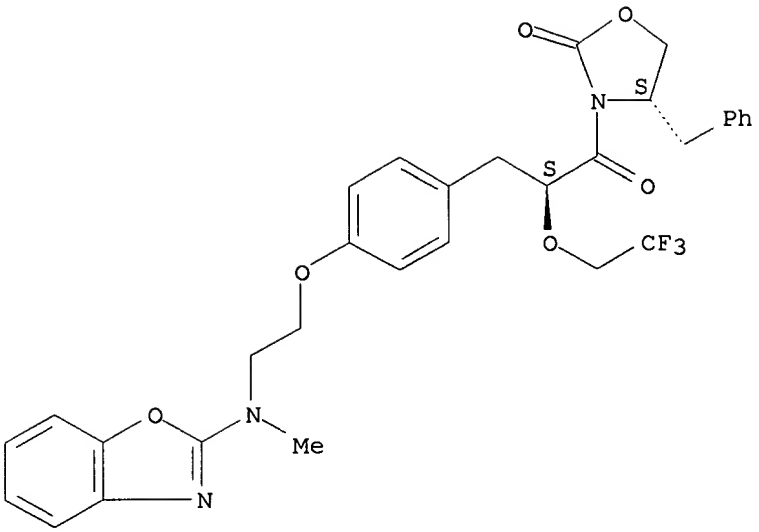
RN 177785-25-0 CAPLUS
CN 2-Oxazolidinone,
3-[(2S,3R)-3-[4-[2-(2-benzoxazolylmethylamino)ethoxy]phenyl]-3-hydroxy-1-oxo-2-(2,2,2-trifluoroethoxy)propyl]-4-(phenylmethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



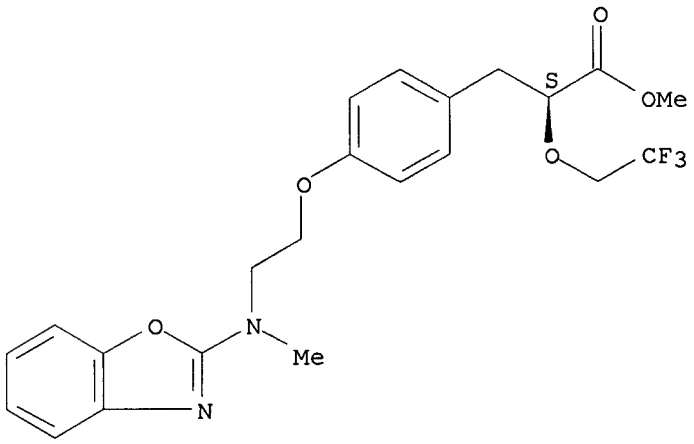
RN 177785-26-1 CAPLUS
CN 2-Oxazolidinone,
3-[(2S)-3-[4-[2-(2-benzoxazolylmethylamino)ethoxy]phenyl]-1-oxo-2-(2,2,2-trifluoroethoxy)propyl]-4-(phenylmethyl)-, (4S)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry. Rotation (+).



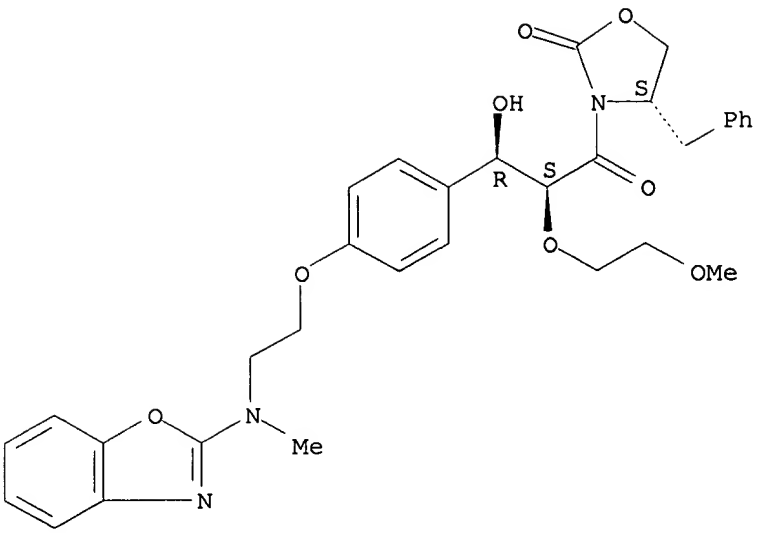
RN 177785-27-2 CAPLUS
CN Benzenepropanoic acid, 4-[2-(2-benzoxazolylmethylamino)ethoxy]-.alpha.-(2,2,2-trifluoroethoxy)-, methyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



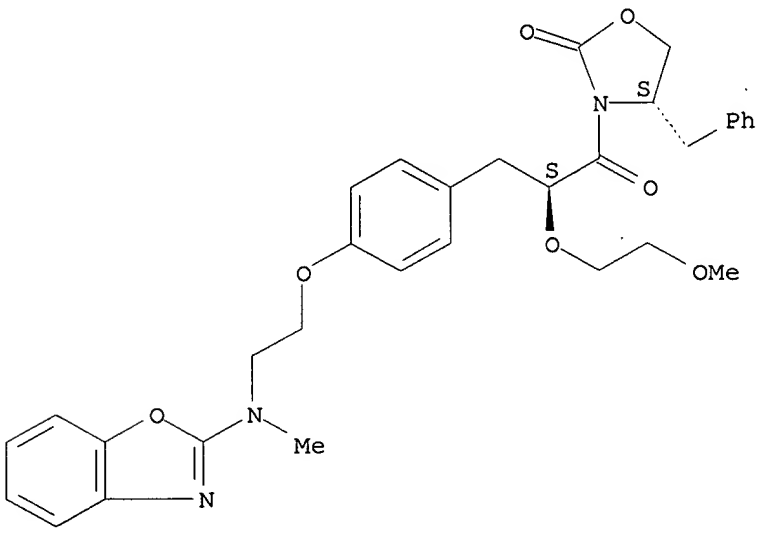
RN 177785-29-4 CAPLUS
CN 2-Oxazolidinone, 3-[(2S,3R)-3-[4-[2-(2-benzoxazolylmethylamino)ethoxy]phenyl]-3-hydroxy-2-(2-methoxyethoxy)-1-oxopropyl]-4-(phenylmethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



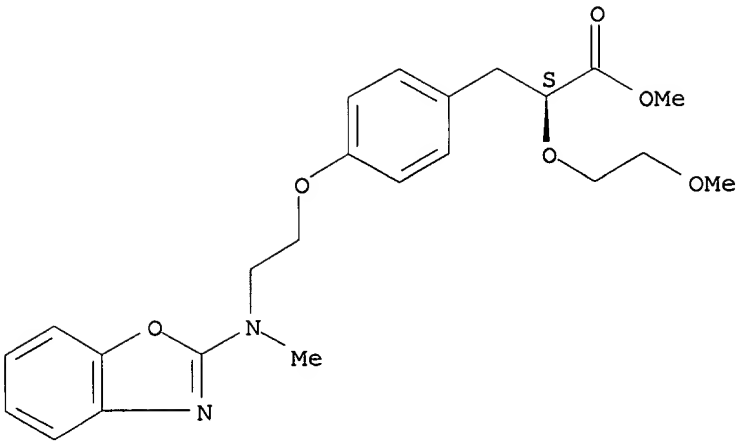
RN 177785-30-7 CAPLUS
CN 2-Oxazolidinone,
3-[(2S)-3-[4-[2-(2-benzoxazolylmethylamino)ethoxy]phenyl]-
2-(2-methoxyethoxy)-1-oxopropyl]-4-(phenylmethyl)-, (4S)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry. Rotation (+).

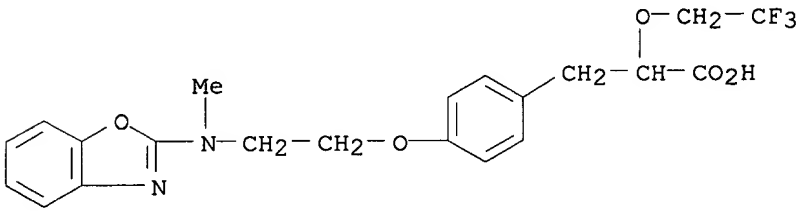


RN 177785-31-8 CAPLUS
CN Benzenepropanoic acid,
4-[2-(2-benzoxazolylmethylamino)ethoxy]-.alpha.-(2-
methoxyethoxy)-, methyl ester, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **177785-21-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of benzoxazole or pyridine derivs. as agonists of PPAR.alpha. and PPAR.gamma.)
RN 177785-21-6 CAPLUS
CN Benzenepropanoic acid, 4-[2-(2-benzoxazolylmethylamino)ethoxy]-.alpha.-(2,2,2-trifluoroethoxy)- (9CI) (CA INDEX NAME)



L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:397336 CAPLUS
DOCUMENT NUMBER: 127:17703
TITLE: Preparation of (hetero)aromatic compounds for treating
bone deficit conditions.
INVENTOR(S): Petrie, Charles; Orme, Mark W.; Baindur, Nand; Robbins, Kirk G.; Harris, Scott M.; Kontoyianni, Maria; Hurley, Laurence H.; Kerwin, Sean M.; Mundy, Gregory R.
PATENT ASSIGNEE(S): Zymogenetics, Inc., USA; Osteoscreen, Inc.; University
of Texas At Austin
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9715308	A1	19970501	WO 1996-US17019	19961023

W: AL, AM, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, FI, GE, HU, IL, IS, JP, KG, KP, KR, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,

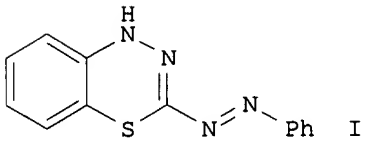
IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

CA 2235481	AA	19970501	CA 1996-2235481	19961023
AU 9674710	A1	19970515	AU 1996-74710	19961023
AU 706262	B2	19990610		
EP 866710	A1	19980930	EP 1996-936906	19961023
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1201393	A	19981209	CN 1996-197827	19961023
BR 9611210	A	19991228	BR 1996-11210	19961023
JP 2000513324	T2	20001010	JP 1997-516761	19961023
US 6008208	A	19991228	US 1997-878868	19970619
NO 9801810	A	19980622	NO 1998-1810	19980422

PRIORITY APPLN. INFO.: US 1995-5830 P 19951023
US 1996-735875 B1 19961023
WO 1996-US17019 W 19961023

OTHER SOURCE(S): MARPAT 127:17703

GI



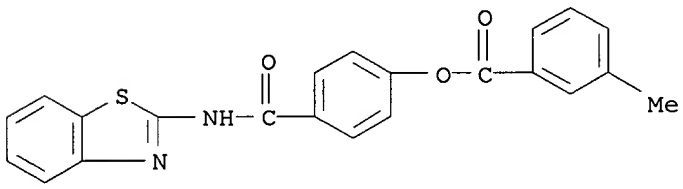
I

AB A **method** for treating deficient bone growth and/or undesirable bone resorption comprises administration of compds. comprising 2 (substituted) arom. systems spaced apart by a linker of 1.5-15 .ANG., is claimed. Thus, dithizone was refluxed in EtOH/HOAc for 18 h to give 25% title compd. (I). In a calvarial bone growth assay, I induced a 4-fold increase in width of new calvarial bone vs. controls.

IT **190437-16-2 190437-54-8 190437-57-1**
190437-79-7 190437-80-0 190437-88-8
190437-89-9 190437-92-4 190437-93-5
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of (hetero)arom. compds. for treating bone deficit conditions)

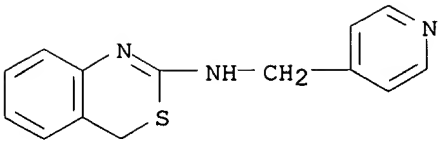
RN 190437-16-2 CAPLUS

CN Benzoic acid, 3-methyl-, 4-[(2-benzothiazolylamino)carbonyl]phenyl ester (9CI) (CA INDEX NAME)

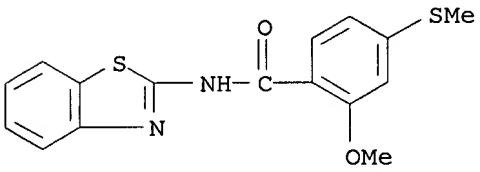


RN 190437-54-8 CAPLUS

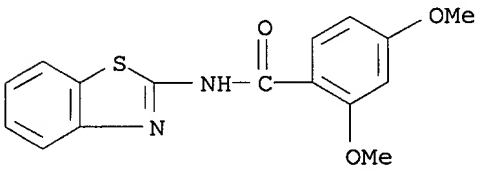
CN 4H-3,1-Benzothiazin-2-amine, N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 190437-57-1 CAPLUS
CN Benzamide, N-2-benzothiazolyl-2-methoxy-4-(methylthio)- (9CI) (CA INDEX NAME)



RN 190437-79-7 CAPLUS
CN Benzamide, N-2-benzothiazolyl-2,4-dimethoxy- (9CI) (CA INDEX NAME)



RN 190437-80-0 CAPLUS
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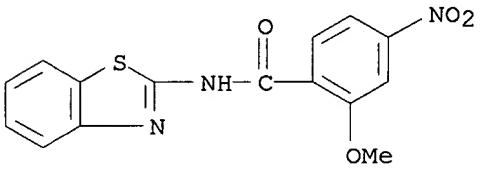

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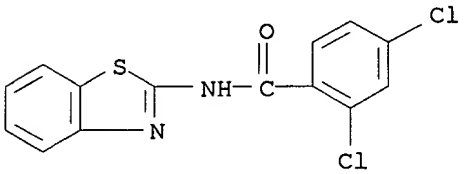
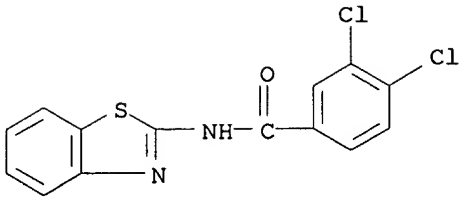
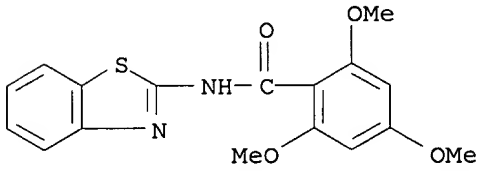


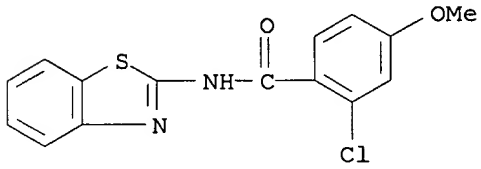
RN 190437-89-9 CAPLUS
CN Benzamide, N-2-benzothiazolyl-3,4-dichloro- (9CI) (CA INDEX NAME)



RN 190437-92-4 CAPLUS
CN Benzamide, N-2-benzothiazolyl-2,4,6-trimethoxy- (9CI) (CA INDEX NAME)



RN 190437-93-5 CAPLUS
CN Benzamide, N-2-benzothiazolyl-2-chloro-4-methoxy- (9CI) (CA INDEX NAME)



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---Logging off of STN---

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Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	54.50	188.52

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-7.06	-7.06

STN INTERNATIONAL LOGOFF AT 17:06:42 ON 27 DEC 2001

Trying 3106016892...Open

Welcome to STN International! Enter x:x
LOGINID:SSSPTA1613SXW
PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Dec 17	The CA Lexicon available in the CAPLUS and CA files
NEWS 3	Feb 06	Engineering Information Encompass files have new names
NEWS 4	Feb 16	TOXLINE no longer being updated
NEWS 5	Apr 23	Search Derwent WPINDEX by chemical structure
NEWS 6	Apr 23	PRE-1967 REFERENCES NOW SEARCHABLE IN CAPLUS AND CA
NEWS 7	May 07	DGENE Reload
NEWS 8	Jun 20	Published patent applications (A1) are now in USPATFULL
NEWS 9	JUL 13	New SDI alert frequency now available in Derwent's DWPI and DPCI
NEWS 10	Aug 23	In-process records and more frequent updates now in MEDLINE
NEWS 11	Aug 23	PAGE IMAGES FOR 1947-1966 RECORDS IN CAPLUS AND CA
NEWS 12	Aug 23	Adis Newsletters (ADISNEWS) now available on STN
NEWS 13	Sep 17	IMSworld Pharmaceutical Company Directory name change to PHARMASEARCH
NEWS 14	Oct 09	Korean abstracts now included in Derwent World Patents Index
NEWS 15	Oct 09	Number of Derwent World Patents Index updates increased
NEWS 16	Oct 15	Calculated properties now in the REGISTRY/ZREGISTRY File
NEWS 17	Oct 22	Over 1 million reactions added to CASREACT
NEWS 18	Oct 22	DGENE GETSIM has been improved
NEWS 19	Oct 29	AAASD no longer available
NEWS 20	Nov 19	New Search Capabilities USPATFULL and USPAT2
NEWS 21	Nov 19	TOXCENTER(SM) - new toxicology file now available on STN
NEWS 22	Nov 29	COPPERLIT now available on STN
NEWS 23	Nov 29	DWPI revisions to NTIS and US Provisional Numbers
NEWS 24	Nov 30	Files VETU and VETB to have open access
NEWS 25	Dec 10	WPINDEX/WPIDS/WPIX New and Revised Manual Codes for 2002
NEWS 26	Dec 10	DGENE BLAST Homology Search
NEWS 27	Dec 17	WELDASEARCH now available on STN
NEWS 28	Dec 17	STANDARDS now available on STN
NEWS 29	Dec 17	New fields for DPCI
NEWS 30	Dec 19	CAS Roles modified
NEWS 31	Dec 19	1907-1946 data and page images added to CA and CAplus

NEWS EXPRESS	August 15 CURRENT WINDOWS VERSION IS V6.0c, CURRENT MACINTOSH VERSION IS V6.0 (ENG) AND V6.0J (JP), AND CURRENT DISCOVER FILE IS DATED 07 AUGUST 2001
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:09:55 ON 27 DEC 2001

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.15	0.15

FILE 'REGISTRY' ENTERED AT 17:10:00 ON 27 DEC 2001
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

STRUCTURE FILE UPDATES: 26 DEC 2001 HIGHEST RN 378741-70-9
DICTIONARY FILE UPDATES: 26 DEC 2001 HIGHEST RN 378741-70-9

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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L1 STRUCTURE UPLOADED

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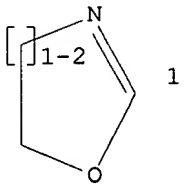
L1 HAS NO ANSWERS
L1 STR



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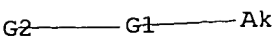

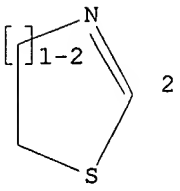

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G1 C,N

G2 [@1],[@2]

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 55628 TO ITERATE

1.8% PROCESSED 1000 ITERATIONS 8 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 7635

L2 8 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 17:11:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

< 19.4% PROCESSED 193776 ITERATIONS 1682 ANSWERS

< 40.0% PROCESSED 400000 ITERATIONS 3544 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.43

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 9544

L3 3544 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	134.49	134.64

FILE 'CAPLUS' ENTERED AT 17:12:06 ON 27 DEC 2001
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 27 Dec 2001 VOL 135 ISS 26
FILE LAST UPDATED: 26 Dec 2001 (20011226/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

CAplus now provides online access to patents and literature covered in CA from 1907 to the present. Bibliographic information and abstracts were added in 2001 for over 3.8 million records from 1907-1966.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.


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=> s l3 full

L4          821 L3

=> s l4 and diseases?

         152528 DISEASES?
L5           33 L4 AND DISEASES?

=> s l5 and method?

        2935163 METHOD?
L6            0 L5 AND METHOD?

=> d l5 1-10 ibib abs hitstr


L5   ANSWER 1 OF 33  CAPLUS  COPYRIGHT 2001 ACS
ACCESSION NUMBER:         2001:791912  CAPLUS
DOCUMENT NUMBER:          135:344503
TITLE:                    Preparation of imidazopyrimidines and
                          triazolopyrimidines as inhibitors of Syk tyrosine
                          kinase
INVENTOR(S):              Yura, Takeshi; Conception, Arnel B.; Hahn, Kyun Hee;
```

Hiraoka, Makiko; Katsumada, Hiroko; Kawamura, Norihiro; Kokubo, Toshio; Komura, Hiroshi; Lee, Young Ho; Lowinger, Timothy B.; Motegi, Munehito; Yamamoto, Tomoyuki; Yoshida, Osahiro

PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Jpn. Kokai Tokkyo Koho, 212 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001302667	A2	20011031	JP 2000-128870	20000428
WO 2001083485	A1	20011108	WO 2001-EP4357	20010417

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-128870 A 20000428
OTHER SOURCE(S): MARPAT 135:344503
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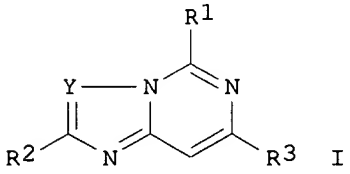


I

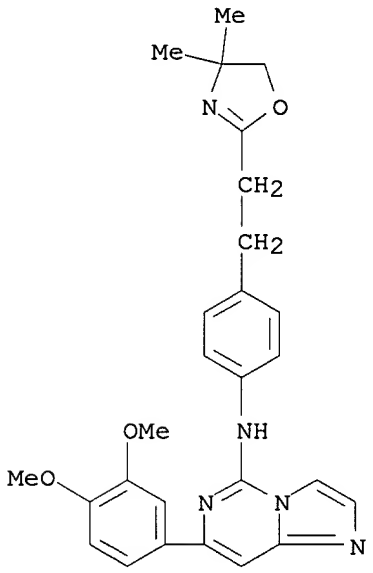
AB The title compds. [I; R1 = X-R4, (un)substituted 4- to 5-membered (un)satd. heterocyclyl contg. .ltoreq.4 heteroatoms selected from O, N, and S, 4 to 7-membered (un)satd. carbocyclyl, 7 to 10-membered (un)satd. condensed ring moiety optionally contg. .ltoreq.4 heteroatoms selected from O, N, and S [wherein X = (un)substituted CH2, O, S, SO, SO2, (un)substituted NH; R4 = (un)substituted C7-10 aroyl, C7-10 aralkyl, C1-10 alkyl, C2-10 alkenyl, C3-7 (un)satd. carbocyclyl, 4 to 7-membered (un)satd. heterocyclyl contg. .ltoreq.4 heteroatoms selected from O, N, and S, 7 to 10-membered (un)satd. condensed ring moiety optionally contg. .ltoreq.4 heteroatoms selected from O, N, and S]; Y = CH, N; R2 = H, (un)substituted C1-10 alkyl, NR8COR9, NR8CO2R9, COR8, CO2R9, CONR8R9 [wherein R8, R9 = H, (un)substituted C1-6 alkyl]; R3 = (un)substituted aryl or heteroaryl] or salts thereof are prepd. These compds. are useful as antiallergic agent for the prevention or treatment of asthma, allergic rhinitis, atopic dermatitis, food allergy, contact allergy, hives, conjunctivitis, and vernal (spring) catarrh, or as immunosuppressants, anticoagulants, or antitumor agents. Thus, 5-chloro-7-(3,4-dimethoxyphenyl)imidazo[1,2-c]pyrimidine, 1-(4-fluorophenyl)piperazine dihydrochloride, diisopropylethylamine, and 2-propanol were heated at 90.degree. with stirring to give 64.6% 7-(3,4-dimethoxyphenyl)-5-[4-(4-fluorophenyl)piperazin-1-yl]imidazo[1,2-c]pyrimidine which showed IC50 of .ltoreq.0.5 .mu.M against Syk tyrosine kinase.

IT **371167-79-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazopyrimidines and triazolopyrimidines as inhibitors of Syk tyrosine kinase, immunosuppressants, anticoagulants, antitumor agents, or antiallergic agents)

RN 371167-79-2 CAPLUS

CN Imidazo[1,2-c]pyrimidin-5-amine, N-[4-[2-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)ethyl]phenyl]-7-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 33 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2001:631913 CAPLUS

DOCUMENT NUMBER: 135:195556

TITLE: Preparation of azolylphenyl oxamides as inosine monophosphate dehydrogenase (IMPDH) inhibitors

INVENTOR(S): Broadhurst, Michael John; Hill, Christopher Huw; Hurst, David Nigel; Jones, Philip Stephen; Kay, Paul Brittain; Kilford, Ian Reginald; Mckinnell, Robert Murray

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: Eur. Pat. Appl., 256 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

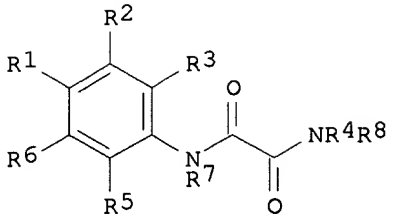
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1127883	A2	20010829	EP 2001-103521	20010216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1310179	A	20010829	CN 2001-104906	20010223
JP 2001261663	A2	20010926	JP 2001-51064	20010226

PRIORITY APPLN. INFO.: GB 2000-4392 A 20000224
GB 2000-15877 A 20000628
GB 2000-20322 A 20000817

OTHER SOURCE(S): MARPAT 135:195556

GI



I

AB Title compds. (I; R1 = heterocyclyl; R2 = H, alkyl, alkoxy, halo, OH, cyano; R3 = H, alkyl, alkoxy, halo, cyano; R4 = H, alkyl, cycloalkyl, aryl, heterocyclyl; R5 = H, alkyl, alkoxy, halo, cyano; R6 = H, alkyl, alkoxy, halo, cyano; R7, R8 = H, alkyl; R4R8N = heterocyclyl), were prepd.

Thus, 1,1-dimethyl-3-(4-nitrophenoxy)propylamine (prepn. given) was coupled with N-[3-methoxy-4-(5-oxazolyl)phenyl]oxamic acid in the presence of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide and 1-hydroxy-7-azabenzotriazole to give N-[3-methoxy-4-(5-oxazolyl)phenyl]-N'-[1,1-dimethyl-3-(4-nitrophenoxy)propyl]oxalamide. Tested I inhibited IMPDH with IC50 = 0.010-0.277 .mu.M. I can be used for treating immune mediated conditions or **diseases**, viral **diseases**, bacterial **diseases**, parasitic **diseases**, inflammation, inflammatory **diseases**, hyperproliferative vascular **diseases**, tumors, and cancer.

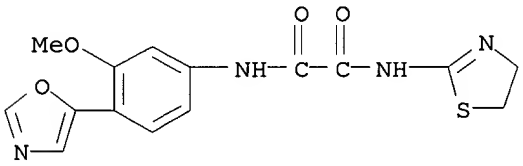
IT **357183-66-5P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of azolylphenyl oxamides as inosine monophosphate dehydrogenase (IMPDH) inhibitors)

RN 357183-66-5 CAPLUS

CN Ethanediamide, N-(4,5-dihydro-2-thiazolyl)-N'-[3-methoxy-4-(5-oxazolyl)phenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2001:526075 CAPLUS

DOCUMENT NUMBER: 135:122506

TITLE: Preparation of 2-amino-2-(aryl or heteroaryl)propanoic acid derivatives and related compounds as non-peptidyl inhibitors of VLA-4 dependent cell binding useful in treating inflammatory, autoimmune, and respiratory **diseases**

INVENTOR(S): Chupak, Louis Stanley; Duplantier, Allen Jacob; Lau, Wan Fang; Milici, Anthony John

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

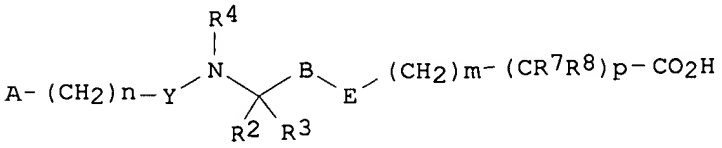
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051487	A1	20010719	WO 2000-IB1893	20001215

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-173260 P 19991228
OTHER SOURCE(S): MARPAT 135:122506
GI



I

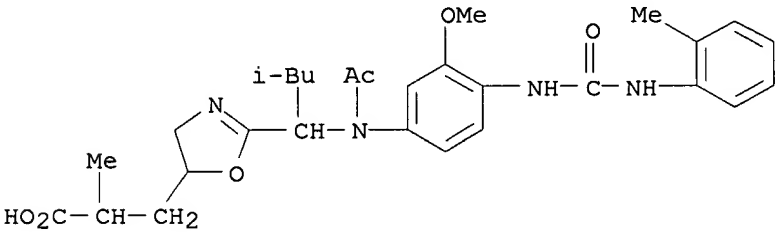
AB There is disclosed a genus of non-peptidyl compds. represented by formula A-(CH2)n-Y-N(R4)-CR2R3-B-E-(CH2)m-(CR7R8)p-CO2H [A is (un)substituted C1-C6 alkyl, cycloalkyl, aryl, heteroaryl or heterocyclyl, A1-NHCONH-A2, A1-NHCO2-A2, A1-O2CNH-A2, A1-NHSO2NH-A2, A1-NHCO-A2, A1-CONH-A2, A-NHSO2-A2, etc. (where A1, A2 = H, (un)substituted aryl, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, cycloalkyl, heteroaryl, or heterocyclyl); E = a single bond, O, (un)substituted NH, CH:CH, C.tplbond.C, S, SO, SO2, (un)substituted CH2NH or CH2; B = Q-Q8 (proviso provided), etc. (where X = O, CO, S, SO, SO2, optionally substituted NH; X1, X2, X3 = optionally substituted CH, N; Y = a single bond, CO, CS, SO2); m = 0,1; n = 0-2; R2, R3 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl, C3-14 carbocyclyl, heterocyclyl, C1-6 alkyl-OR5, C1-6 alkyl-SR5, C1-6 alkyl-SO2R5, heteroaryl, or aryl (where R5, R6 = H, optionally substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, aryl, cycloalkyl, heteroaryl, or heterocyclyl, CF3); R4 = H, (un)substituted C1-6 alkyl; R7 = C1-6 alkyl, (CH2)kOR5, (CH2)kCOR5, (CH2)kCONR6R5, (CH2)kNR6COR5, (CH2)k CO2 R5, (CH2)kNR6SO2R5, (CH2)kNR6R5, F, CF3, etc.; R8 = H, cyano, C1-6 alkyl or alkoxy]. These compds. are active as potent inhibitors of the binding of very late antigen-4 (VLA-4) to proteins such as vascular cell adhesion mol.-1 (VCAM-1), the HepII/IIICS domain (CS-1 region) of fibronectin and osteopontin (no data). They are effective for preventing, inhibiting, suppressing or reducing cell adhesion and consequent or assocd. pathogenic processes subsequently mediated by VLA-4. They are useful in treating inflammatory, autoimmune, and respiratory **diseases** which are selected from asthma, multiple sclerosis, rheumatoid arthritis, osteoarthritis, inflammatory bowel disease, psoriasis, host rejection following organ transplantation, atherosclerosis, and other **diseases** mediated by or assocd. with VLA-4. Thus, 3,5-dichlorobenzenesulfonyl chloride (86.7 mg) was added to a soln. of 2-allyloxycarbonylamino-3-(3-pyrrolidin-2-ylisoxazol-5-yl)propionic acid Et ester hydrochloride (110 mg) and sodium carbonate (93.5 mg) in water (1.5 mL) and stirred overnight to give 37% 2-Allyloxycarbonylamino-3-[3-[1-

(3,5-dichlorobenzenesulfonyl)pyrrolidin-2-y]isoxazol-5-yl]propionic acid Et ester which (59 mg) was stirred with 2 M aq. LiOH (0.5 mL) at room temp. for 40 min and acidified to pH 1 with 1 M HCl t give 91%

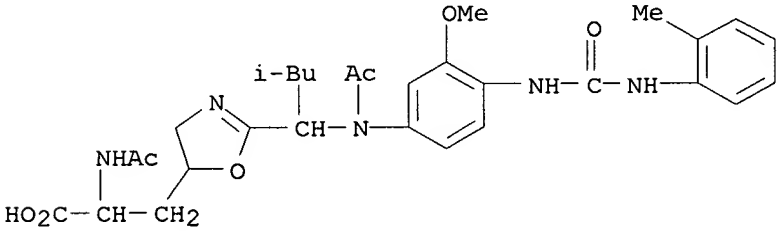
2-Allyloxycarbonylamino-3-[3-[1-(3,5-dichlorobenzenesulfonyl)pyrrolidin-2-y]isoxazol-5-yl]propionic acid.

IT **350673-93-7P 350673-94-8P 350673-95-9P 350673-96-0P 350674-10-1P 350674-11-2P 350674-12-3P 350674-13-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino(aryl or heteroaryl)propanoic acid derivs. and related compds. as non-peptidyl inhibitors of VLA-4 dependent cell binding for treating inflammatory, autoimmune, and respiratory **diseases**)

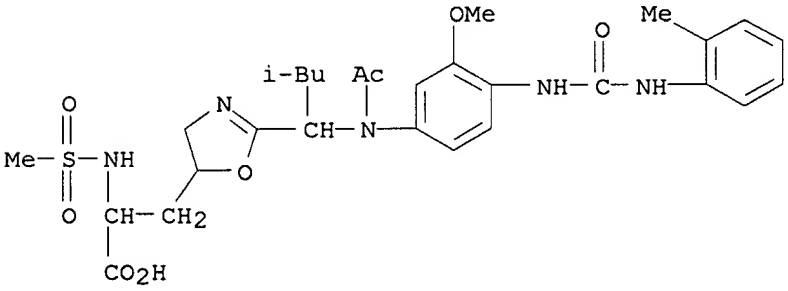
RN 350673-93-7 CAPLUS
CN 5-Oxazolepropanoic acid, 2-[1-[acetyl[3-methoxy-4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]amino]-3-methylbutyl]-4,5-dihydro-.alpha.-methyl- (9CI) (CA INDEX NAME)

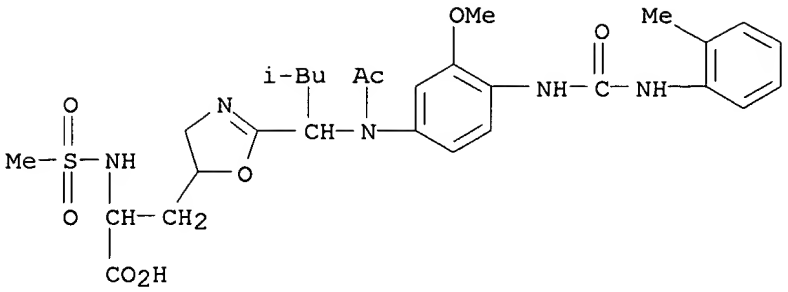


RN 350673-94-8 CAPLUS
CN 5-Oxazolepropanoic acid, .alpha.-(acetylamino)-2-[1-[acetyl[3-methoxy-4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]amino]-3-methylbutyl]-4,5-dihydro- (9CI) (CA INDEX NAME)

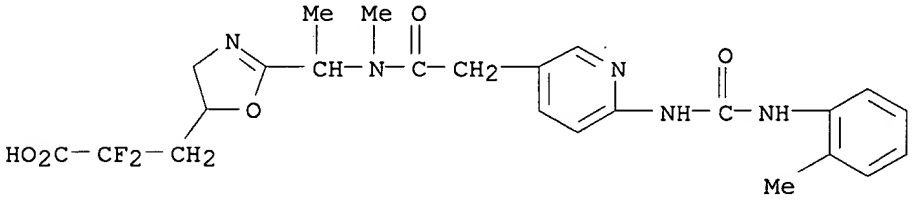


RN 350673-95-9 CAPLUS
CN 5-Oxazolepropanoic acid, 2-[1-[acetyl[3-methoxy-4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]amino]-3-methylbutyl]-4,5-dihydro-.alpha.-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

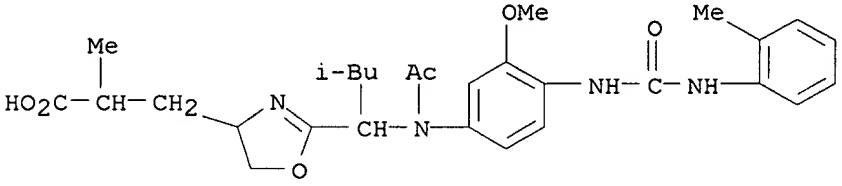




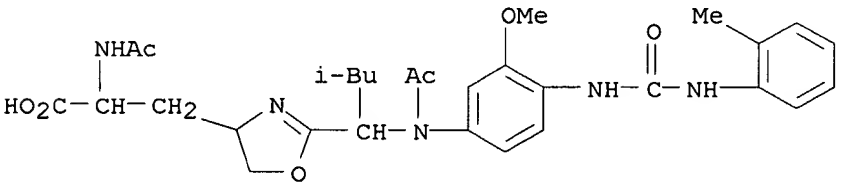
RN 350673-96-0 CAPLUS
CN 5-Oxazolepropanoic acid, .alpha.,.alpha.-difluoro-4,5-dihydro-2-[1-[methyl[[6-[[[(2-methylphenyl)amino]carbonyl]amino]-3-pyridinyl]acetyl]amino]ethyl]- (9CI) (CA INDEX NAME)



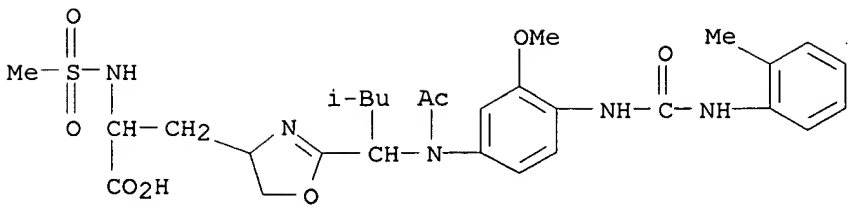
RN 350674-10-1 CAPLUS
CN 4-Oxazolepropanoic acid, 2-[1-[acetyl[3-methoxy-4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]amino]-3-methylbutyl]-4,5-dihydro-.alpha.-methyl- (9CI) (CA INDEX NAME)



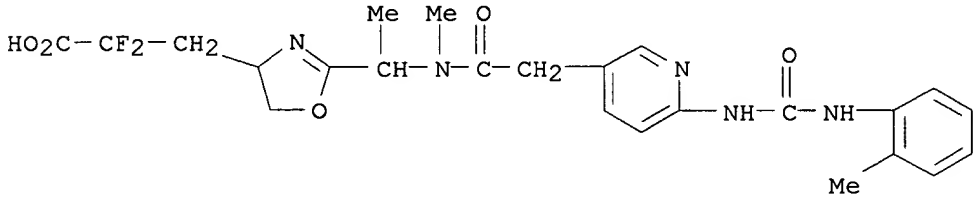
RN 350674-11-2 CAPLUS
CN 4-Oxazolepropanoic acid, .alpha.-(acetylamino)-2-[1-[acetyl[3-methoxy-4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]amino]-3-methylbutyl]-4,5-dihydro- (9CI) (CA INDEX NAME)



RN 350674-12-3 CAPLUS
CN 4-Oxazolepropanoic acid, 2-[1-[acetyl[3-methoxy-4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]amino]-3-methylbutyl]-4,5-dihydro-.alpha.-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 350674-13-4 CAPLUS
CN 4-Oxazolepropanoic acid, .alpha.,.alpha.-difluoro-4,5-dihydro-2-[1-[methyl[[6-[[[(2-methylphenyl)amino]carbonyl]amino]-3-pyridinyl]acetyl]amino]ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10
REFERENCE(S): (1) Almquist, R; WO 9703094 A 1997 CAPLUS
(2) Biogen Inc; WO 9622966 A 1996 CAPLUS
(3) Du Pont Merck Pharma; WO 9637492 A 1996 CAPLUS
(4) Hagmann, W; WO 9925685 A 1999 CAPLUS
(5) Lai, J; WO 9923063 A 1999 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2001:500143 CAPLUS
DOCUMENT NUMBER: 135:236340
TITLE: A rational approach to the design of selective substrates and potent nontransportable inhibitors of the excitatory amino acid transporter EAAC1 (EAAT3). New glutamate and aspartate analogues as potential neuroprotective agents
AUTHOR(S): Campiani, Giuseppe; De Angelis, Meri; Armaroli, Silvia; Fattorusso, Caterina; Catalanotti, Bruno; Ramunno, Anna; Nacci, Vito; Novellino, Ettore; Grewer, Christof; Ionescu, Diana; Rauen, Thomas; Griffiths, Roger; Sinclair, Colin; Fumagalli, Elena; Mennini, Tiziana
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita' degli Studi di Salerno, Fisciano, 84084, Italy
SOURCE: J. Med. Chem. (2001), 44(16), 2507-2510
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

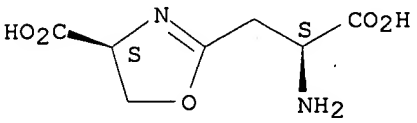
AB Two three-dimensional receptor interaction models for EAAT substrates and nontransportable inhibitors have been developed, and new glutamate (Glu) and aspartate (Asp) analogs have been synthesized. The analogs 1a and 3 represent novel lead compds. for the development of EAAT substrates and nontransportable inhibitors, selective for EAATs over iGluRs, as possible neuroprotective agents useful to minimize the progression of chronic or acute neurodegenerative **diseases**. The role played by the protonatable amine function in the interaction with EAATs has been discussed.

IT **359868-50-1P 359868-51-2P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological

process); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(glutamate and aspartate analogs as potential neuroprotective agents)

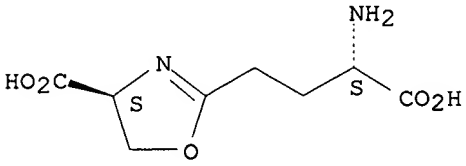
RN 359868-50-1 CAPLUS
CN 2-Oxazolepropanoic acid, .alpha.-amino-4-carboxy-4,5-dihydro-, (.alpha.S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 359868-51-2 CAPLUS
CN 2-Oxazolebutanoic acid, .alpha.-amino-4-carboxy-4,5-dihydro-, (.alpha.S,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 19
REFERENCE(S):
(2) Coyle, J; Science 1993, V262, P689 CAPLUS
(3) Evans, D; J Org Chem 1979, V44, P497 CAPLUS
(4) Gegelashvili, G; Mol Pharmacol 1997, V52, P6 CAPLUS
(5) Grewer, C; Proc Natl Acad Sci USA 2000, V97, P9706 CAPLUS
(6) Koch, H; Mol Pharmacol 1999, V55, P1044 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2001:380569 CAPLUS
DOCUMENT NUMBER: 135:5610
TITLE: Preparation of novel 2-(N-cyanoimino)thiazolidin-4-one derivatives as hypolipidemics and hypocholesteremics
INVENTOR(S): Yoneda, Fumio; Ohde, Hironori; Watanabe, Mayumi; Ando, Takashi; Yasusa, Takuya; Uegaki, Yuko
PATENT ASSIGNEE(S): Fujimoto Brothers Co., Ltd., Japan
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

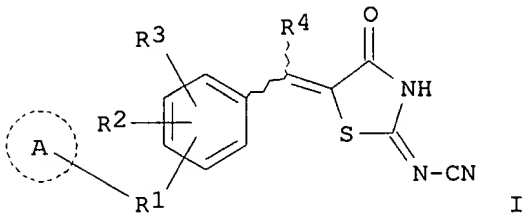
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036402	A1	20010525	WO 1999-JP6352	19991112

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,

TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1142885 A1 20011010 EP 1999-974189 19991112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.: WO 1999-JP6352 W 19991112
OTHER SOURCE(S): MARPAT 135:5610
GI



I

AB Novel 2-(N-cyanoimino)thiazolidin-4-one derivs. represented by general formula [I; ring A = benzene or its condensed ring or heterocyclic ring optionally substituted by linear or branched C1-4 alkyl, haloalkyl, OH, or C1-4 alkoxy; R1 = single bond, O, S, methine, optionally phenyl-substituted C1-4 alkylene or alkenylene, R6-X, X-R6, X-R6-X, CONR7, NR7CO; wherein R6 = linear or branched alkylene or alkenylene; X = O, S; R7 = H, C1-4 alkyl; R2, R3 = H, C1-4 alkyl, H, C1-4 alkoxy, aralkyloxy, halo; R4 = H, C1-4 alkyl], which exhibit excellent cholesterol-lowering and triglyceride-lowering activities and are useful in the prevention or treatment of hyperlipidemia and **diseases** resulting therefrom, are prepd. Thus, a mixt. of 2-(N-cyanoimino)thiazolidine-4-one potassium salt 4.48, trans-4-stilbenecarboxaldehyde 5.47, ammonium acetate 2.02 g, and 100 mL ethanol was refluxed for 2 h to give 2-(N-cyanoimino)-5-[(E)-4-styrylbenzylidene]thiazolidine-4-one (II). II at 120 mg/kg p.o once a day for 7 days was administered to hamsters who had been fed with feed contg. 1% cholesterol and 10% coconut oil for 3 wk. It lowered a total blood cholesterol level by 41% and blood triglyceride level by 80%.

IT **255832-12-3P 255832-13-4P 255832-16-7P**
255832-17-8P 255832-19-0P 255832-20-3P
255832-22-5P 255832-23-6P 255832-25-8P
255832-27-0P 255832-29-2P 255832-32-7P
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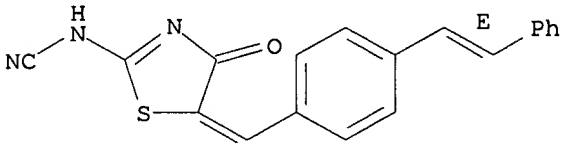
340810-89-1P 340810-90-4P 340810-91-5P
340810-92-6P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel (N-cyanoimino)thiazolidinone derivs. as hypolipidemics
and hypocholesteremics)

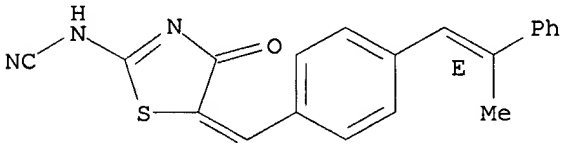
RN 255832-12-3 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[4-[(1E)-2-phenylethenyl]phenyl]methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

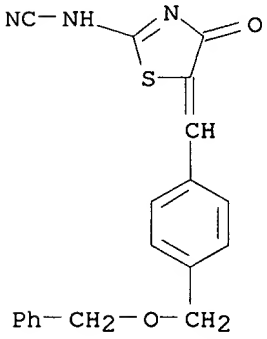


RN 255832-13-4 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[4-[(1E)-2-phenyl-1-propenyl]phenyl]methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

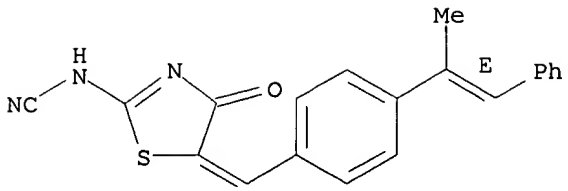


RN 255832-16-7 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[4-[(phenylmethoxy)methyl]phenyl]methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)

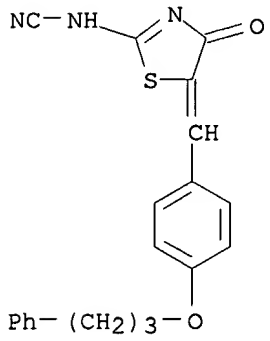


RN 255832-17-8 CAPLUS
CN Cyanamide, [4,5-dihydro-5-[[4-[(1E)-1-methyl-2-phenylethenyl]phenyl]methylene]-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

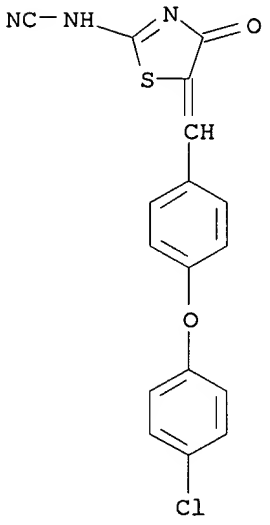
Double bond geometry as described by E or Z.



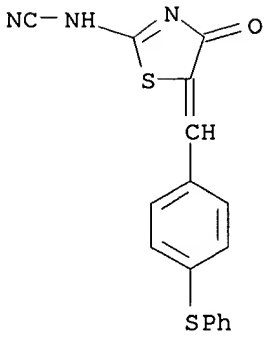
RN 255832-19-0 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[4-(3-phenylpropoxy)phenyl]methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 255832-20-3 CAPLUS
CN Cyanamide, [5-[[4-(4-chlorophenoxy)phenyl]methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

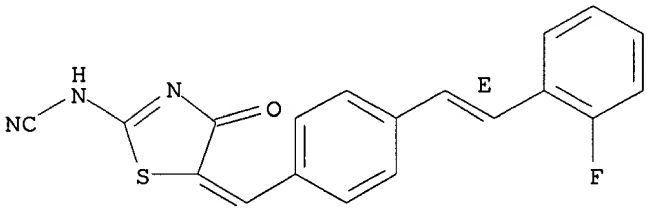


RN 255832-22-5 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[4-(phenylthio)phenyl]methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)

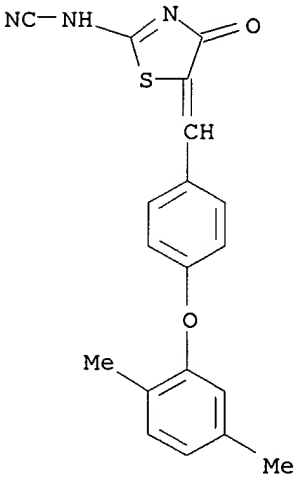


RN 255832-23-6 CAPLUS
CN Cyanamide, [5-[[4-[(1E)-2-(2-fluorophenyl)ethenyl]phenyl]methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

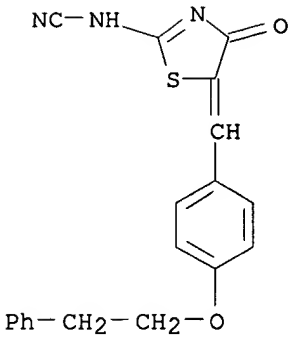
Double bond geometry as described by E or Z.



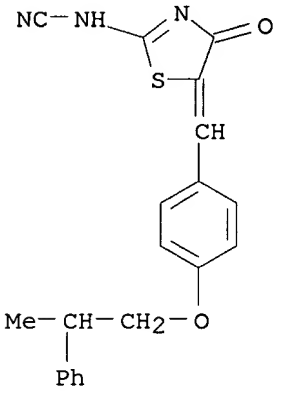
RN 255832-25-8 CAPLUS
CN Cyanamide, [5-[[4-(2,5-dimethylphenoxy)phenyl]methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)



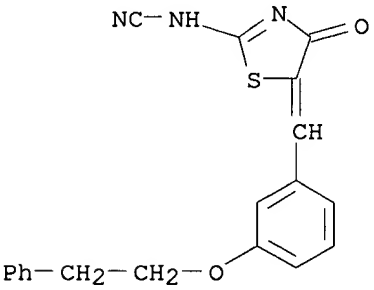
RN 255832-27-0 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[4-(2-phenylethoxy)phenyl]methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)



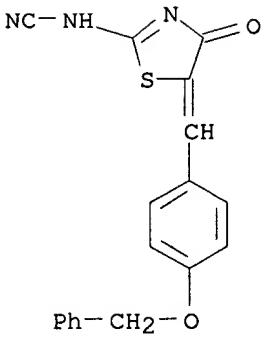
RN 255832-29-2 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[4-(2-phenylpropoxy)phenyl]methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)



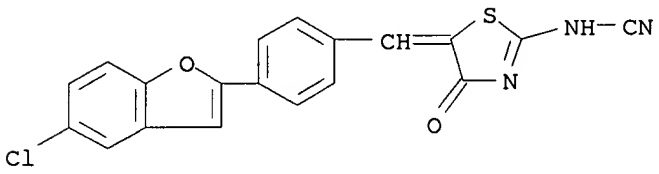
RN 255832-32-7 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[3-(2-phenylethoxy)phenyl]methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 255832-35-0 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[4-(phenylmethoxy)phenyl]methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)

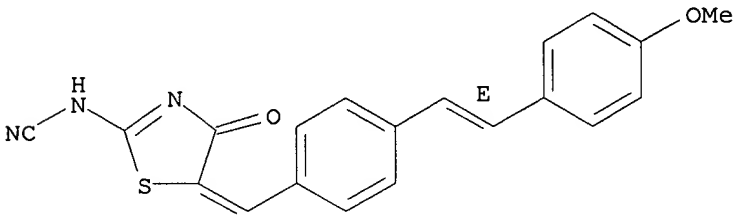


RN 255832-37-2 CAPLUS
CN Cyanamide,
[5-[[4-(5-chloro-2-benzofuranyl)phenyl]methylene]-4,5-dihydro-4-
oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

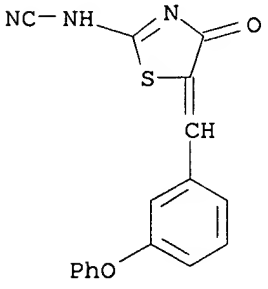


RN 255832-41-8 CAPLUS
CN Cyanamide,
[4,5-dihydro-5-[[4-[(1E)-2-(4-methoxyphenyl)ethenyl]phenyl]meth
ylene]-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

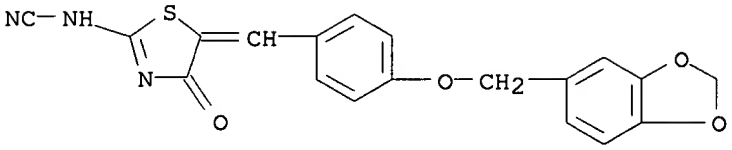


RN 255832-43-0 CAPLUS
CN Cyanamide,
[4,5-dihydro-4-oxo-5-[(3-phenoxyphenyl)methylene]-2-thiazolyl]-
(9CI) (CA INDEX NAME)

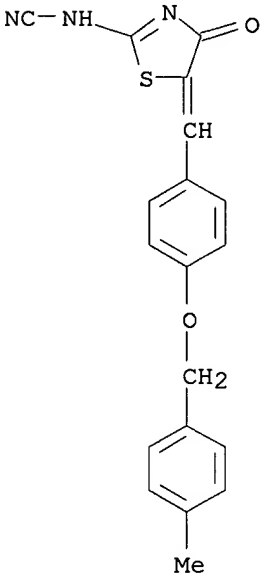


RN 255832-45-2 CAPLUS
CN Cyanamide, [5-[[4-(1,3-benzodioxol-5-ylmethoxy)phenyl]methylene]-4,5-

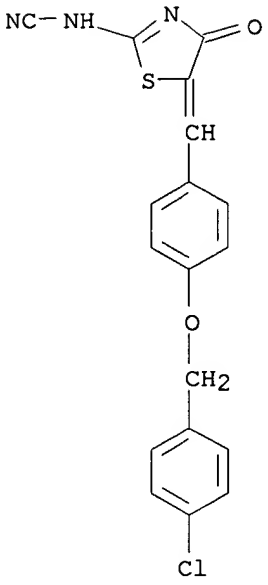
dihydro-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 255832-48-5 CAPLUS
CN Cyanamide,
[4,5-dihydro-5-[[4-[(4-methylphenyl)methoxy]phenyl]methylene]-4-
oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

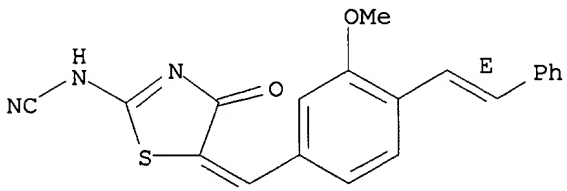


RN 255832-50-9 CAPLUS
CN Cyanamide,
[5-[[4-[(4-chlorophenyl)methoxy]phenyl]methylene]-4,5-dihydro-4-
oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

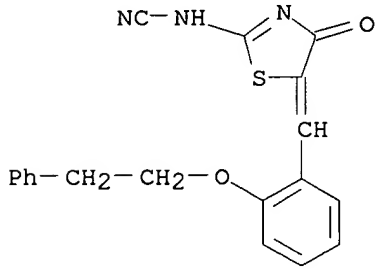


RN 255832-52-1 CAPLUS
CN Cyanamide, [4,5-dihydro-5-[[3-methoxy-4-[(1E)-2-phenylethenyl]phenyl]methylene]-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

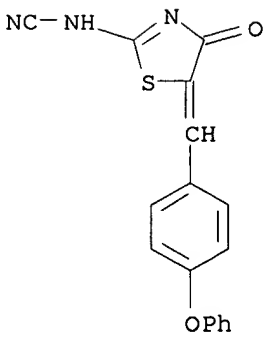
Double bond geometry as described by E or Z.



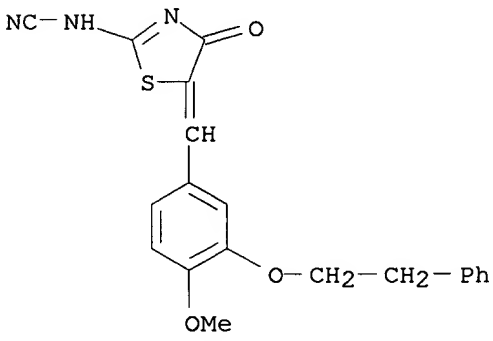
RN 255832-56-5 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[2-(2-phenylethoxy)phenyl]methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)



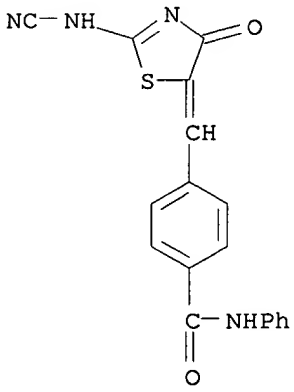
RN 255832-60-1 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[(4-phenoxyphenyl)methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)



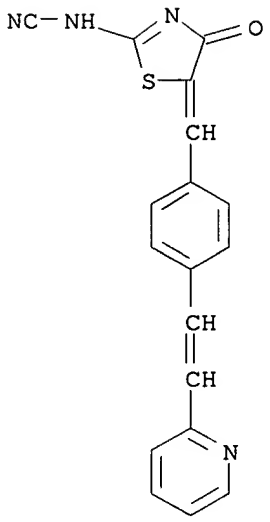
RN 255832-62-3 CAPLUS
CN Cyanamide,
[4,5-dihydro-5-[[4-methoxy-3-(2-phenylethoxy)phenyl]methylene]-
4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)



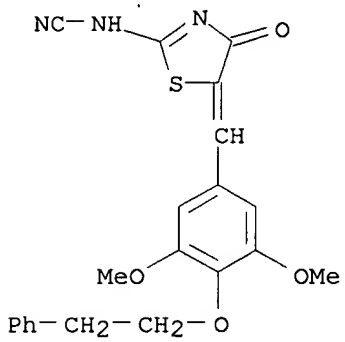
RN 255832-64-5 CAPLUS
CN Benzamide,
4-[[2-(cyanoamino)-4-oxo-5(4H)-thiazolylidene]methyl]-N-phenyl-
(9CI) (CA INDEX NAME)



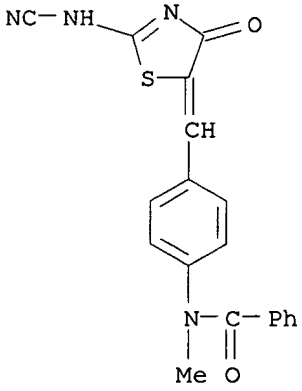
RN 255832-67-8 CAPLUS
CN Cyanamide,
[4,5-dihydro-4-oxo-5-[[4-[2-(2-pyridinyl)ethenyl]phenyl]methyle
ne]-2-thiazolyl]- (9CI) (CA INDEX NAME)



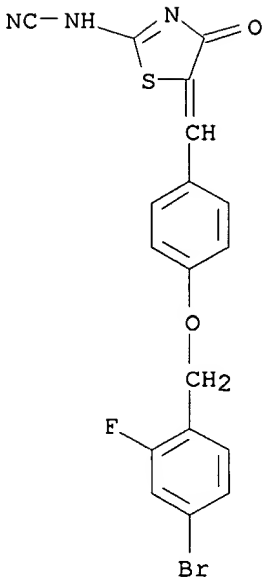
RN 255832-70-3 CAPLUS
CN Cyanamide, [5-[[3,5-dimethoxy-4-(2-phenylethoxy)phenyl]methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 255832-71-4 CAPLUS
CN Benzamide, N-[4-[[2-(cyanoamino)-4-oxo-5(4H)-thiazolylidene]methyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 255832-75-8 CAPLUS
CN Cyanamide, [5-[[4-[(4-bromo-2-fluorophenyl)methoxy]phenyl]methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 255832-79-2 CAPLUS
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L5 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2001:380569 CAPLUS
DOCUMENT NUMBER: 135:5610
TITLE: Preparation of novel 2-(N-cyanoimino)thiazolidin-4-one derivatives as hypolipidemics and hypocholesteremics
INVENTOR(S): Yoneda, Fumio; Ohde, Hironori; Watanabe, Mayumi; Ando, Takashi; Yasusa, Takuya; Uegaki, Yuko
PATENT ASSIGNEE(S): Fujimoto Brothers Co., Ltd., Japan
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036402	A1	20010525	WO 1999-JP6352	19991112
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1142885	A1	20011010	EP 1999-974189	19991112
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PRIORITY APPLN. INFO.: WO 1999-JP6352 W 19991112
OTHER SOURCE(S): MARPAT 135:5610
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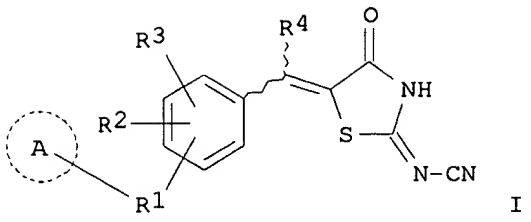

I

AB Novel 2-(N-cyanoimino)thiazolidin-4-one derivs. represented by general formula [I; ring A = benzene or its condensed ring or heterocyclic ring optionally substituted by linear or branched C1-4 alkyl, haloalkyl, OH, or C1-4 alkoxy; R1 = single bond, O, S, methine, optionally phenyl-substituted C1-4 alkylene or alkenylene, R6-X, X-R6, X-R6-X, CONR7, NR7CO; wherein R6 = linear or branched alkylene or alkenylene; X = O, S; R7 = H, C1-4 alkyl; R2, R3 = H, C1-4 alkyl, H, C1-4 alkoxy, aralkyloxy, halo; R4 = H, C1-4 alkyl], which exhibit excellent cholesterol-lowering and triglyceride-lowering activities and are useful in the prevention or treatment of hyperlipidemia and **diseases** resulting therefrom, are prepd. Thus, a mixt. of 2-(N-cyanoimino)thiazolidine-4-one potassium salt 4.48, trans-4-stilbenecarboxaldehyde 5.47, ammonium acetate 2.02 g, and 100 mL ethanol was refluxed for 2 h to give 2-(N-cyanoimino)-5-[(E)-4-styrylbenzylidene]thiazolidine-4-one (II). II at 120 mg/kg p.o once a day for 7 days was administered to hamsters who had been fed with feed contg. 1% cholesterol and 10% coconut oil for 3 wk. It lowered a total blood cholesterol level by 41% and blood triglyceride level by 80%.

IT **255832-12-3P 255832-13-4P 255832-16-7P**
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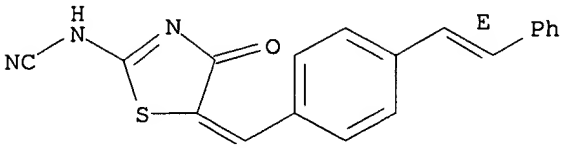
340810-89-1P 340810-90-4P 340810-91-5P
340810-92-6P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel (N-cyanoimino)thiazolidinone derivs. as hypolipidemics and hypocholesteremics)

RN 255832-12-3 CAPLUS

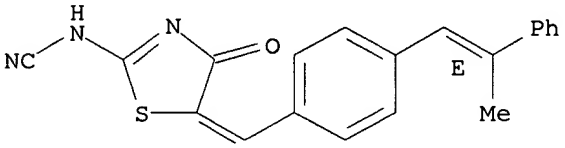
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[4-[(1E)-2-phenylethenyl]phenyl]methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

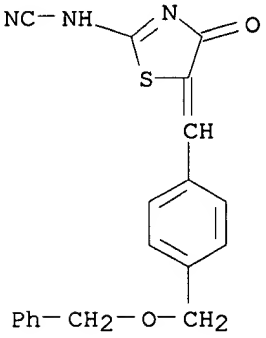


RN 255832-13-4 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[4-[(1E)-2-phenyl-1-propenyl]phenyl]methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.

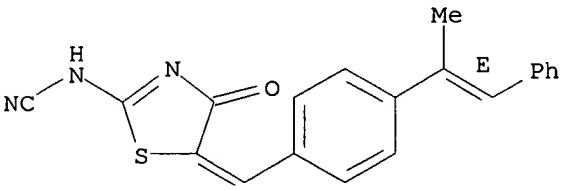


RN 255832-16-7 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[4-[(phenylmethoxy)methyl]phenyl]methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)

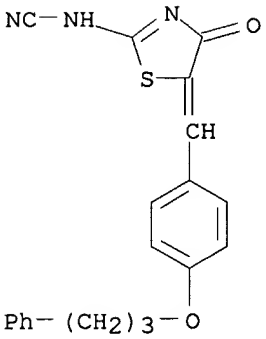


RN 255832-17-8 CAPLUS
CN Cyanamide, [4,5-dihydro-5-[[4-[(1E)-1-methyl-2-phenylethenyl]phenyl]methylene]-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

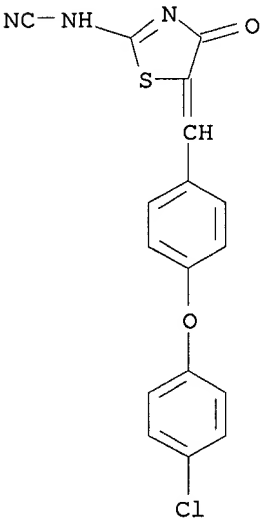
Double bond geometry as described by E or Z.



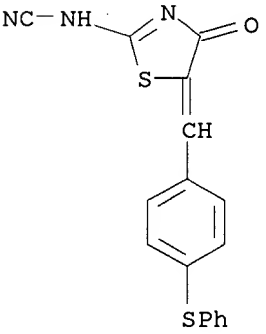
RN 255832-19-0 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[4-(3-phenylpropoxy)phenyl]methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 255832-20-3 CAPLUS
CN Cyanamide, [5-[[4-(4-chlorophenoxy)phenyl]methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

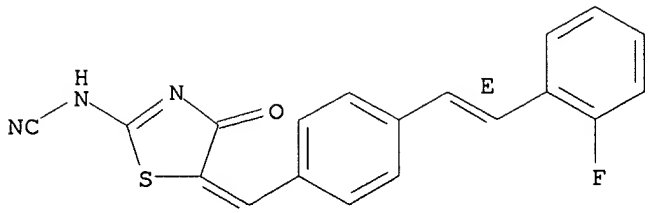


RN 255832-22-5 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[4-(phenylthio)phenyl]methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)

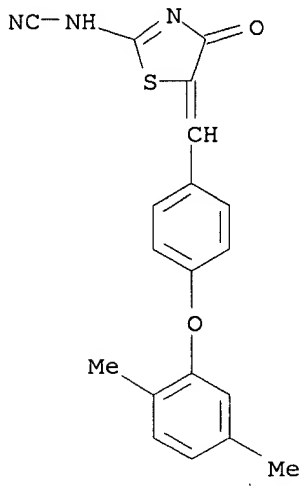


RN 255832-23-6 CAPLUS
CN Cyanamide, [5-[[4-[(1E)-2-(2-fluorophenyl)ethenyl]phenyl]methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

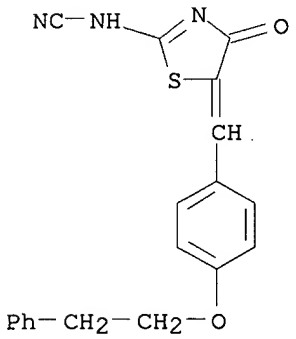
Double bond geometry as described by E or Z.



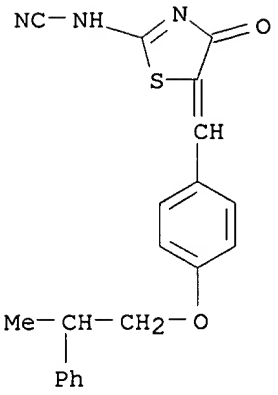
RN 255832-25-8 CAPLUS
CN Cyanamide,
[5-[[4-(2,5-dimethylphenoxy)phenyl]methylene]-4,5-dihydro-4-oxo-
2-thiazolyl]- (9CI) (CA INDEX NAME)



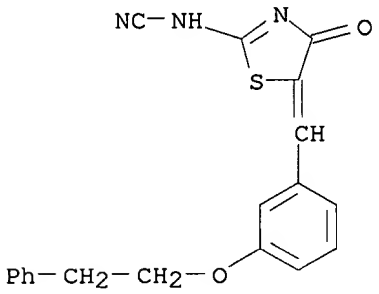
RN 255832-27-0 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[4-(2-phenylethoxy)phenyl]methylene]-2-
thiazolyl]- (9CI) (CA INDEX NAME)



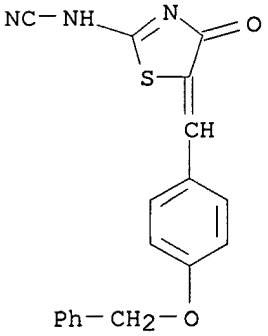
RN 255832-29-2 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[4-(2-phenylpropoxy)phenyl]methylene]-2-
thiazolyl]- (9CI) (CA INDEX NAME)



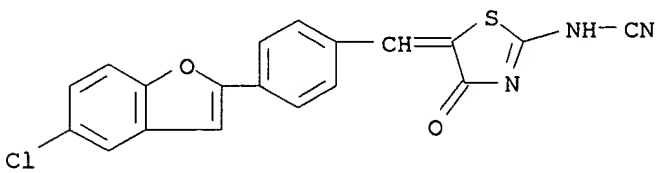
RN 255832-32-7 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[3-(2-phenylethoxy)phenyl]methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 255832-35-0 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[4-(phenylmethoxy)phenyl]methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)

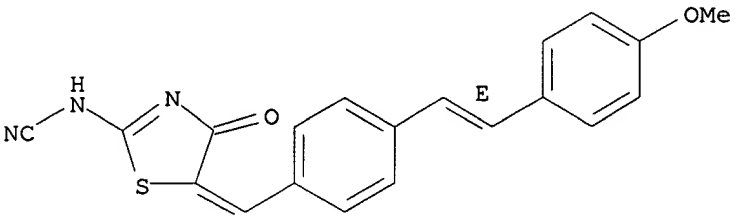


RN 255832-37-2 CAPLUS
CN Cyanamide, [5-[[4-(5-chloro-2-benzofuranyl)phenyl]methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

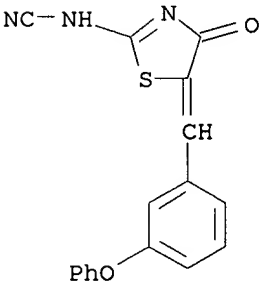


RN 255832-41-8 CAPLUS
CN Cyanamide,
[4,5-dihydro-5-[[4-[(1E)-2-(4-methoxyphenyl)ethenyl]phenyl]meth
ylene]-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

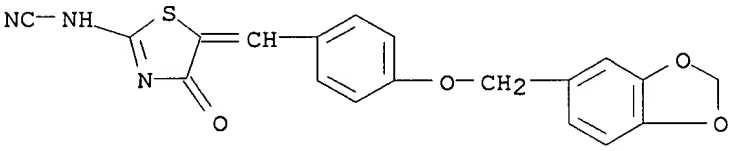
Double bond geometry as described by E or Z.



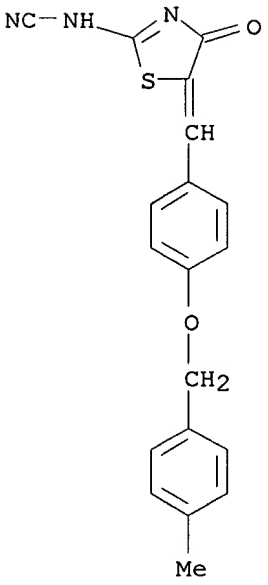
RN 255832-43-0 CAPLUS
CN Cyanamide,
[4,5-dihydro-4-oxo-5-[(3-phenoxyphenyl)methylene]-2-thiazolyl]-
(9CI) (CA INDEX NAME)



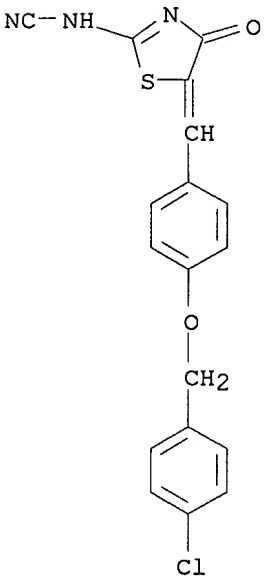
RN 255832-45-2 CAPLUS
CN Cyanamide, [5-[[4-(1,3-benzodioxol-5-ylmethoxy)phenyl]methylene]-4,5-
dihydro-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 255832-48-5 CAPLUS
CN Cyanamide,
[4,5-dihydro-5-[[4-[(4-methylphenyl)methoxy]phenyl]methylene]-4-
oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

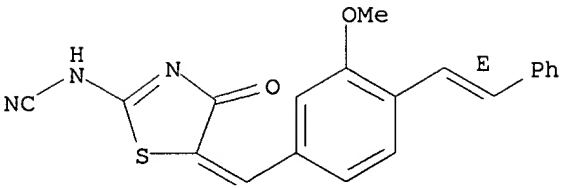


RN 255832-50-9 CAPLUS
CN Cyanamide,
[5-[[4-[(4-chlorophenyl)methoxy]phenyl]methylene]-4,5-dihydro-4-
oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

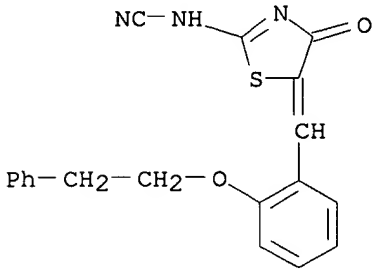


RN 255832-52-1 CAPLUS
CN Cyanamide, [4,5-dihydro-5-[[3-methoxy-4-[(1E)-2-
phenylethenyl]phenyl]methylene]-4-oxo-2-thiazolyl]- (9CI) (CA INDEX
NAME)

Double bond geometry as described by E or Z.



RN 255832-56-5 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-[[2-(2-phenylethoxy)phenyl]methylene]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 255832-60-1 CAPLUS
CN Cyanamide,
[4,5-dihydro-4-oxo-5-[(4-phenoxyphenyl)methylene]-2-thiazolyl]-
(9CI) (CA INDEX NAME)

<------User Break------>
u
=> d l5 6-10 ibib abs hitstr

L5 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2001:300677 CAPLUS
DOCUMENT NUMBER: 134:326397
TITLE: Preparation of pyrrolidine neuraminidase inhibitors
INVENTOR(S): Maring, Clarence J.; Giranda, Vincent L.; Kempf, Dale J.; Stoll, Vincent S.; Sun, Minghua; Zhao, Chen; Gu, Yu Gui; Hanessian, Stephen; Wang, Gary T.; Krueger, Allan C.; Chen, Hui-ju; Chen, Yuanwei; Degoey, David A.; Flosi, William J.; Grampovnik, David J.; Kati, Warren M.; Kennedy, April L.; Klein, Larry L.; Lin, Zhen; Madigan, Darold L.; Mcdaniel, Keith F.; Muchmore, Steven W.; Sham, Hing L.; Stewart, Kent D.; Tu, Noah P.; Wagenaar, Frank L.; Wang, Sheldon; Wiedeman, Paul E.; Xu, Yibo; Yeung, Ming C.; Bayrakdarian, Malken; Luo, Xuehong
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 714 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

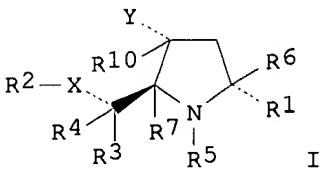
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001028996	A2	20010426	WO 2000-US27910	20001010

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,

LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-421787 A 19991019
OTHER SOURCE(S): MARPAT 134:326397

GI



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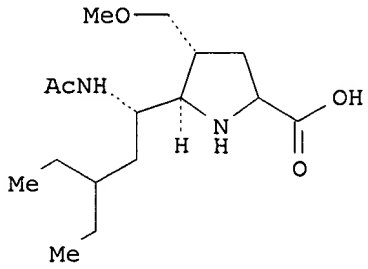


II

AB Title compds. (I) [wherein X = (un)substituted CONH, NH, CSNH, NHCS, NHSO2, SO2NH; Y = H, (halo)alkyl, (halo)alkenyl, alkynyl, cycloalkyl(alkyl), cycloalkenyl(alkyl), cycloalkenylalkenyl, (halo)phenyl, N(O):CHCH3, halo, heterocyclyl, or (un)substituted (CH2)nOH, CH(OH)CH2(OH), (CH2)nSH, (CH2)nCN, (CH2)nN3, (CH2)nNH2, etc.; n = 0-2; R1 = (CH2)CO2H, (CH2)SO3H, (CH2)SO2H, (CH2)PO3H2, (CH2)PO2H, tetrazolyl(methyl), (CH2)CONHSO2R11, or (un)substituted (CH2)SO2NH2; R11 = alkyl, alkenyl, cycloalkyl(alkyl), cycloalkenyl(alkyl), cycloalkenylalkenyl, aryl(alkyl), arylalkenyl, heterocyclyl(alkyl), or heterocyclylalkenyl; R2 = H, (cyclo)alkyl, (cyclo)alkenyl, haloalkyl, or haloalkenyl; or R2X = (un)substituted heterocyclyl; R3 and R4 = independently H, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, or (un)substituted ketones, acids, amides, alc., thiols, etc.; or R3 and R4 taken together with the C to which they are attached form a carbocyclic or heterocyclic ring; R5 = H, alkynyl, cyclopropyl cyclobutyl, or (un)substituted Me, OH, acyl, imino, NH2, etc.; R6 and R7 = independently H, alkyl, alkenyl cycloalkyl(alkyl), cycloalkylalkenyl, cycloalkenyl(alkyl), cycloalkenylalkenyl, aryl(alkyl)arylalkenyl, heterocyclyl(alkyl), or heterocyclylalkenyl; R10 = H, (cyclo)alkyl, (cyclo)alkenyl, or fluoro] were prepd. as neuraminidase inhibitors for the treatment of **diseases** caused by microorganisms having a neuraminidase, esp. influenza neuraminidase. For example, (.+-.)-II.bul.HCl was synthesized in an 11-step sequence involving (1) cycloaddn. of acrolein and t-Bu N-benzylglycinate to give (.+-.)-(2S,3RS,5R)-1-benzyl-2-vinyl-3-formylpyrrolidine-5-carboxylic acid t-Bu ester (45%), (2) redn. of the aldehyde to the alc. (66%), (3) O-protection using t-butyldimethylsilyl chloride (71%), (4) oxidn. of the vinyl group to an aldehyde (46%), (5) addn. of 1-bromo-2-ethylbutane to the aldehyde (66%), (6) reductive amination of the ketone (64%), (7) amidation with AcOAc (72%), (8) deprotection of the alc. (61%), (9) etherification of the alc. with iodomethane, (10) N-deprotection (47%), and (11) deesterification and salt formation using 6N HCl. I inhibit influenza A and influenza B neuraminidase with Ki values between 0.1 nM and 700 .mu.M; Ki values for preferred compds. ranged from 0.1 nM to 3.5 .mu.M. In a cell culture plaque formation inhibition assay, I inhibited influenza virus A/N2/Tokyo in MDCK cells with EC50 values between 100 .mu.M and 1 nM; preferred compds. gave EC50 values between 1 .mu.M and 1

nM.

IT **247926-92-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrrolidine neuraminidase inhibitors)

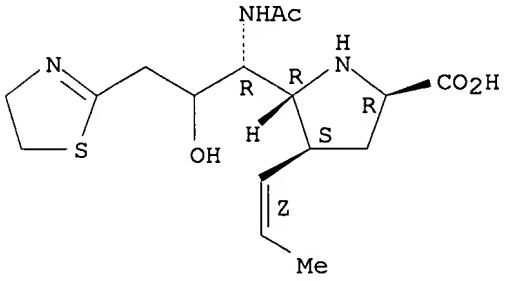
RN 247926-92-7 CAPLUS

CN D-Proline, 5-[(1R)-1-(acetylamino)-3-(4,5-dihydro-2-thiazolyl)-2-hydroxypropyl]-4-(1Z)-1-propenyl-, (4S,5R)-rel-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

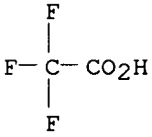
CRN 247926-91-6
CMF C16 H25 N3 O4 S

Relative stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

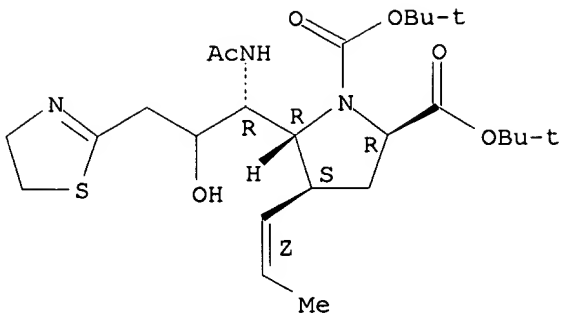


IT **247931-47-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of pyrrolidine neuraminidase inhibitors)

RN 247931-47-1 CAPLUS

CN 1,2-Pyrrolidinedicarboxylic acid, 5-[(1R)-1-(acetylamino)-3-(4,5-dihydro-2-thiazolyl)-2-hydroxypropyl]-4-(1Z)-1-propenyl-, bis(1,1-dimethylethyl) ester, (2R,4S,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry as shown.



L5 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2001:185718 CAPLUS
DOCUMENT NUMBER: 134:237481
TITLE: Preparation of 4-[4-[2-(2-pyridyl- or 5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)ethoxy]phenyl]butanoic acid derivatives as vitronectin receptor antagonists
INVENTOR(S): Manley, Peter J.; Miller, William H.; Uzinskas, Irene N.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001017959	A2	20010315	WO 2000-US24514	20000907
WO 2001017959	A3	20010510		
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, DZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000073543	A5	20010410	AU 2000-73543	20000907

PRIORITY APPLN. INFO.: US 1999-152780 P 19990907
WO 2000-US24514 W 20000907
OTHER SOURCE(S): MARPAT 134:237481
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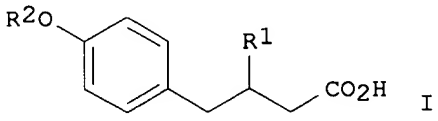

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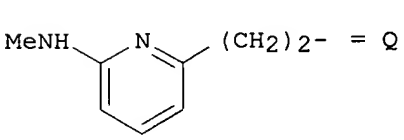
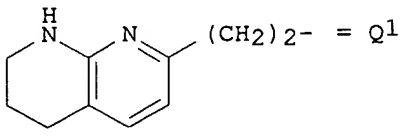


= Q



= Q1

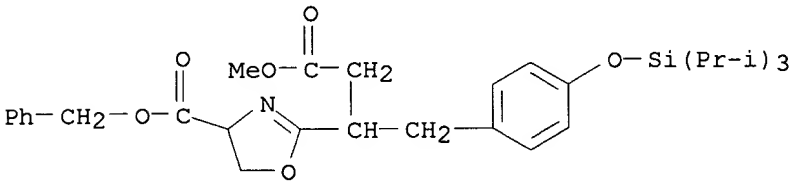
AB The title compds. (I; R1 = heterocyclyl, aryl; R2 = Q, Q1) or pharmaceutically acceptable salts thereof, which are vitronectin (.alpha.V.beta.3) receptor antagonists, are prepd. These compds. are useful in the treatment of a disease state in which antagonism of the .alpha.V.beta.3 receptor is indicated, in particular osteoporosis (no data). They also inhibit angiogenesis, tumor growth, or tumor metastasis and are useful for the treatment of atherosclerosis, restenosis, or rheumatoid arthritis or as antineoplastic agents (no data). Thus, Me

3-(4-carboxy-1,3-oxazol-2-yl)-4-[4-[(tert-butyloxycarbonyl)oxy]phenyl]buta noate was condensed with morpholine in the presence of (i-Pr)2NEt, pyridine, and BPFFH at room temp. for 18 h, followed by treatment with 4 N HCl in dioxane at room temp. for 18 h to give, after silica gel chromatog., 88% Me (.+-.)-3-[4-[(morpholin-4-yl)carbonyl]-1,3-oxazol-2-yl]-4-(4-hydroxyphenyl)butanoate as a clear oil. The latter compd. was condensed with 6-(methylamino)-2-pyridylethanol using diisopropyl azodicarboxylate and triphenylphosphine in CH2Cl2 at room temp. for 18 h to give, after silica gel chromatog., Me (.+-.)-4-[4-[2-(6-methylaminopyridin-2-yl)-1-ethoxy]phenyl]-3-[4-[(morpholin-4-yl)carbonyl]-1,3-oxazol-2-yl]butanoate which was sapond. with a mixt. of 1.0 N LiOH and THF/H2O (1:1) and acidified to pH 6 using 10% HCl to give, after purifn. using reverse HPLC, (.+-.)-4-[4-[2-[6-(methylamino)pyridin-2-yl]-1-ethoxyphenyl]-3-[4-(morpholin-4-yl)carbonyl]-1,3-oxazol-2-yl]butanoic acid (26% over 2 steps).

IT **243641-55-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (intermediate; prepn. of [[(pyridyl- or tetrahydronaphthyridinyl)ethoxy]phenyl]butanoic acid derivs. as vitronectin receptor antagonists and remedies for treating .alpha.V.beta.3 receptor-related **diseases**)

RN 243641-55-6 CAPLUS

CN 2-Oxazolepropanoic acid, 4,5-dihydro-4-[(phenylmethoxy)carbonyl]-.beta.-[[4-[[tris(1-methylethyl)silyl]oxy]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2001:137056 CAPLUS

DOCUMENT NUMBER: 134:198080

TITLE: Angiogenesis inhibitors comprising as the active ingredient compound having chymase inhibitory effect

INVENTOR(S): Ishihara, Takafumi; Ohashi, Yoshiki

PATENT ASSIGNEE(S): Santen Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012226	A1	20010222	WO 2000-JP5389	20000811
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2001114699	A2	20010424	JP 2000-243554	20000811

PRIORITY APPLN. INFO.: JP 1999-228120 A 19990812

AB The invention relates to remedies [capsules, eye drops, injections] for **diseases** in which angiogenesis participates having been developed by studying the effect of a compd. having a chymase inhibitory effect on angiogenesis. Because of showing an effect of inhibiting angiogenesis, the compd. having a chymase inhibitory effect is expected as a preventive or a remedy for **diseases** in which angiogenesis participates, in particular, **diseases** assocd. with intraocular angiogenesis such as diabetic retinopathy, macular degeneration, retinal phlebemphraxis, premature infant retinopathy and angiogenic glaucoma.

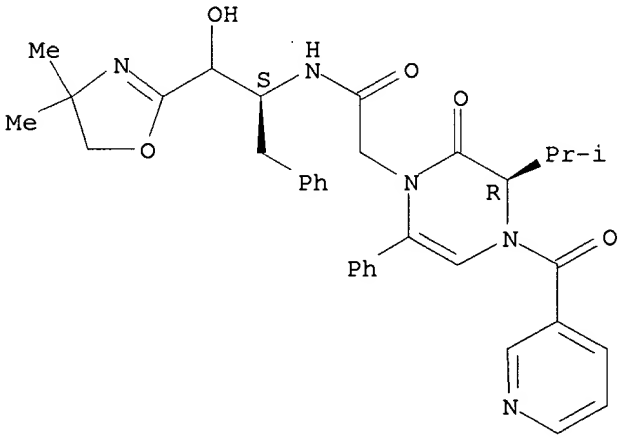
IT **322397-31-9P 327024-92-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (angiogenesis inhibitors comprising as the active ingredient compd. having chymase inhibitory effect)

RN 322397-31-9 CAPLUS

CN 1(2H)-Pyrazineacetamide, N-[(1S)-2-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-2-hydroxy-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-2-oxo-6-phenyl-4-(3-pyridinylcarbonyl)-, (3R)- (9CI) (CA INDEX NAME)

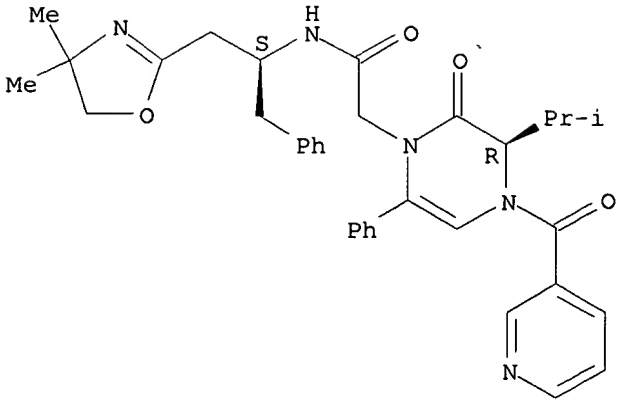
Absolute stereochemistry.



RN 327024-92-0 CAPLUS

CN 1(2H)-Pyrazineacetamide, N-[(1S)-1-[(4,5-dihydro-4,4-dimethyl-2-oxazolyl)methyl]-2-phenylethyl]-3,4-dihydro-3-(1-methylethyl)-2-oxo-6-phenyl-4-(3-pyridinylcarbonyl)-, (3R)- (9CI) (CA INDEX NAME)

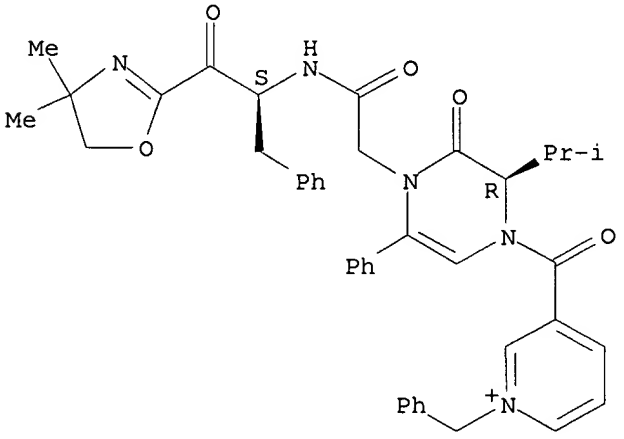
Absolute stereochemistry.



IT **322397-56-8P 322397-57-9P 327024-89-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(angiogenesis inhibitors comprising as the active ingredient compd. having chymase inhibitory effect)
RN 322397-56-8 CAPLUS
CN Pyridinium,
3-[[(2R)-4-[2-[[(1S)-2-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-2-

oxo-1-(phenylmethyl)ethyl]amino]-2-oxoethyl]-3,4-dihydro-2-(1-methylethyl)-3-oxo-5-phenyl-1(2H)-pyrazinyl]carbonyl]-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

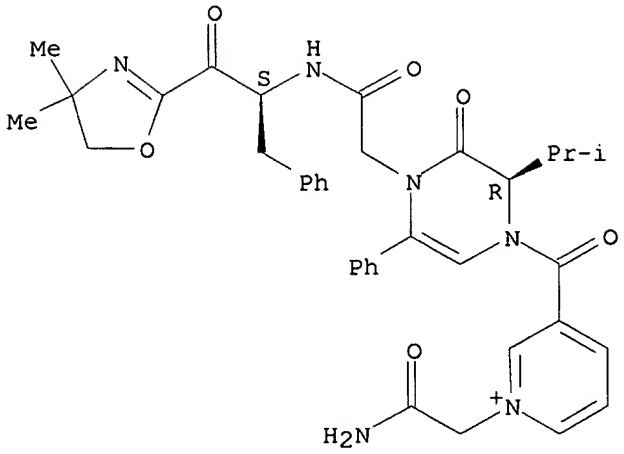
Absolute stereochemistry. Rotation (-).



● Br^-

RN 322397-57-9 CAPLUS
CN Pyridinium,
1-(2-amino-2-oxoethyl)-3-[[(2R)-4-[2-[[(1S)-2-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-2-oxo-1-(phenylmethyl)ethyl]amino]-2-oxoethyl]-3,4-dihydro-2-(1-methylethyl)-3-oxo-5-phenyl-1(2H)-pyrazinyl]carbonyl]-, bromide (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

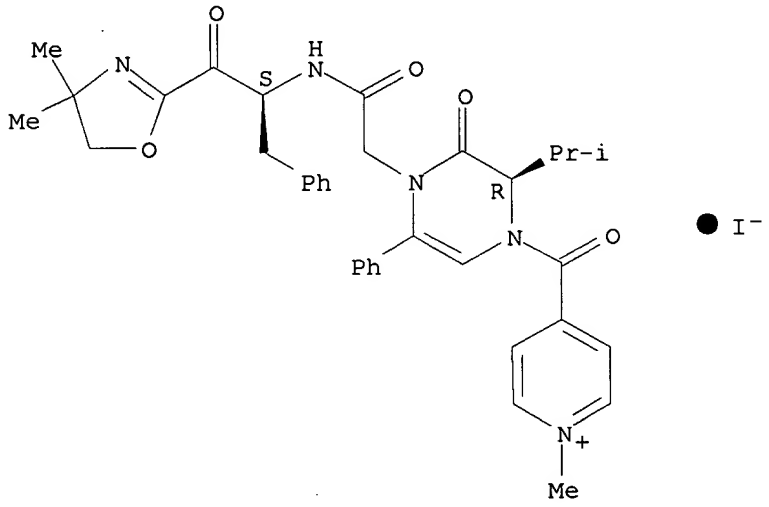


● Br^-

RN 327024-89-5 CAPLUS
CN Pyridinium,
4-[[(2R)-4-[2-[[(1S)-2-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-2-

oxo-1-(phenylmethyl)ethyl]amino]-2-oxoethyl]-3,4-dihydro-2-(1-methylethyl)-
3-oxo-5-phenyl-1(2H)-pyrazinyl]carbonyl]-1-methyl-, iodide (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



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REFERENCE COUNT: 3
REFERENCE(S):
(1) Muramastu, M; Eur J Pharmacol, CAPLUS 2000:554395
2000, V402(1/2), P181
(2) Wakamoto Pharmaceutical Co Ltd; JP 08-208654 A
CAPLUS
(3) Wakamoto Pharmaceutical Co Ltd; EP 713876 A1 1996
CAPLUS

L5 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2001:78369 CAPLUS
DOCUMENT NUMBER: 134:131554
TITLE: Preparation of novel thiazine or pyrazine derivatives

as chymase inhibitors

INVENTOR(S): Matsumoto, Junzo; Nishimura, Kazuo; Ban, Masakazu; Fujimura, Ken-ichi; Kobayashi, Naoyuki; Hori, Masanori; Honda, Takahiro

PATENT ASSIGNEE(S): Santen Pharmaceutical Co., Ltd., Japan; Matsumoto, Eiko

SOURCE: PCT Int. Appl., 278 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007419	A1	20010201	WO 2000-JP4964	20000726
JP 2001097957	A2	20010410	JP 2000-224667	20000726

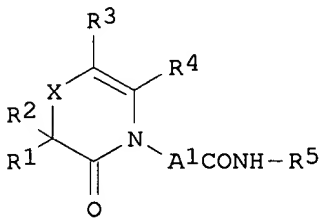
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1999-210907 A 19990726

OTHER SOURCE(S): MARPAT 134:131554

GI



I

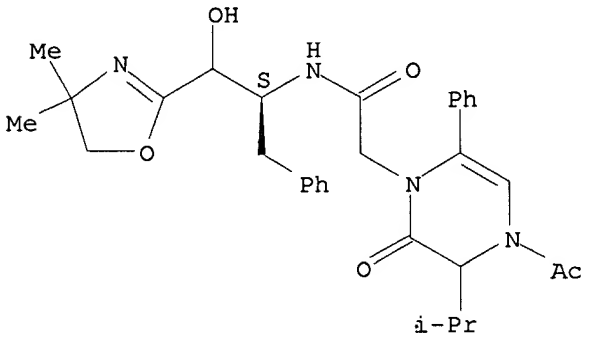
AB Novel compds. having as the main skeleton 3-oxo-3,4-dihydro-2H-1,4-thiazine or 2-oxo-1,2,3,4-tetrahydropyrazine, which are represented by general formula [I; wherein X = S, R6-(A2)n-N; R1, R2 = H, lower alkyl, cycloalkyl, cycloalkyl, aryl; R3, R4 = H, lower alkyl, cycloalkyl, aryl, heteroaryl; R5 = H, lower alkyl, cycloalkyl, aryl, A3-A4-R7; wherein R6 = H, lower alkyl, cycloalkyl, HO, lower alkoxy, aryl, aryloxy, heteroaryl; R7 = H, lower alkyl, HO, lower alkoxy, aryl, aryloxy, NH2, lower alkylamino, arylamino, arom. or nonarom. heterocyclyl; n = 0,1; A1 = lower alkylene; A2 = CO, SO2; A3 = lower alkylene; A4 = CO, oxalyl; the above lower alkyl is optionally substituted by halo, HO, lower alkoxy, aryl, or aryloxy; the above lower alkoxy or lower alkylene is optionally substituted by aryl], are prepd. These compds. are useful for the treatment of chymase-related **diseases** such as myocardial infarction, heart failure, vascular restenosis after PTCA, hypertension, diabetes complications, allergies, and asthma. (3S)-3-[[[[(3R)-4-benzoyl-3-isopropyl-2-oxo-6-phenyl-1,2,3,4-tetrahydropyrazin-1-yl]methyl]carbonyl]amino]-2-oxo-4-phenylbutanoic acid iso-Pr ester which showed IC50 of 0.20 .times. 10-6 M against chymase.

IT **322397-22-8P 322397-23-9P 322397-24-0P 322397-25-1P 322397-26-2P 322397-28-4P 322397-29-5P 322397-30-8P 322397-31-9P**

322397-32-0P 322397-33-1P
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant);
SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel thiazine or pyrazine derivs. as chymase inhibitors for
treatment of chymase-related **diseases**)

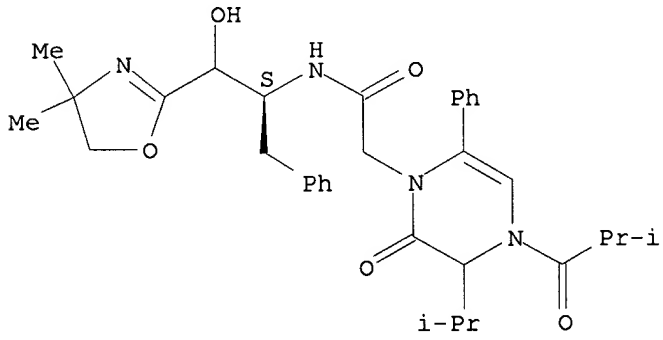
RN 322397-22-8 CAPLUS
CN 1(2H)-Pyrazineacetamide, 4-acetyl-N-[(1S)-2-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-2-hydroxy-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



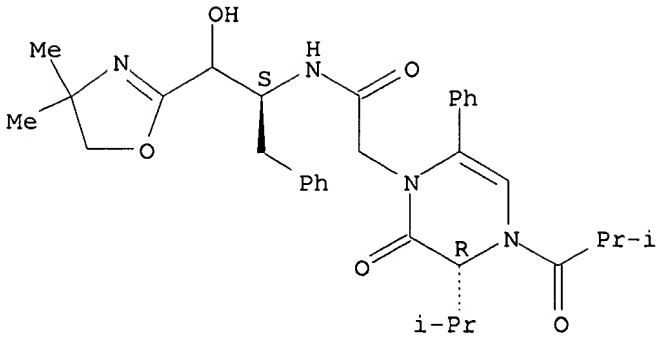
RN 322397-23-9 CAPLUS
CN 1(2H)-Pyrazineacetamide, N-[(1S)-2-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-2-hydroxy-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-4-(2-methyl-1-oxopropyl)-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 322397-24-0 CAPLUS
CN 1(2H)-Pyrazineacetamide, N-[(1S)-2-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-2-hydroxy-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-4-(2-methyl-1-oxopropyl)-2-oxo-6-phenyl-, (3R)- (9CI) (CA INDEX NAME)

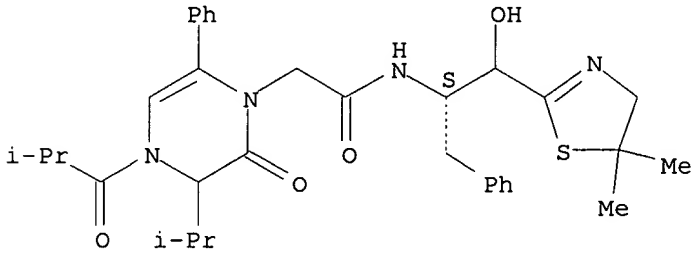
Absolute stereochemistry.



RN 322397-25-1 CAPLUS
CN 1(2H)-Pyrazineacetamide,
N-[(1S)-2-(4,5-dihydro-5,5-dimethyl-2-thiazolyl)-

2-hydroxy-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-4-(2-methyl-
1-oxopropyl)-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)

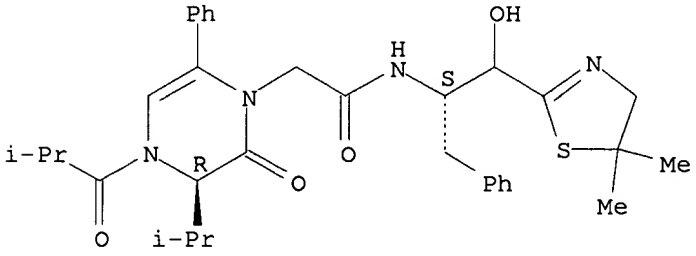
Absolute stereochemistry.



RN 322397-26-2 CAPLUS
CN 1(2H)-Pyrazineacetamide,
N-[(1S)-2-(4,5-dihydro-5,5-dimethyl-2-thiazolyl)-

2-hydroxy-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-4-(2-methyl-
1-oxopropyl)-2-oxo-6-phenyl-, (3R)- (9CI) (CA INDEX NAME)

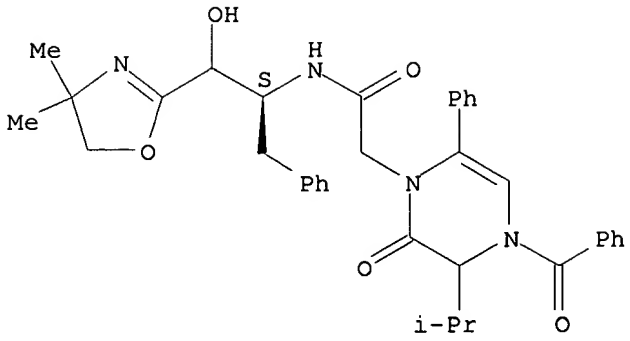
Absolute stereochemistry.



RN 322397-28-4 CAPLUS
CN 1(2H)-Pyrazineacetamide, 4-benzoyl-N-[(1S)-2-(4,5-dihydro-4,4-dimethyl-2-

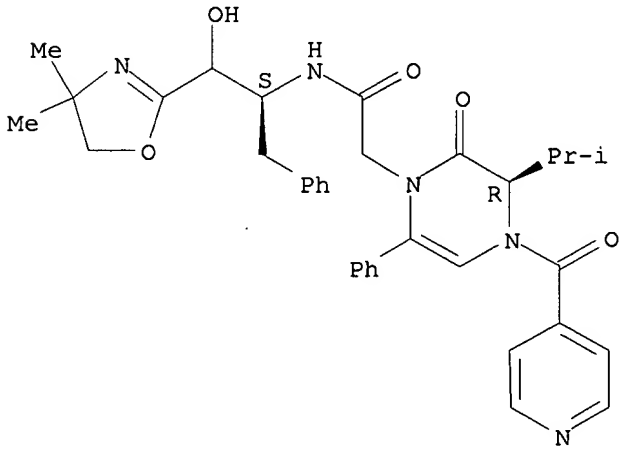
oxazolyl)-2-hydroxy-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-2-
oxo-6-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



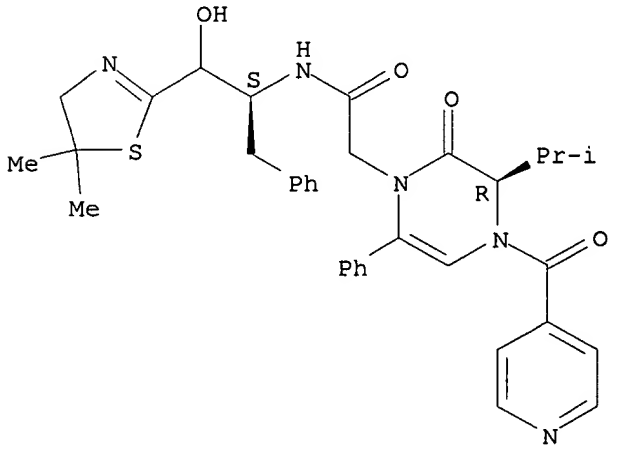
RN 322397-29-5 CAPLUS
CN 1(2H)-Pyrazineacetamide,
N-[(1S)-2-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-2-
hydroxy-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-2-oxo-6-
phenyl-4-(4-pyridinylcarbonyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



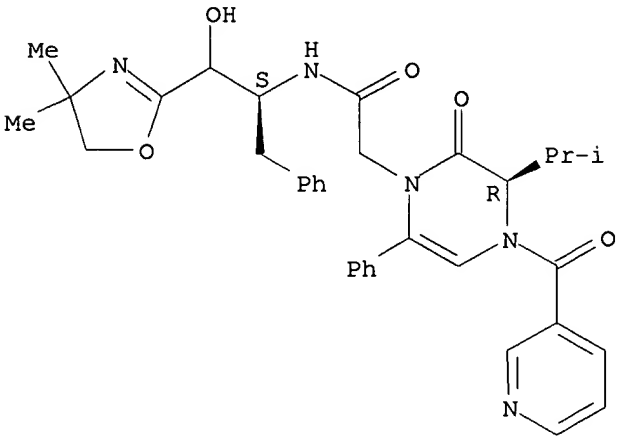
RN 322397-30-8 CAPLUS
CN 1(2H)-Pyrazineacetamide,
N-[(1S)-2-(4,5-dihydro-5,5-dimethyl-2-thiazolyl)-
2-hydroxy-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-2-oxo-6-
phenyl-4-(4-pyridinylcarbonyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 322397-31-9 CAPLUS
CN 1(2H)-Pyrazineacetamide,
N-[(1S)-2-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-2-
hydroxy-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-2-oxo-6-
phenyl-4-(3-pyridinylcarbonyl)-, (3R)- (9CI) (CA INDEX NAME)

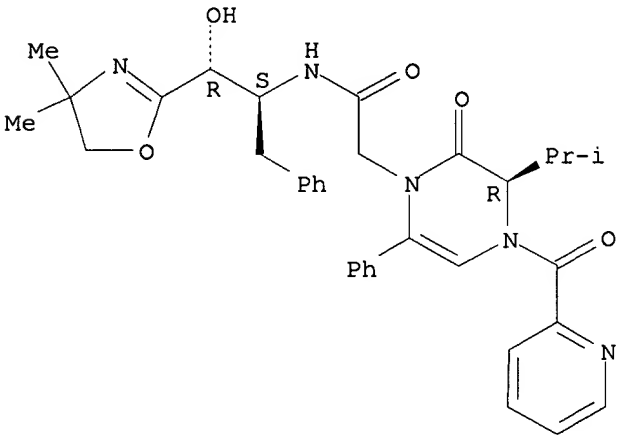
Absolute stereochemistry.



RN 322397-32-0 CAPLUS
CN 1(2H)-Pyrazineacetamide, N-[(1S,2R)-2-(4,5-dihydro-4,4-dimethyl-2-

oxazolyl)-2-hydroxy-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-2-
oxo-6-phenyl-4-(2-pyridinylcarbonyl)-, (3R)- (9CI) (CA INDEX NAME)

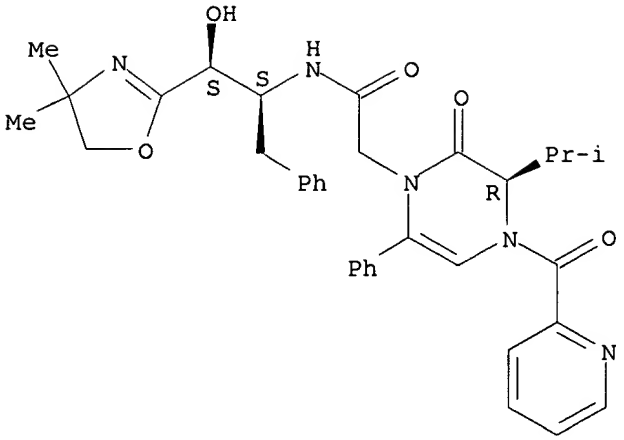
Absolute stereochemistry.



RN 322397-33-1 CAPLUS
CN 1(2H)-Pyrazineacetamide, N-[(1S,2S)-2-(4,5-dihydro-4,4-dimethyl-2-

oxazolyl)-2-hydroxy-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-2-
oxo-6-phenyl-4-(2-pyridinylcarbonyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **322395-87-9P 322395-88-0P 322395-89-1P**
322395-92-6P 322395-93-7P 322395-94-8P
322395-95-9P 322395-96-0P 322395-97-1P
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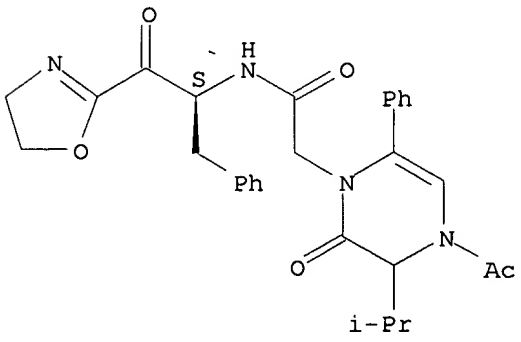
322396-87-2P 322396-88-3P 322396-89-4P
322397-34-2P 322397-35-3P 322397-36-4P
322397-37-5P 322397-38-6P 322397-40-0P
322397-41-1P 322397-42-2P 322397-43-3P
322397-44-4P 322397-54-6P 322397-55-7P
322397-56-8P 322397-57-9P 322397-58-0P
322397-61-5P 322397-62-6P 322397-63-7P
322397-64-8P 322397-65-9P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel thiazine or pyrazine derivs. as chymase inhibitors for
treatment of chymase-related **diseases**)

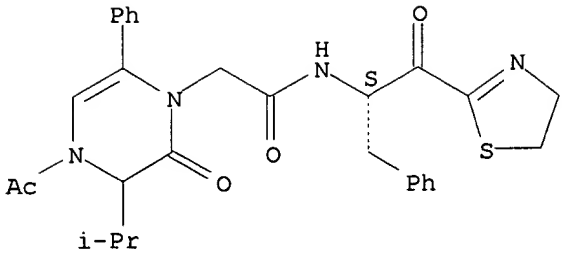
RN 322395-87-9 CAPLUS
CN 1(2H)-Pyrazineacetamide, 4-acetyl-N-[(1S)-2-(4,5-dihydro-2-oxazolyl)-2-oxo-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-2-oxo-6-phenyl-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 322395-88-0 CAPLUS
CN 1(2H)-Pyrazineacetamide, 4-acetyl-N-[(1S)-2-(4,5-dihydro-2-thiazolyl)-2-oxo-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-2-oxo-6-phenyl-(9CI) (CA INDEX NAME)

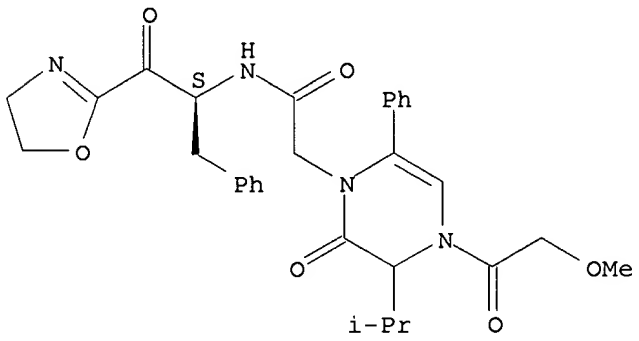
Absolute stereochemistry.



RN 322395-89-1 CAPLUS
CN 1(2H)-Pyrazineacetamide, N-[(1S)-2-(4,5-dihydro-2-oxazolyl)-2-oxo-1-

(phenylmethyl)ethyl]-3,4-dihydro-4-(methoxyacetyl)-3-(1-methylethyl)-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)

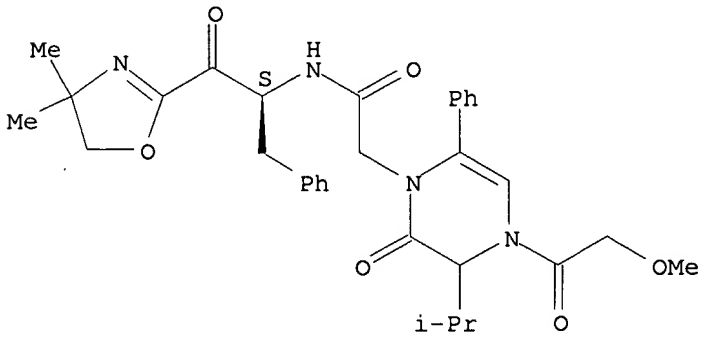
Absolute stereochemistry.



RN 322395-92-6 CAPLUS
CN 1(2H)-Pyrazineacetamide,
N-[(1S)-2-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-2-

oxo-1-(phenylmethyl)ethyl]-3,4-dihydro-4-(methoxyacetyl)-3-(1-methylethyl)-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)

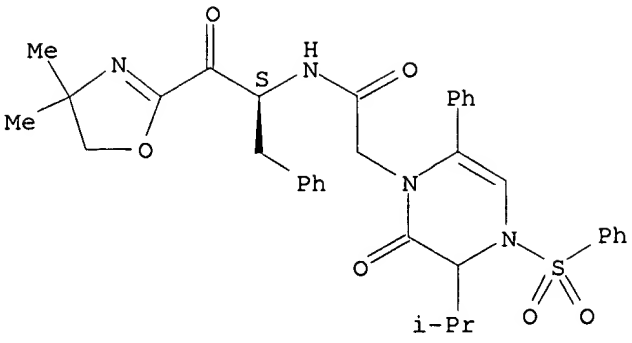
Absolute stereochemistry.



RN 322395-93-7 CAPLUS
CN 1(2H)-Pyrazineacetamide,
N-[(1S)-2-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-2-

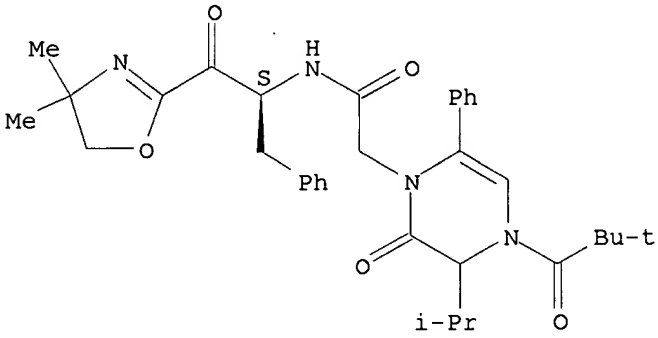
oxo-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-2-oxo-6-phenyl-4-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



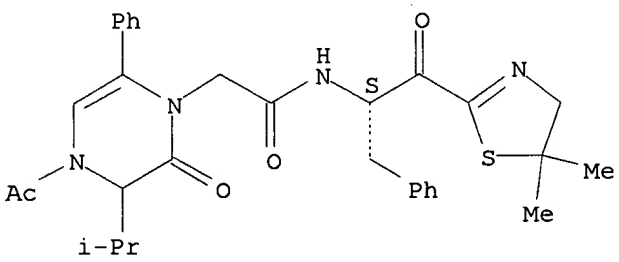
RN 322395-94-8 CAPLUS
CN 1(2H)-Pyrazineacetamide,
N-[(1S)-2-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-2-
oxo-1-(phenylmethyl)ethyl]-4-(2,2-dimethyl-1-oxopropyl)-3,4-dihydro-3-(1-
methylethyl)-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 322395-95-9 CAPLUS
CN 1(2H)-Pyrazineacetamide, 4-acetyl-N-[(1S)-2-(4,5-dihydro-5,5-dimethyl-2-
thiazolyl)-2-oxo-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-2-
oxo-6-phenyl- (9CI) (CA INDEX NAME)

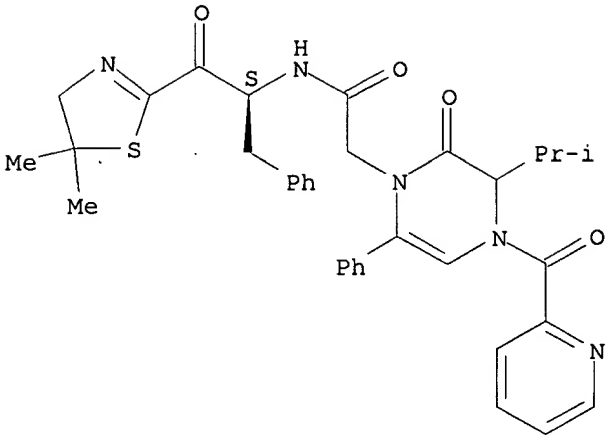
Absolute stereochemistry.



RN 322395-96-0 CAPLUS
CN 1(2H)-Pyrazineacetamide,
N-[(1S)-2-(4,5-dihydro-5,5-dimethyl-2-thiazolyl)-

2-oxo-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-2-oxo-6-phenyl-
4-(2-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

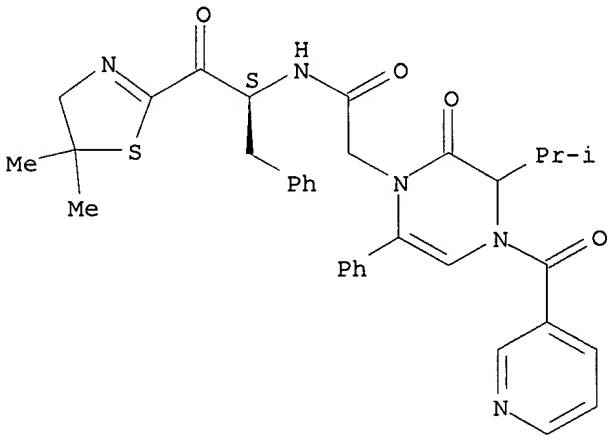
Absolute stereochemistry.



RN 322395-97-1 CAPLUS
CN 1(2H)-Pyrazineacetamide,
N-[(1S)-2-(4,5-dihydro-5,5-dimethyl-2-thiazolyl)-

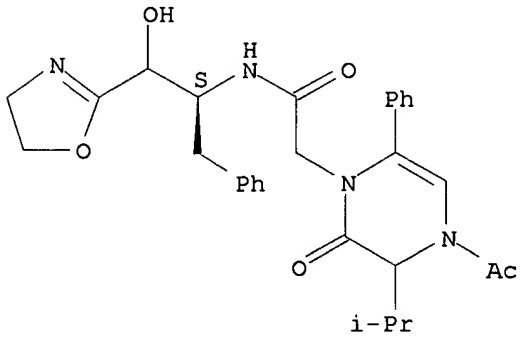
2-oxo-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-2-oxo-6-phenyl-
4-(3-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 322396-82-7 CAPLUS
CN 1(2H)-Pyrazineacetamide, 4-acetyl-N-[(1S)-2-(4,5-dihydro-2-oxazolyl)-2-
hydroxy-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-2-oxo-6-
phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 322396-83-8 CAPLUS
CN 1(2H)-Pyrazineacetamide, 4-acetyl-N-[(1S)-2-(4,5-dihydro-2-thiazolyl)-2-hydroxy-1-(phenylmethyl)ethyl]-3,4-dihydro-3-(1-methylethyl)-2-oxo-6-phenyl- (9CI) (CA INDEX NAME)

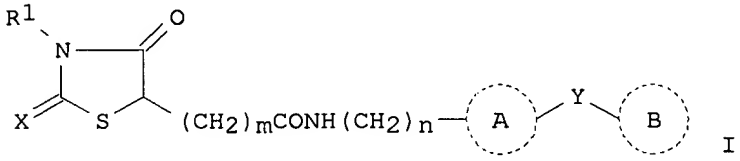
Absolute stereochemistry.

u
=> d l5 11-20 ibib abs hitstr

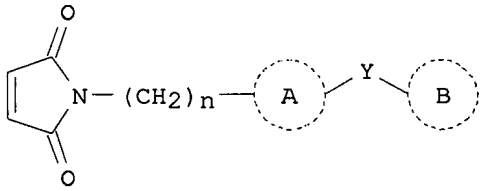
L5 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:356169 CAPLUS
DOCUMENT NUMBER: 133:4651
TITLE: Preparation of thiazolidine derivatives, matrix metalloprotease inhibitors containing them, and their therapeutic uses
INVENTOR(S): Kawamura, Noriaki; Yamashita, Toshio; Takizawa, Masayuki; Yoshimura, Koji
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 42 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000143650	A2	20000526	JP 1998-323767	19981113

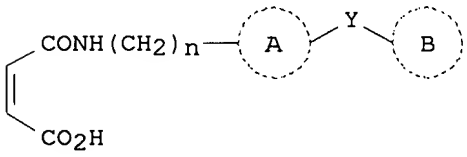
OTHER SOURCE(S): CASREACT 133:4651; MARPAT 133:4651
GI



I



II



III

AB The derivs. I [rings A and B = (un)substituted homocyclic or heterocyclic group, wherein the substituents are bonded together with Y to form a condensed ring; R1 = H, (un)substituted hydrocarbyl; X = O, S; Y = linking group, divalent (un)substituted C1-3 aliph. hydrocarbylene; O(CH2)p (p =

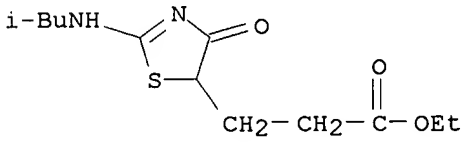
0-3), S(O)r (r = 0-2), CONH, NHCO, NHCONH, NHSO2; m = 1, 2; n = 0, 1] or their salts are prepd. by treatment of R1NHC(S)CH (R1 = same as above) or their salts with maleimide derivs. II (A, B, Y, and n = same as above) or maleamic acid derivs. III (A, B, Y, and n = same as above) or their salts.

Also claimed are matrix metalloproteinase inhibitors contg. I or their salts and prophylactic and therapeutic agents contg. I or their salts for osteoarthritis, rheumatoid arthritis, osteoporosis, cancer, periodontal **diseases**, or corneal ulcer. N-[4-(4-methylphenoxy)benzyl]maleimid e, prepd. from 4-bromobenzonitrile, 4-methylphenol, and maleic anhydride, was treated with isobutylamine, Et3N, and CS2 to give 3-isobutyl-N-[4-(4-methylphenoxy)benzyl]-4-oxo-2-thioxo-5-thiazolidineacetamide. This inhibited human recombinant MMP-13 at IC50 2 nM.

IT **270260-87-2P**, Ethyl 3-[2-isobutylimino-4-oxothiazolidin-5-yl]propionate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of thiazolidine derivs. as matrix metalloprotease inhibitors and drugs contg. them)

RN 270260-87-2 CAPLUS

CN 5-Thiazolepropanoic acid, 4,5-dihydro-2-[(2-methylpropyl)amino]-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:314533 CAPLUS

DOCUMENT NUMBER: 132:334285

TITLE: Preparation of phenyloxoazapropylcycloalkane derivatives and analogs as potassium channel inhibitors

INVENTOR(S): Baker, Robert K.; Chee, Jennifer; Bao, Jianming; Garcia, Maria L.; Kaczorowski, Gregory J.; Kotliar, Andrew; Kayser, Frank; Liu, Chou Juitsai; Miao, Shouwu; Rupprecht, Kathleen M.; Parsons, William H.; Schmalhofer, William A.; Claiborne, Christopher F.; Liverton, Nigel; Claremon, David A.; Thompson, Wayne J.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 243 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

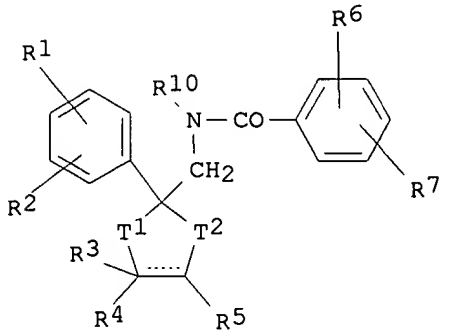
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000025770	A1	20000511	WO 1999-US24949	19991026
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1143965	A1	20011017	EP 1999-955159	19991026

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.: US 1998-106416 P 19981030
WO 1999-US24949 W 19991026

OTHER SOURCE(S): MARPAT 132:334285

GI



I

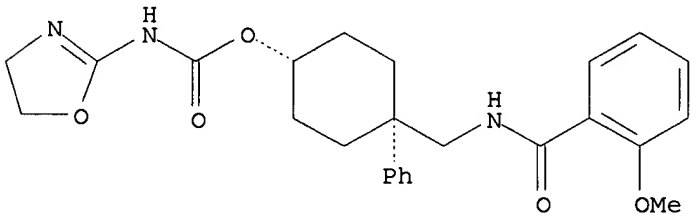
AB The title compds. I [T1 = (CH2)x; T2 = (CH2)y; dotted line indicates a single bond or double bond; x, y = 0 - 2; R1, R2, R6, R7 = halo, hydroxy, alkyl, etc.; R3, R4 = H, cyano, nitro, etc.; further details on R3 and R4 are given; R5 = H, halo, hydoxy, etc.; further details on R3 and R5 are given; R10 = H, etc.], useful as potassium channel inhibitors (no data), are prepd. I are useful in the treatment of autoimmune disorders, cardiac arrhythmias (no data), etc. Formulations are given.

IT **267403-12-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and effect of phenyloxoazapropylcycloalkane derivs. and analogs with potassium channel inhibiting activity)

RN 267403-12-3 CAPLUS

CN Carbamic acid, (4,5-dihydro-2-oxazolyl)-, trans-4-[[(2-methoxybenzoyl)amino]methyl]-4-phenylcyclohexyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 2

REFERENCE(S):
(1) Markaryan; Arm Khim Zh 1974, V27(9), P779 CAPLUS
(2) Purchase; Bioorg & Med Chem 1997, V5(4), P739 CAPLUS

L5 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:116861 CAPLUS

DOCUMENT NUMBER: 132:166232

TITLE: Preparation of 4-(1,3-oxazol-2-yl)butanoic acids as vitronectin receptor antagonists
INVENTOR(S): Manley, Peter J.; Miller, William H.
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000007544	A2	20000217	WO 1999-US17665	19990803
WO 2000007544	A3	20000518		
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9953362	A1	20000228	AU 1999-53362	19990803
BR 9912638	A	20010502	BR 1999-12638	19990803
EP 1102587	A2	20010530	EP 1999-938993	19990803
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NO 2001000620	A	20010206	NO 2001-620	20010206

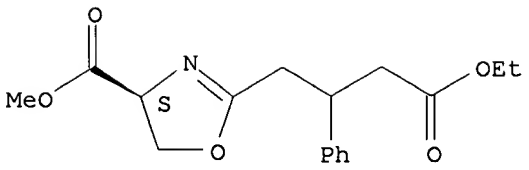
PRIORITY APPLN. INFO.: US 1998-95703 P 19980807
WO 1999-US17665 W 19990803
OTHER SOURCE(S): MARPAT 132:166232
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Y = CR11R11, NR11CO; R1 = alkylheteroaryl, alkylaryl, H, etc.; R2 = II-IV, etc.; W = (CHRg)aU(CHRg)b; U = absent, CO, O, etc.; G = NRe, S, O; Rg = H, alkyl, heteroarylalkyl, etc.; Re = H, alkyl, arylalkyl, etc.; Rb, Rc = H, alkyl, arylalkyl, etc.; Rb and Rc are joined together to form a (un)substituted 5-6 membered arom. or non-arom. carbocyclic or heterocyclic ring; Q1-Q4 = N, CRy, provided that no more than one of Q1-Q4 = N; R11 = H, alkyl, arylalkyl, etc.; R12 = R11, COR11, CO2R11; Ry = H, halo, CN, etc.; a = 0-2; b = 0-2; u = 0-1; v = 0-1], which are vitronectin receptor antagonists and are useful in the treatment of inflammation, cancer and cardiovascular disorders, such as atherosclerosis and restenosis, and **diseases** wherein bone resorption is a factor, such as osteoporosis, were prepd. and formulated. E.g., a multi-step synthesis of V was given. Compds. I inhibit vitronectin binding to SK&F 107260 at 2.0-0.2 .mu.M.

IT **258881-24-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 4-(1,3-oxazol-2-yl)butanoic acids as vitronectin receptor antagonists)
RN 258881-24-2 CAPLUS
CN 2-Oxazolebutanoic acid, 4,5-dihydro-4-(methoxycarbonyl)-.beta.-phenyl-, ethyl ester, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 2000:15184 CAPLUS
DOCUMENT NUMBER: 132:64256
TITLE: Preparation of non-peptidyl inhibitors of VLA-4 dependent cell binding useful in treating inflammatory, autoimmune and respiratory **diseases**
INVENTOR(S): Duplantier, Allen Jacob; Milici, Anthony John; Chupak, Louis Stanley
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000000477	A1	20000106	WO 1999-IB973	19990531
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR,.GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9938416	A1	20000117	AU 1999-38416	19990531
BR 9911701	A	20010320	BR 1999-11701	19990531
EP 1091943	A1	20010418	EP 1999-921046	19990531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
US 6306887	B1	20011023	US 1999-338832	19990623
NO 2000006600	A	20010221	NO 2000-6600	20001222

PRIORITY APPLN. INFO.: US 1998-91180 P 19980630
WO 1999-IB973 W 19990531
OTHER SOURCE(S): MARPAT 132:64256
GI

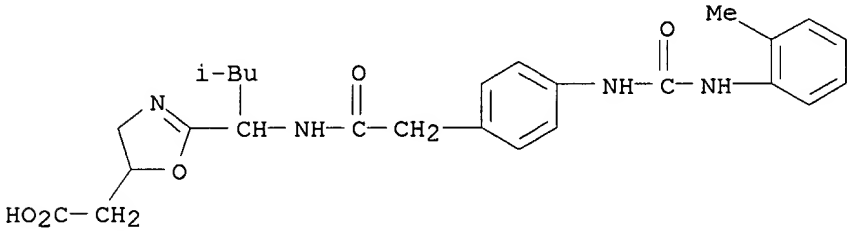
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A = (un)substituted aryl, heteroaryl, heterocyclyl, etc.; B = II-IV, etc.; E = a single bond, O, CH:CH, etc.; X = O, S, SO, SO2, etc.; Y = CO, CS, SO2, etc.; m = 0-2; n = 1-2; p = 1-2; R = CO2R5; CONO, etc.; R2, R3 = H, alkyl, alkenyl, etc.; R2R3 = (un)substituted spiro(C3-14)carbocyclic ring; R2-R4 together with the C and N atoms to which they are attached = (un)substituted heteroaryl, heterocyclyl; R5 = H, alkyl, cycloalkyl, aryl; R6 = H, alkyl, (CH2)r-cycloalkyl, etc.; r = 0-2], useful in treating or preventing an inflammatory, autoimmune or respiratory disease such as asthma, multiple sclerosis, rheumatoid

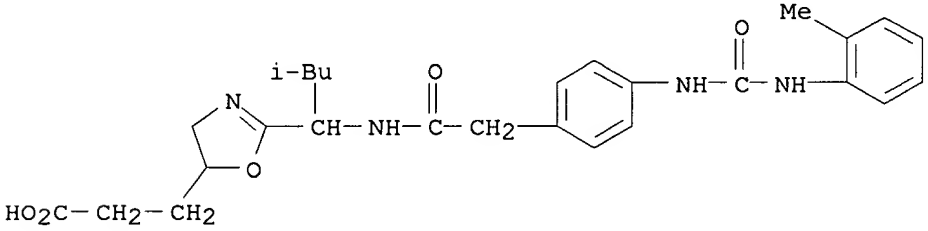
arthritis, osteoarthritis, inflammatory bowel disease, psoriasis, transplant rejection, and atherosclerosis, by inhibiting cell adhesion and consequent or assocd. pathogenic processes subsequently mediated by VLA-4 (no data), were prepd. E.g., a multi-step synthesis of the title compd. V, was given. Compds. I are effective at 20 .mu.g - 0.5 mg/kg/day.

IT **253346-20-2P 253346-50-8P 253346-51-9P**
253346-52-0P 253346-53-1P 253346-54-2P
253346-55-3P 253346-56-4P 253346-57-5P
253346-58-6P 253346-63-3P 253346-64-4P
253346-65-5P 253346-66-6P 253346-67-7P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of non-peptidyl inhibitors of VLA-4 dependent cell binding useful in treating inflammatory, autoimmune and respiratory **diseases**)

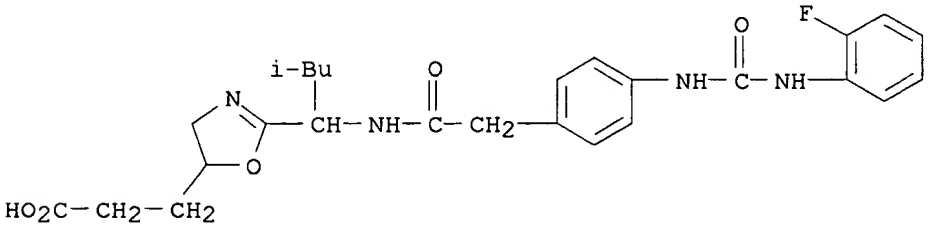
RN 253346-20-2 CAPLUS
CN 5-Oxazoleacetic acid, 4,5-dihydro-2-[3-methyl-1-[[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]amino]butyl]- (9CI) (CA INDEX NAME)



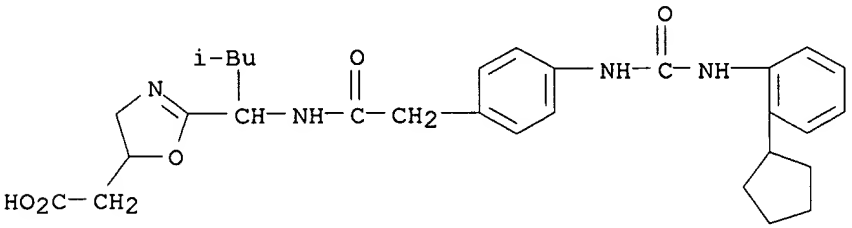
RN 253346-50-8 CAPLUS
CN 5-Oxazolepropanoic acid, 4,5-dihydro-2-[3-methyl-1-[[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]amino]butyl]- (9CI) (CA INDEX NAME)



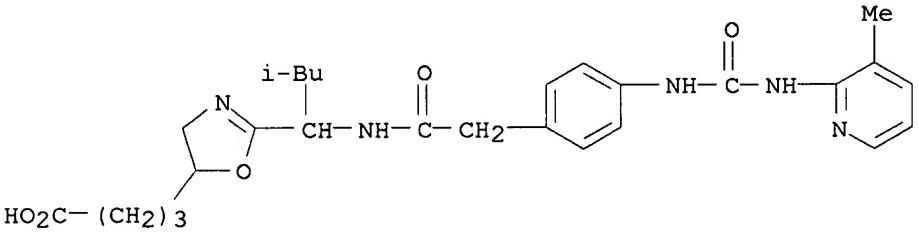
RN 253346-51-9 CAPLUS
CN 5-Oxazolepropanoic acid, 2-[1-[[[4-[[[(2-fluorophenyl)amino]carbonyl]amino]phenyl]acetyl]amino]-3-methylbutyl]-4,5-dihydro- (9CI) (CA INDEX NAME)



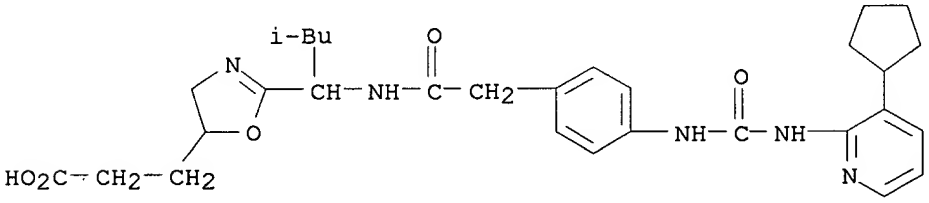
RN 253346-52-0 CAPLUS
CN 5-Oxazoleacetic acid,
2-[1-[[[4-[[[(2-cyclopentylphenyl)amino]carbonyl]ami
no]phenyl]acetyl]amino]-3-methylbutyl]-4,5-dihydro- (9CI) (CA INDEX
NAME)



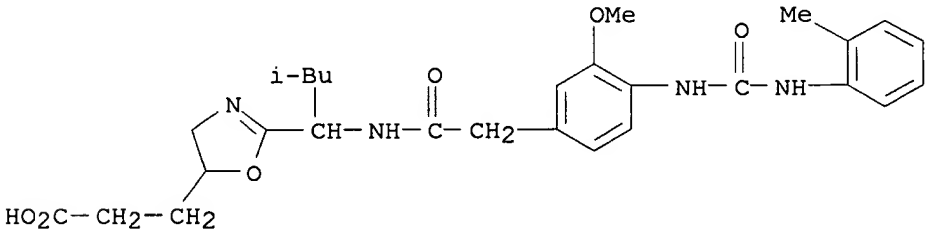
RN 253346-53-1 CAPLUS
CN 5-Oxazolebutanoic acid, 4,5-dihydro-2-[3-methyl-1-[[[4-[[[(3-methyl-2-
pyridinyl)amino]carbonyl]amino]phenyl]acetyl]amino]butyl]- (9CI) (CA
INDEX NAME)



RN 253346-54-2 CAPLUS
CN 5-Oxazolepropanoic acid, 2-[1-[[[4-[[[(3-cyclopentyl-2-
pyridinyl)amino]carbonyl]amino]phenyl]acetyl]amino]-3-methylbutyl]-4,5-
dihydro- (9CI) (CA INDEX NAME)

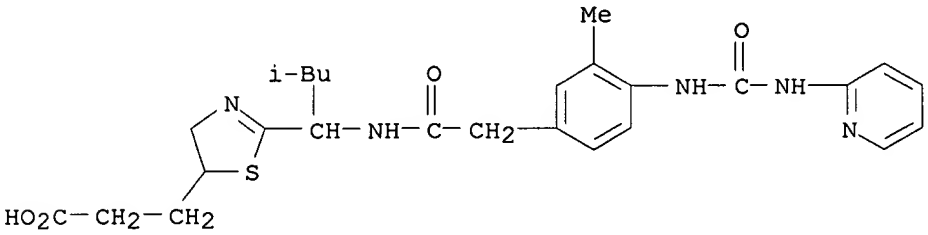


RN 253346-55-3 CAPLUS
CN 5-Oxazolepropanoic acid, 4,5-dihydro-2-[1-[[[3-methoxy-4-[[[(2-
methylphenyl)amino]carbonyl]amino]phenyl]acetyl]amino]-3-methylbutyl]-
(9CI) (CA INDEX NAME)

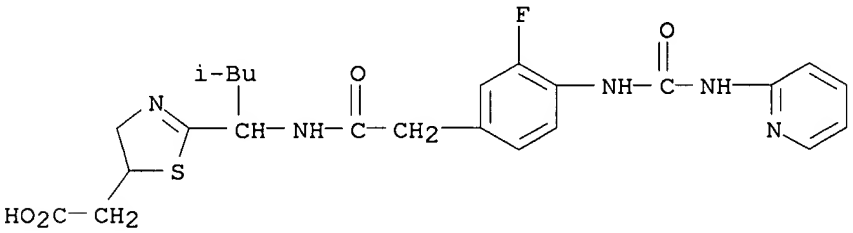


RN 253346-56-4 CAPLUS

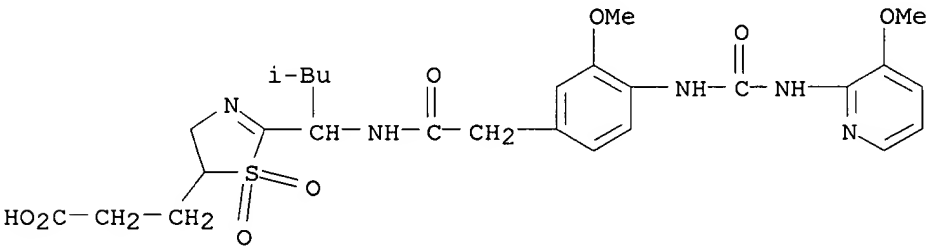
CN 5-Thiazolepropanoic acid, 4,5-dihydro-2-[3-methyl-1-[[[3-methyl-4-[[(2-pyridinylamino)carbonyl]amino]phenyl]acetyl]amino]butyl]- (9CI) (CA INDEX NAME)



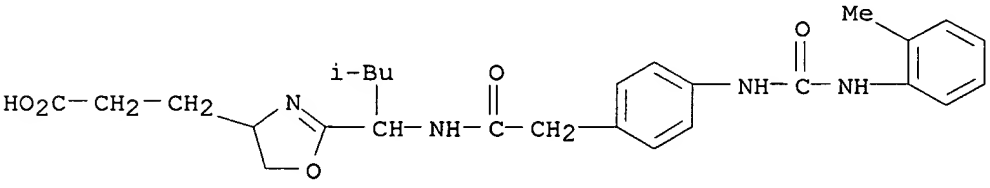
RN 253346-57-5 CAPLUS
CN 5-Thiazoleacetic acid, 2-[1-[[[3-fluoro-4-[[(2-pyridinylamino)carbonyl]amino]phenyl]acetyl]amino]-3-methylbutyl]-4,5-dihydro- (9CI) (CA INDEX NAME)



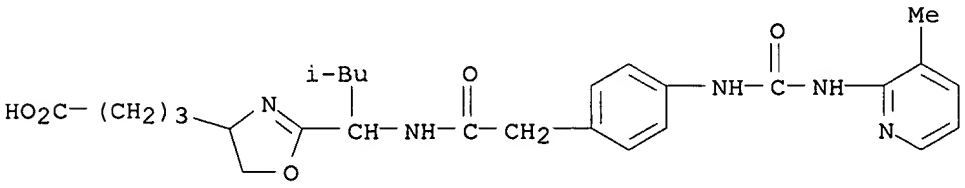
RN 253346-58-6 CAPLUS
CN 5-Thiazolepropanoic acid, 4,5-dihydro-2-[1-[[[3-methoxy-4-[[[(3-methoxy-2-pyridinyl)amino]carbonyl]amino]phenyl]acetyl]amino]-3-methylbutyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)



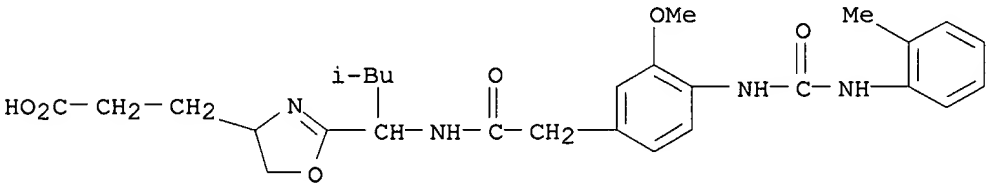
RN 253346-63-3 CAPLUS
CN 4-Oxazolepropanoic acid, 4,5-dihydro-2-[3-methyl-1-[[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]amino]butyl]- (9CI) (CA INDEX NAME)



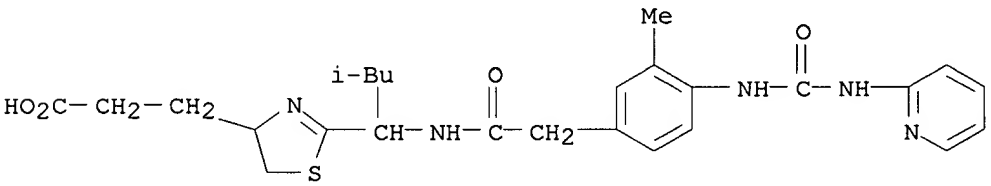
RN 253346-64-4 CAPLUS
CN 4-Oxazolebutanoic acid, 4,5-dihydro-2-[3-methyl-1-[[[4-[[[(3-methyl-2-pyridinyl)amino]carbonyl]amino]phenyl]acetyl]amino]butyl]- (9CI) (CA INDEX NAME)



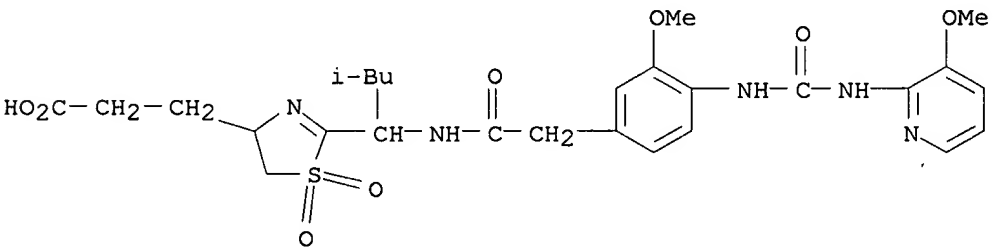
RN 253346-65-5 CAPLUS
CN 4-Oxazolepropanoic acid, 4,5-dihydro-2-[1-[[[3-methoxy-4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]amino]-3-methylbutyl]- (9CI) (CA INDEX NAME)



RN 253346-66-6 CAPLUS
CN 4-Thiazolepropanoic acid, 4,5-dihydro-2-[3-methyl-1-[[[3-methyl-4-[[(2-pyridinylamino)carbonyl]amino]phenyl]acetyl]amino]butyl]- (9CI) (CA INDEX NAME)



RN 253346-67-7 CAPLUS
CN 4-Thiazolepropanoic acid, 4,5-dihydro-2-[1-[[[3-methoxy-4-[[[(3-methoxy-2-pyridinyl)amino]carbonyl]amino]phenyl]acetyl]amino]-3-methylbutyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

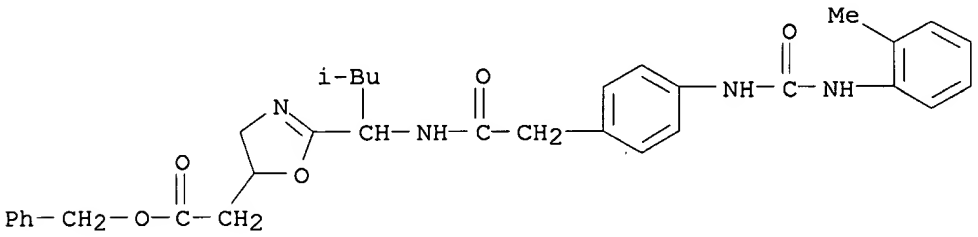


IT **253348-70-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of non-peptidyl inhibitors of VLA-4 dependent cell binding useful in treating inflammatory, autoimmune and respiratory **diseases**)

RN 253348-70-8 CAPLUS

CN 5-Oxazoleacetic acid, 4,5-dihydro-2-[3-methyl-1-[[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]amino]butyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2

REFERENCE(S):
(1) Biogen Inc; WO 9622966 A 1996 CAPLUS
(2) Takeda Chemical Industries Ltd; EP 0529858 A 1993 CAPLUS

L5 ANSWER 15 OF 33 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1999:460418 CAPLUS

DOCUMENT NUMBER: 131:87915

TITLE: Preparation of imidazole derivatives as therapeutic agents

INVENTOR(S): Sueoka, Hiroyuki; Yasuoka, Jouji; Nishiyama, Akira; Kiuchi, Masatoshi; Yamamoto, Katsuya; Sugahara, Kunio

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

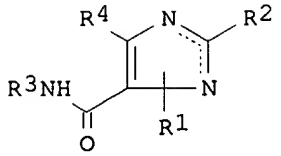
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

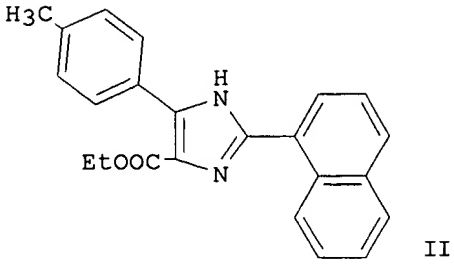
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933827	A1	19990708	WO 1998-JP5930	19981224
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9916901	A1	19990719	AU 1999-16901	19981224
US 6288061	B1	20010911	US 2000-598216	20000621

PRIORITY APPLN. INFO.:
JP 1997-359671 A 19971226
WO 1998-JP5930 W 19981224
JP 1999-174074 A 19990621
JP 2000-45165 A 20000217

GI



I



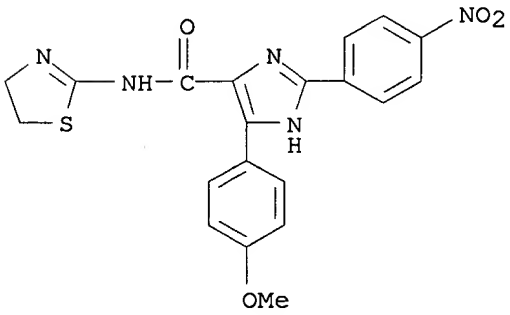
II

AB Title compds. [I; or pharmaceutically acceptable salts thereof: wherein R1 is hydrogen, optically substituted alkyl or the like; R2 is hydrogen, optically substituted alkyl or the like; R3 is optically substituted heteroaryl; and R4 is optically substituted cycloalkyl, optically substituted Ph or the like, provided that when R1 is hydrogen and R2 is Ph or Ph substituted with halogeno, lower alkyl or lower alkoxy, R3 is benzothiazolyl or phenyl-substituted benzothiazolyl; dotted bonds are singe or double] are prepd. and exhibit an inhibitory activity against the prodn. of IL-4 and IL-5 form Th2 cells, and are therefore useful as preventive and therapeutic agents for allergic **diseases** such as atopic dermatitis, bronchial asthma and allergic rhinitis. Title compd. II was prepd.

IT **229632-08-0P 229632-09-1P 229632-10-4P 229632-11-5P 229632-12-6P 229632-18-2P 229632-19-3P 229632-20-6P 229632-21-7P 229632-22-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of imidazole derivs. as inhibitors)

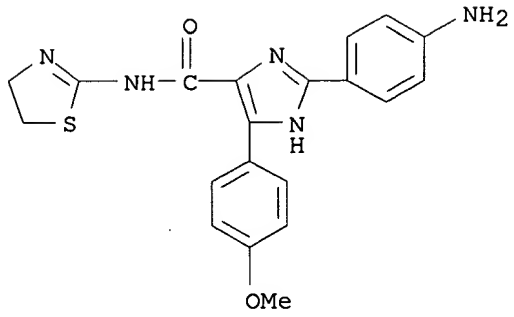
RN 229632-08-0 CAPLUS

CN 1H-Imidazole-4-carboxamide, N-(4,5-dihydro-2-thiazolyl)-5-(4-methoxyphenyl)-2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

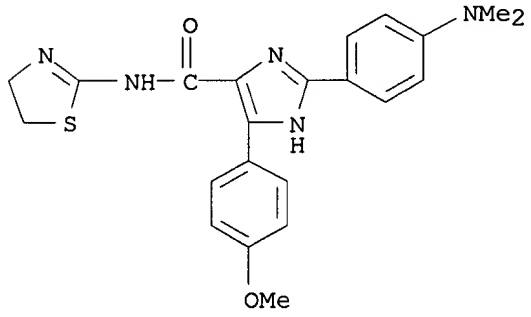


RN 229632-09-1 CAPLUS

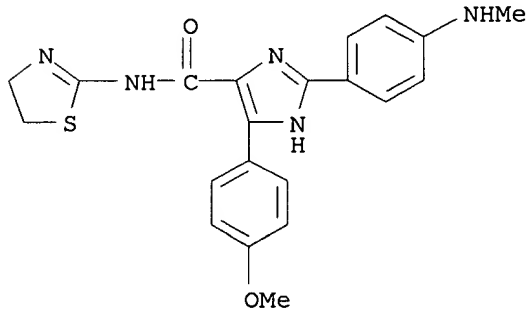
CN 1H-Imidazole-4-carboxamide, 2-(4-aminophenyl)-N-(4,5-dihydro-2-thiazolyl)-5-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



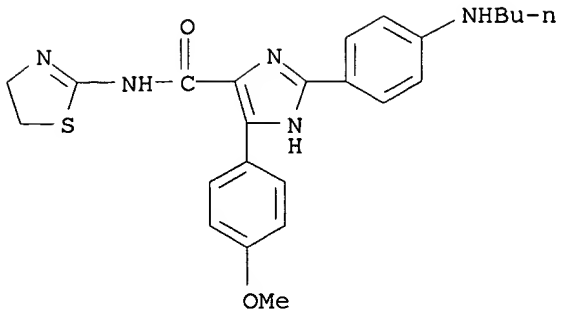
RN 229632-10-4 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-(4,5-dihydro-2-thiazolyl)-2-[4-(dimethylamino)phenyl]-5-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



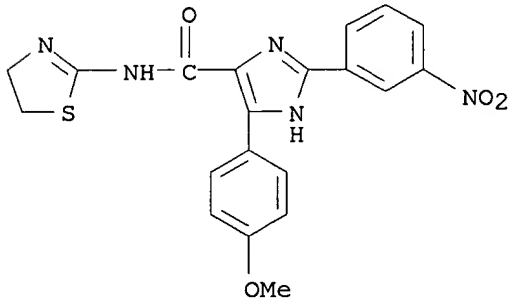
RN 229632-11-5 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-(4,5-dihydro-2-thiazolyl)-5-(4-methoxyphenyl)-2-[4-(methylamino)phenyl]- (9CI) (CA INDEX NAME)



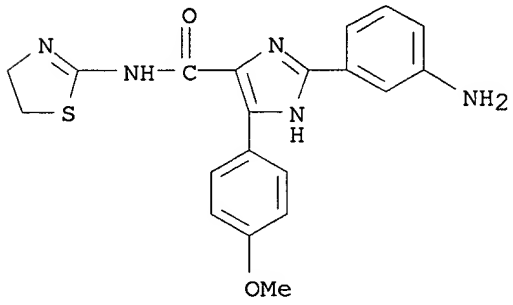
RN 229632-12-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-[4-(butylamino)phenyl]-N-(4,5-dihydro-2-thiazolyl)-5-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



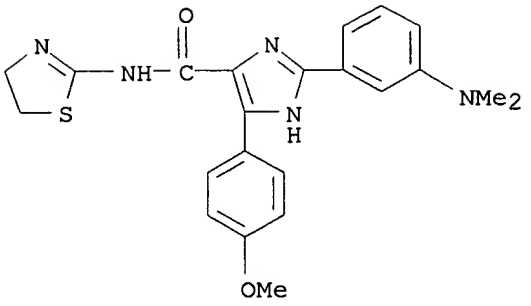
RN 229632-18-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-(4,5-dihydro-2-thiazolyl)-5-(4-methoxyphenyl)-2-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



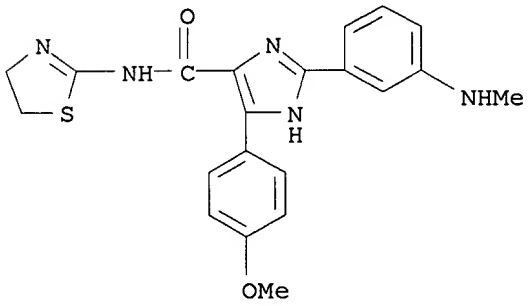
RN 229632-19-3 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-(3-aminophenyl)-N-(4,5-dihydro-2-thiazolyl)-5-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



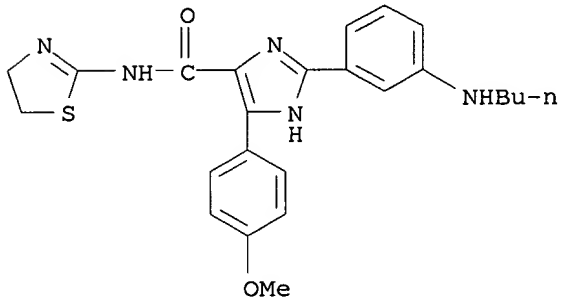
RN 229632-20-6 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-(4,5-dihydro-2-thiazolyl)-2-[3-(dimethylamino)phenyl]-5-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 229632-21-7 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-(4,5-dihydro-2-thiazolyl)-5-(4-methoxyphenyl)-2-[3-(methylamino)phenyl]- (9CI) (CA INDEX NAME)



RN 229632-22-8 CAPLUS
CN 1H-Imidazole-4-carboxamide, 2-[3-(butylamino)phenyl]-N-(4,5-dihydro-2-thiazolyl)-5-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1
REFERENCE(S): (1) Yoshitomi Pharmaceutical Industries Ltd; JP 6310767 A 1988

L5 ANSWER 16 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:325915 CAPLUS
DOCUMENT NUMBER: 130:347432
TITLE: Receptor with an affinity for compounds of the oxazoline class, and therapeutic use of the compounds
INVENTOR(S): Louis, William J.; Jackman, Graham P.; Conway, Elizabeth L.; Gundlach, Andrew L.; Iakovidis, Dimitri; King, Paul R.; Louis, Simon N. S.; Nero, Tracy
PATENT ASSIGNEE(S): The University of Melbourne, Australia
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9924411	A1	19990520	WO 1998-AU919	19981105

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1044194	A1	20001018	EP 1998-952426	19981105

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

JP 2001522839	T2	20011120	JP 2000-520425	19981105

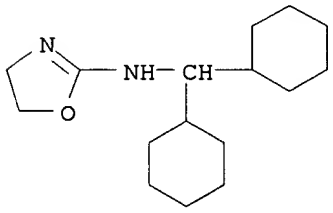
PRIORITY APPLN. INFO.: AU 1997-202 A 19971105
WO 1998-AU919 W 19981105

OTHER SOURCE(S): MARPAT 130:347432

AB The invention relates to a novel receptor, in particular to a new type of receptor with an affinity for compds. of the oxazoline class, compds. which bind to this receptor, and the use of these compds. in the treatment of **diseases**, esp. **diseases** of the central nervous system, the cardiovascular system and the kidney.

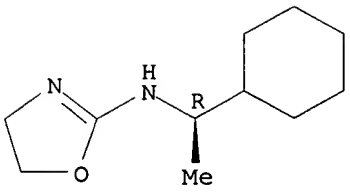
IT **224790-35-6P 224790-37-8P 224790-39-0P**
224790-40-3P 224790-41-4P 224790-42-5P
224790-43-6P 224790-44-7P 224790-46-9P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(receptor with affinity for oxazoline class compds., compd. prepn., and therapeutic use)

RN 224790-35-6 CAPLUS
CN 2-Oxazolamine, N-(dicyclohexylmethyl)-4,5-dihydro- (9CI) (CA INDEX NAME)



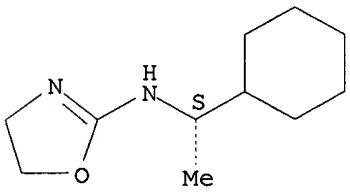
RN 224790-37-8 CAPLUS
CN 2-Oxazolamine, N-[(1R)-1-cyclohexylethyl]-4,5-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



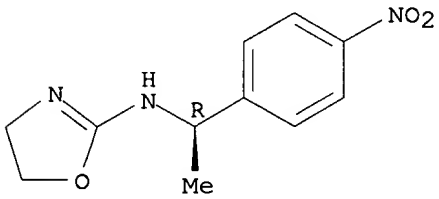
RN 224790-39-0 CAPLUS
CN 2-Oxazolamine, N-[(1S)-1-cyclohexylethyl]-4,5-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



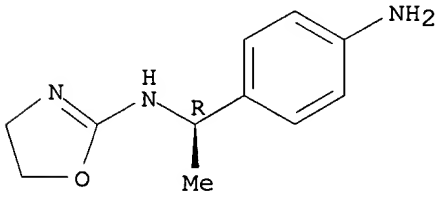
RN 224790-40-3 CAPLUS
CN 2-Oxazolamine, 4,5-dihydro-N-[(1R)-1-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

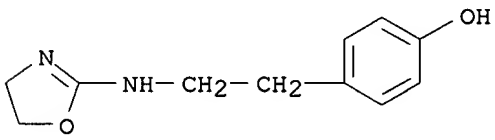


RN 224790-41-4 CAPLUS
CN 2-Oxazolamine, N-[(1R)-1-(4-aminophenyl)ethyl]-4,5-dihydro- (9CI) (CA INDEX NAME)

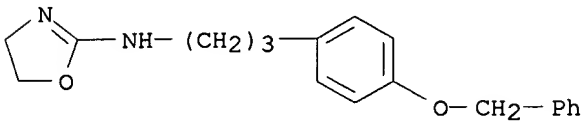
Absolute stereochemistry.



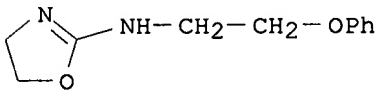
RN 224790-42-5 CAPLUS
CN Phenol, 4-[2-[(4,5-dihydro-2-oxazolyl)amino]ethyl]- (9CI) (CA INDEX NAME)



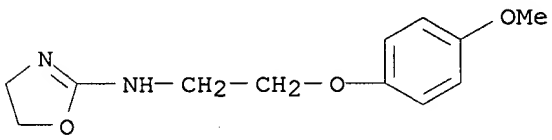
RN 224790-43-6 CAPLUS
CN 2-Oxazolamine, 4,5-dihydro-N-[3-[4-(phenylmethoxy)phenyl]propyl]- (9CI) (CA INDEX NAME)



RN 224790-44-7 CAPLUS
CN 2-Oxazolamine, 4,5-dihydro-N-(2-phenoxyethyl)- (9CI) (CA INDEX NAME)

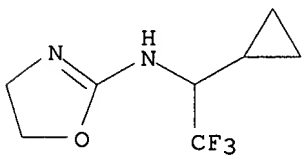


RN 224790-46-9 CAPLUS
CN 2-Oxazolamine, 4,5-dihydro-N-[2-(4-methoxyphenoxy)ethyl]- (9CI) (CA INDEX NAME)



IT **224952-13-0**, (+)-S 8349
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(receptor with affinity for oxazoline class compds., compd. prepn., and therapeutic use)
RN 224952-13-0 CAPLUS
CN 2-Oxazolamine, N-(1-cyclopropyl-2,2,2-trifluoroethyl)-4,5-dihydro-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).
Currently available stereo shown.



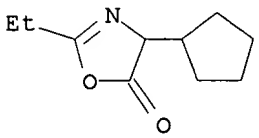
REFERENCE COUNT: 18
REFERENCE(S):
(2) Deckert, V; Clin Exp Pharmacol Physiol 1991, V18(6), P401 CAPLUS
(5) Hirashima; Nippon Noyaku Gakkaishi 1996, V21(4), P419 CAPLUS
(6) Jennings, K; Pestic Biochem Physiol 1988, V30(2), P190 CAPLUS
(8) King, P; Annals of the New York Academy of

Sciences 1995, V763, P194 CAPLUS
(9) King, P; European Journal of Pharmacology 1995, V281(3), P341 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

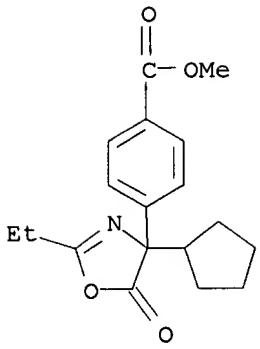
L5 ANSWER 17 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:134371 CAPLUS
DOCUMENT NUMBER: 130:232495
TITLE: Thiazoles and pharmaceutical compositions and formation inhibitors of TNF-.alpha. or IFN-.gamma. containing the thiazoles
INVENTOR(S): Hashimoto, Hiromasa; Imamura, Katsuaki; Takagi, Hideo
PATENT ASSIGNEE(S): Japan Tobacco, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 112 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11049762	A2	19990223	JP 1997-227523	19970808

OTHER SOURCE(S): MARPAT 130:232495
GI For diagram(s), see printed CA Issue.
AB Thiazoles I [R = (substituted) lower alkyl, carboxy, lower alkoxycarbonyl, carbamoyl, (lower alkyl-substituted) carbamoyl; R1 = (substituted) C3-7 cycloalkyl; R2 = (substituted) aryl, (substituted) arom. heterocyclyl contg. 1-3 of N, O, and/or S atoms, Z, CONH(CH2)nQ1; Q indicates (substituted) heterocyclic residue; Q1 = (substituted) aryl, (substituted) arom. heterocyclyl contg. 1-3 of N, O, and/or S atoms, (substituted) C3-7 cycloalkyl, Z (Q = same as above); n = 0-4] or their pharmaceutically acceptable salts are useful for pharmaceutical compns. and formation inhibitors of TNF-.alpha. or IFN-.gamma.. The thiazoles are useful for treatment or prevention of inflammatory, allergic, and autoimmune **diseases**. 4-Cyclopentyl-2-ethyl-5-[4-(N-hydroxyamidino)phenyl]thiazole (prepn. given) inhibited the formation of TNF-.alpha. in peripheral blood mononuclear cells with IC50 of 0.02 .mu.M.
IT **221214-05-7P 221214-06-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(Thiazoles and pharmaceutical compns. and formation inhibitors of TNF-.alpha. or IFN-.gamma. contg. the thiazoles)
RN 221214-05-7 CAPLUS
CN 5(4H)-Oxazolone, 4-cyclopentyl-2-ethyl- (9CI) (CA INDEX NAME)



RN 221214-06-8 CAPLUS
CN Benzoic acid, 4-(4-cyclopentyl-2-ethyl-4,5-dihydro-5-oxo-4-oxazolyl)-, methyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1999:113706 CAPLUS
DOCUMENT NUMBER: 130:168661
TITLE: Preparation of N-sulfonyl phenylalanine dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4
INVENTOR(S): Thorsett, Eugene D.; Semko, Christopher M.; Sarantakis, Dimitrios; Pleiss, Michael A.; Lombardo, Louis John; Kreft, Anthony; Konradi, Andrei W.; Grant, Francine S.; Dressen, Darren B.; Dappen, Michael S.; Baudy, Reinhardt Bernhard; Ashwell, Susan
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; American Home Products Corporation
SOURCE: PCT Int. Appl., 254 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906431	A1	19990211	WO 1998-US15313	19980730
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9886611	A1	19990222	AU 1998-86611	19980730
EP 1001972	A1	20000524	EP 1998-937990	19980730
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9812114	A	20000718	BR 1998-12114	19980730
JP 2001512134	T2	20010821	JP 2000-505186	19980730
NO 2000000450	A	20000328	NO 2000-450	20000128

PRIORITY APPLN. INFO.: US 1997-920394 A1 19970731
WO 1998-US15313 W 19980730
OTHER SOURCE(S): MARPAT 130:168661

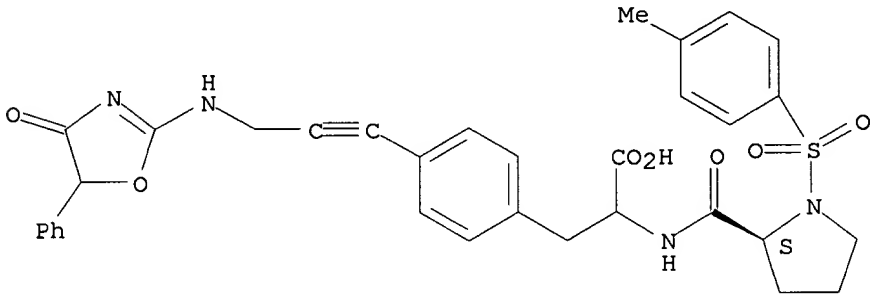
AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted heterocyclic ring; R5 = (CH2)x-Ar-R5'; R5' = substituted alkylcarbonylamino, alkoxyaryl, aryl, heteroaryl, NR2, alkoxy-NR2, alkenyl, alkynyl, aryloxy, heteroaryloxy, tetrazolyl, etc.; each R = H, any group R1; Ar = (un)substituted aryl or heteroaryl; x = 1-4; Q =

C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory **diseases** in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain **diseases** such as multiple sclerosis. Thus, BOP-mediated peptide coupling of Ts-Pro-Phe(4-NH2)-OMe (Ts = tosyl) with Boc-Gly-OH, followed by sapon., gave desired title compd. Ts-Pro-Phe(4-Boc-Gly-NH)-OH. All prepd. compds. have IC50 .ltoreq. 15 .mu.M in a VLA-4 binding assay.

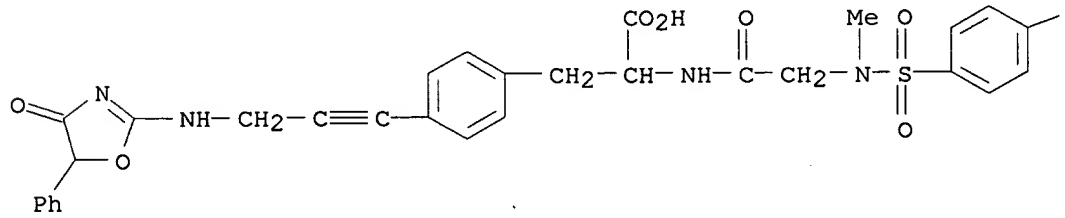
IT **220397-96-6P 220397-97-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfonyl phenylalanine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 220397-96-6 CAPLUS
CN Phenylalanine, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-4-[3-[(4,5-dihydro-4-oxo-5-phenyl-2-oxazolyl)amino]-1-propynyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220397-97-7 CAPLUS
CN Phenylalanine, N-methyl-N-[(4-methylphenyl)sulfonyl]glycyl-4-[3-[(4,5-dihydro-4-oxo-5-phenyl-2-oxazolyl)amino]-1-propynyl]- (9CI) (CA INDEX NAME)



Me

REFERENCE COUNT: 5
REFERENCE(S):
(1) Adams, S; WO 9622966 A 1996 CAPLUS
(2) Cytel Corp; WO 9515973 A 1995 CAPLUS
(3) Hoffmann La Roche; DE 2357334 A 1974 CAPLUS
(4) Okamoto, S; DE 2655636 A 1977 CAPLUS
(5) Pentapharm AG; WO 9216549 A 1992 CAPLUS

L5 ANSWER 19 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1998:786189 CAPLUS
DOCUMENT NUMBER: 130:90520
TITLE: Amino alcohol esters as ceramide analogs and pharmaceuticals containing them for treatment of nerve **diseases**
INVENTOR(S): Inokuchi, Kimikazu; Jinbo, Masayuki; Fujiwara, Michihiro
PATENT ASSIGNEE(S): Seikagaku Kogyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10324671	A2	19981208	JP 1997-133548	19970523

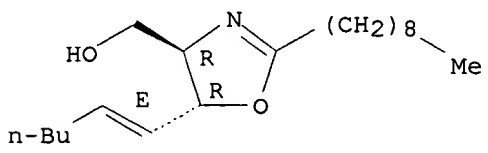
OTHER SOURCE(S): MARPAT 130:90520

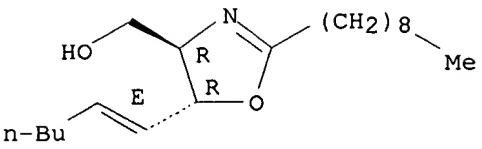
AB Title pharmaceuticals, e.g. brain protecting agents, contain R3CH2CH(NHCOR2)CHR1O2C(CH2)nR4 [I; R1 = alkyl, alkenyl, (substituted) cycloalkyl, (substituted) aryl; R2 = (hydroxy)alkyl, (hydroxy)alkenyl, alkoxy, aralkyloxy; R3 = (substituted) amino group; R4 = H, lower alkyl, NH2, mono- or dialkylamino, lower alkoxy, CO2H; n = 1-4] or their salts. (1S,2S)-2-decanoylamino-3-morpholino-1-phenyl-1-propanol.HCl [prepd. from (1S,2S)-2-benzyloxycarbonylamino-1-phenyl-1,3-propanediol in 5 steps] was acetylated by Ac2O and pyridine in CH2Cl2 at room temp. overnight to give 55.2% (1S,2S)-I (R1 = Ph, COR2 = decanoyl, R3 = morpholino, R4 = H, n = 1). L-Threo-I (R1 = Ph, COR2 = decanoyl, R3 = morpholino, R4 = H, n = 1) was i.v. administered to rats after repeated cerebral ischemia to show good recovery of spatial memory disorder compared with L-threo-1-phenyl-2-decanoylamino-3-morpholino-1-propanol.

IT **215584-97-7P 215584-98-8P 215585-00-5P**
215585-01-6P 219117-39-2P 219117-41-6P
219117-43-8P 219117-45-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of ceramide analog amino alc. esters for treatment of nerve **diseases**)

RN 215584-97-7 CAPLUS
CN 4-Oxazolemethanol, 5-(1E)-1-hexenyl-4,5-dihydro-2-nonyl-, (4R,5R)- (9CI) (CA INDEX NAME)

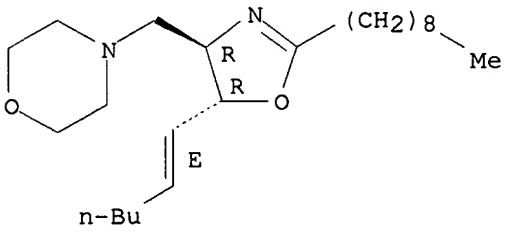
Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.





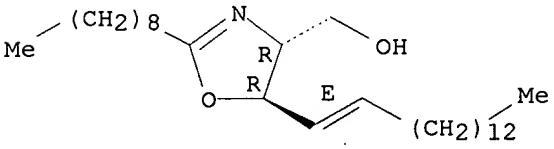
RN 215584-98-8 CAPLUS
CN Morpholine, 4-[[(4R,5R)-5-(1E)-1-hexenyl-4,5-dihydro-2-nonyl-4-oxazolyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



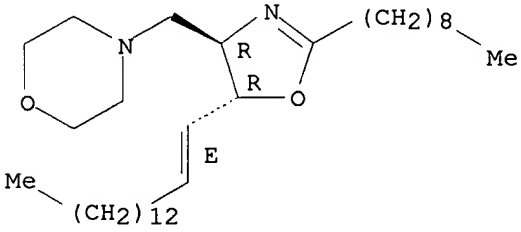
RN 215585-00-5 CAPLUS
CN 4-Oxazolemethanol, 4,5-dihydro-2-nonyl-5-(1E)-1-pentadecenyl-, (4R,5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



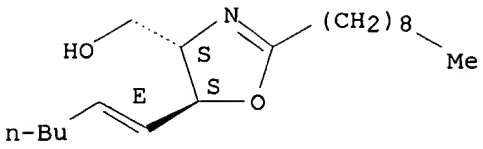
RN 215585-01-6 CAPLUS
CN Morpholine, 4-[[(4R,5R)-4,5-dihydro-2-nonyl-5-(1E)-1-pentadecenyl-4-oxazolyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



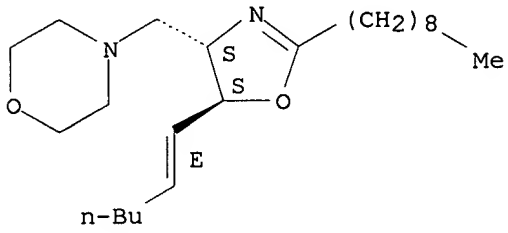
RN 219117-39-2 CAPLUS
CN 4-Oxazolemethanol, 5-(1E)-1-hexenyl-4,5-dihydro-2-nonyl-, (4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



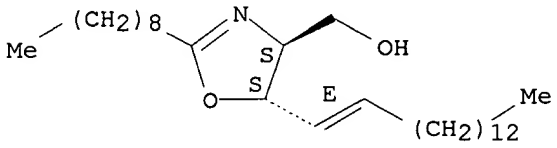
RN 219117-41-6 CAPLUS
CN Morpholine, 4-[[(4S,5S)-5-(1E)-1-hexenyl-4,5-dihydro-2-nonyl-4-oxazolyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



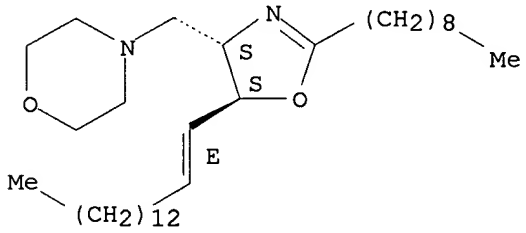
RN 219117-43-8 CAPLUS
CN 4-Oxazolemethanol, 4,5-dihydro-2-nonyl-5-(1E)-1-pentadecenyl-, (4S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 219117-45-0 CAPLUS
CN Morpholine, 4-[[(4S,5S)-4,5-dihydro-2-nonyl-5-(1E)-1-pentadecenyl-4-oxazolyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L5 ANSWER 20 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1998:771177 CAPLUS
DOCUMENT NUMBER: 130:85912
TITLE: Cosmetics for rough skin, wrinkle or pigmentation disorder prevention
INVENTOR(S): Abe, Akihito; Yamaki, Kazuhiro
PATENT ASSIGNEE(S): Kao Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 40 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10316550	A2	19981202	JP 1997-122424	19970513

OTHER SOURCE(S): MARPAT 130:85912

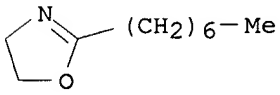
AB Cosmetics for rough skin, wrinkle or pigmentation disorder prevention comprise: [a] water- or lower alc.-sol. copolymers contg. hydrophilic segments and organosiloxane segments and [b] active ingredients such as ceramides, amino acids, plant exts., antiinflammatories, singlet oxygen removers, antioxidants, polysaccharides, alcs., sterols and circulation promoters.

IT **208466-22-2P 218434-63-0DP**, trimethylsilyl-terminated **219793-10-9P**
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cosmetics for rough skin, wrinkle or pigmentation disorder prevention)

RN 208466-22-2 CAPLUS
CN Silanediol, dimethyl-, polymer with 4,5-dihydro-2-methyloxazole and 2-heptyl-4,5-dihydrooxazole, graft (9CI) (CA INDEX NAME)

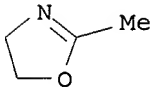
CM 1

CRN 10431-82-0
CMF C10 H19 N O



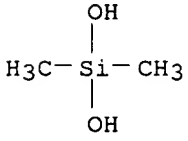
CM 2

CRN 1120-64-5
CMF C4 H7 N O



CM 3

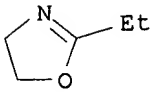
CRN 1066-42-8
CMF C2 H8 O2 Si



RN 218434-63-0 CAPLUS
CN Oxazole, 2-ethyl-4,5-dihydro-, polymer with octamethylcyclotetrasiloxane, graft (9CI) (CA INDEX NAME)

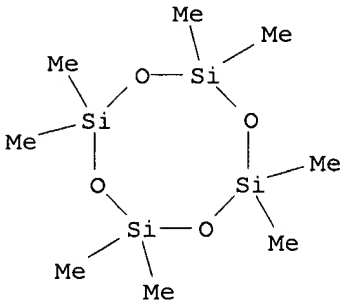
CM 1

CRN 10431-98-8
CMF C5 H9 N O



CM 2

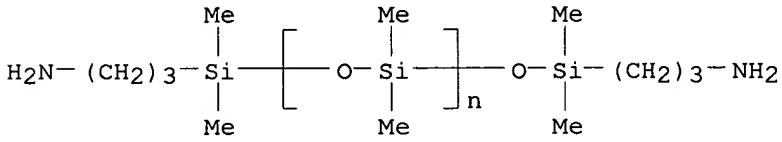
CRN 556-67-2
CMF C8 H24 O4 Si4



RN 219793-10-9 CAPLUS
CN 4H-1,3-Oxazine, 5,6-dihydro-2-undecyl-, polymer with .alpha.-[(3-aminopropyl)dimethylsilyl]-.omega.-[[(3-aminopropyl)dimethylsilyl]oxy]poly[oxy(dimethylsilylene)], block (9CI) (CA INDEX NAME)

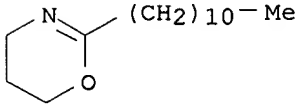
CM 1

CRN 97917-34-5
CMF (C2 H6 O Si)n C10 H28 N2 O Si2
CCI PMS



CM 2

CRN 24655-61-6
CMF C15 H29 N O



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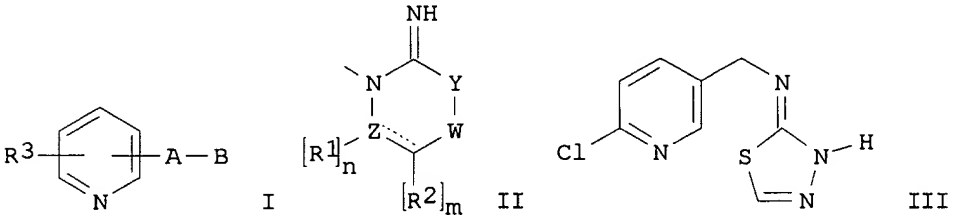
L5 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1998:561306 CAPLUS
DOCUMENT NUMBER: 129:175646
TITLE: Preparation of N-(pyridinylmethyl)-heterocyclylideneamine compounds as nicotinic acetylcholine receptor binding agents
INVENTOR(S): Dorff, Peter Hans; Goldstein, Steven Wayne; Jung, Stanley; Nagel, Arthur Adam
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 857725	A1	19980812	EP 1997-309220	19971117
EP 857725	B1	20010725		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6020335	A	20000201	US 1997-963852	19971104
CA 2220438	AA	19980806	CA 1997-2220438	19971107
JP 10226684	A2	19980825	JP 1997-307455	19971110
AT 203535	E	20010815	AT 1997-309220	19971117
ES 2159380	T3	20011001	ES 1997-309220	19971117
BR 9705901	A	19990518	BR 1997-5901	19971126

PRIORITY APPLN. INFO.: US 1997-38036 P 19970206
OTHER SOURCE(S): MARPAT 129:175646
GI



I II III

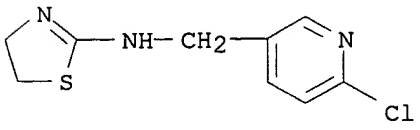
AB The title compds. [I; A = CHR (wherein R = H, (un)substituted C1-6 alkyl);
B = II (YW = CH2, NH, O, S, etc.; Z = C, N, O, S; m = 1-2; n = 0-2 with the proviso that n = 0 when Z = O, S, n = 1 when Z = N, and n = 2 when Z = C; R1, R2 = H, H, C1-6 alkyl, C1-6 alkoxy, etc.); R3 = H, halo] and their pharmaceutically acceptable salts and prodrugs, useful in the treatment of addictive disorders, such as the use of tobacco or other nicotine contg. products, neurol. and mental disorders such as senile dementia of the Alzheimer's type, Parkinson's disease, attentional hyperactivity disorder, anxiety, obesity, Tourettes Syndrome and ulcerative colitis, were prepd. Thus, reaction of 3-chloromethyl-6-chloropyridine with 2-amino-1,3,4-thiadiazole in the presence of NaI in Me2CO afforded 28% the

title compd. III. Compds. I, which were tested, showed IC50 of < 2 .mu.M.

IT **211555-73-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-(pyridinylmethyl)-heterocyclylideneamine compds. as nicotinic acetylcholine receptor binding agents)

RN 211555-73-6 CAPLUS

CN 3-Pyridinemethanamine, 6-chloro-N-(4,5-dihydro-2-thiazolyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1998:293502 CAPLUS

DOCUMENT NUMBER: 129:4657

TITLE: Preparation and formulation of heterocyclic amide compounds as chymase inhibitors

INVENTOR(S): Akahoshi, Fumihiko; Ashimori, Atsuyuki; Yoshimura, Takuya; Eda, Masahiro; Sakashita, Hiroshi; Nakajima, Masahide; Imada, Teruaki

PATENT ASSIGNEE(S): Green Cross Corp., Japan; Akahoshi, Fumihiko; Ashimori, Atsuyuki; Yoshimura, Takuya; Eda, Masahiro; Sakashita, Hiroshi; Nakajima, Masahide; Imada, Teruaki

SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

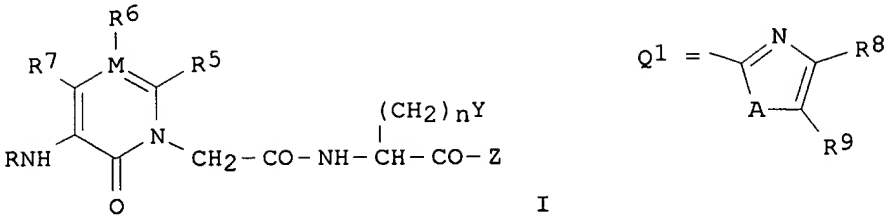
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9818794	A1	19980507	WO 1997-JP3839	19971022
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CN 1188472	A	19980722	CN 1996-194926	19960426
EP 940400	A1	19990908	EP 1997-909602	19971022
R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
CN 1242014	A	20000119	CN 1997-181016	19971022
TW 393468	B	20000611	TW 1997-86115668	19971023
US 6080738	A	20000627	US 1999-284877	19990422

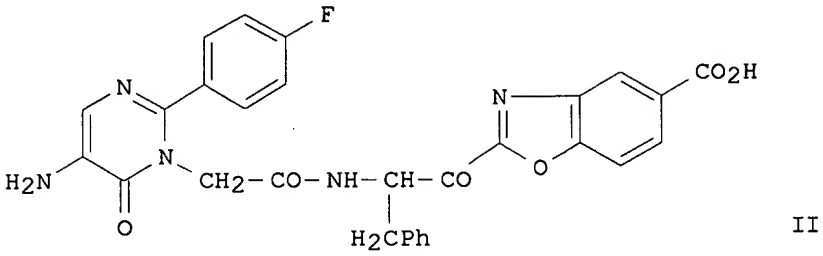
PRIORITY APPLN. INFO.: JP 1996-284471 A 19961025
JP 1997-194106 A 19970718
WO 1997-JP3839 W 19971022

OTHER SOURCE(S): MARPAT 129:4657

GI



I



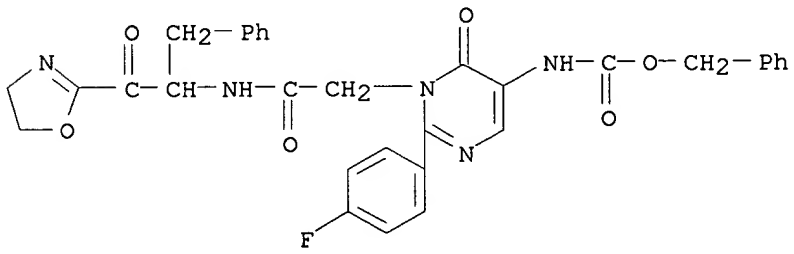
II

AB The title compds. I [R = H, alkyl, etc.; R5 - R7 = H, alkyl; further detail on R5 - R7 is given; M = C, N; when M is N, R6 does not exist; Y = aryl, etc.; Z = Q1, etc.; R8, R9 = H, alkyl, etc.; A = O, S, etc.; n = 0 or 1] are prepd. I also inhibit the formation of angiotensin II and are useful in preventing and treating various **diseases** caused by angiotensin II. The title compd. II in vitro inhibited human heart chymase with Ki value of 0.076 .mu.M.

IT **207235-17-4P 207235-18-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and formulation of heterocyclic amide compds. as chymase inhibitors)

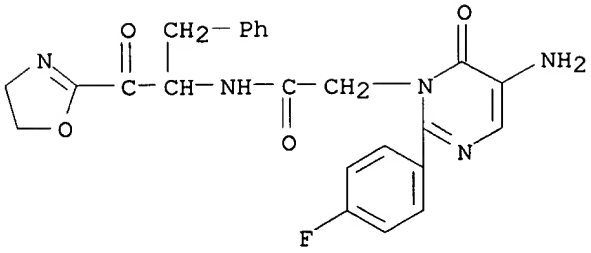
RN 207235-17-4 CAPLUS

CN Carbamic acid, [1-[2-[[2-(4,5-dihydro-2-oxazolyl)-2-oxo-1-(phenylmethyl)ethyl]amino]-2-oxoethyl]-2-(4-fluorophenyl)-1,6-dihydro-6-oxo-5-pyrimidinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

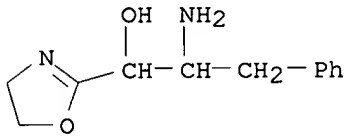


RN 207235-18-5 CAPLUS

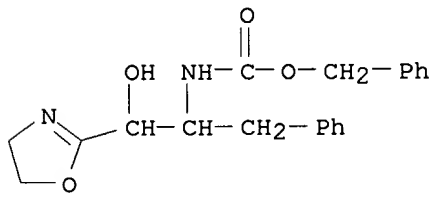
CN 1(6H)-Pyrimidineacetamide, 5-amino-N-[2-(4,5-dihydro-2-oxazolyl)-2-oxo-1-(phenylmethyl)ethyl]-2-(4-fluorophenyl)-6-oxo- (9CI) (CA INDEX NAME)



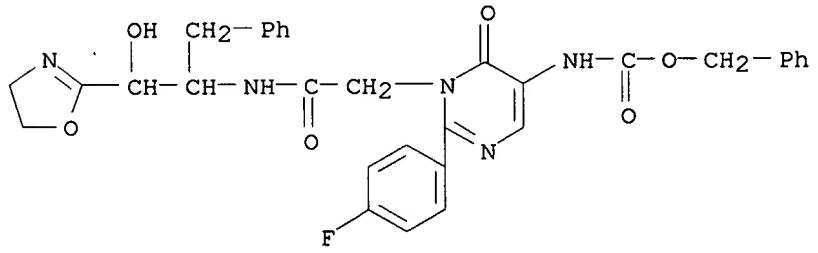
IT **207235-77-6P 207235-78-7P 207235-90-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and formulation of heterocyclic amide compds. as chymase inhibitors)
RN 207235-77-6 CAPLUS
CN 2-Oxazolemethanol, .alpha.-(1-amino-2-phenylethyl)-4,5-dihydro- (9CI) (CA
INDEX NAME)



RN 207235-78-7 CAPLUS
CN Carbamic acid, [2-(4,5-dihydro-2-oxazolyl)-2-hydroxy-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 207235-90-3 CAPLUS
CN Carbamic acid, [1-[2-[[2-(4,5-dihydro-2-oxazolyl)-2-hydroxy-1-(phenylmethyl)ethyl]amino]-2-oxoethyl]-2-(4-fluorophenyl)-1,6-dihydro-6-oxo-5-pyrimidinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

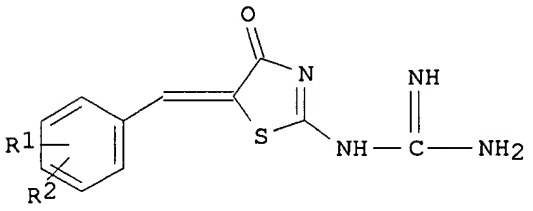


L5 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:648537 CAPLUS
DOCUMENT NUMBER: 127:307379
TITLE: Preparation of benzylidenes as antiallergy agents
INVENTOR(S): Kubo, Junichi; Yonemura, Keiji; Mukai, Mizue

PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09255669	A2	19970930	JP 1996-103104	19960322

OTHER SOURCE(S): MARPAT 127:307379
GI

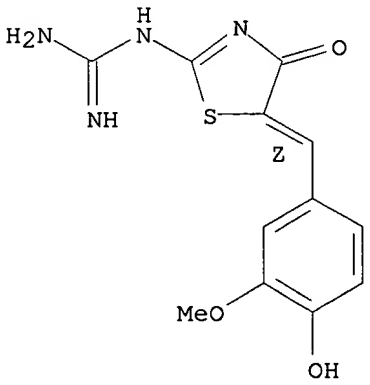


I

AB Benzylidenes I [R1, R2 = H, halo, lower (halo)alkyl, lower alkoxy, OH, lower alkoxycarbonyl, lower alkylcarbonyloxy, lower alkoxycarbonylalkenyl; R1 and R2 may form (O-substituted) lower alkylene] or their salts, useful for treatment of immediate-type and delayed-type allergy and autoimmune **diseases** (e.g. chronic rheumatoid arthritis), are prepd. Refluxing guanylthiourea with Et chloroacetate in EtOH for 3 h gave 70% N-(4,5-dihydro-4-oxo-2-thiazolyl)guanidine.HCl, which was treated with PhCHO and AcONa at 80.degree. for 1 h in AcOH to afford 43% I (R1 = R2 = H).

IT **197441-44-4P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzylidenes for treatment of allergy and autoimmune **diseases**)
RN 197441-44-4 CAPLUS
CN Guanidine, [4,5-dihydro-5-[(4-hydroxy-3-methoxyphenyl)methylene]-4-oxo-2-thiazolyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

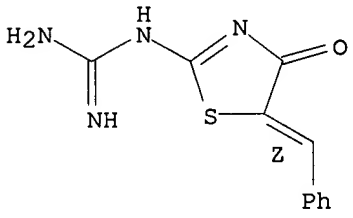


IT **197441-32-0P 197441-33-1P 197441-34-2P**

197441-35-3P 197441-36-4P 197441-37-5P
197441-38-6P 197441-39-7P 197441-40-0P
197441-41-1P 197441-42-2P 197441-43-3P
197441-45-5P 197441-46-6P 197441-47-7P
197441-48-8P 197441-49-9P 197441-50-2P
197441-51-3P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzylidenes for treatment of allergy and autoimmune **diseases**)

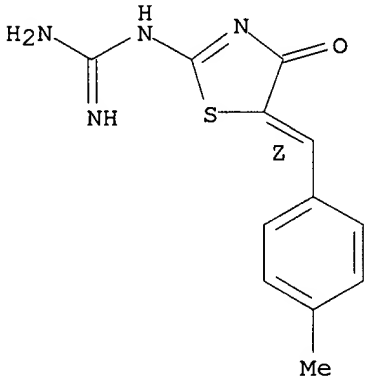
RN 197441-32-0 CAPLUS
CN Guanidine, [4,5-dihydro-4-oxo-5-(phenylmethylene)-2-thiazolyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



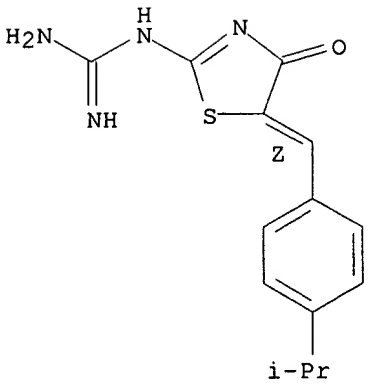
RN 197441-33-1 CAPLUS
CN Guanidine, [4,5-dihydro-5-[(4-methylphenyl)methylene]-4-oxo-2-thiazolyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



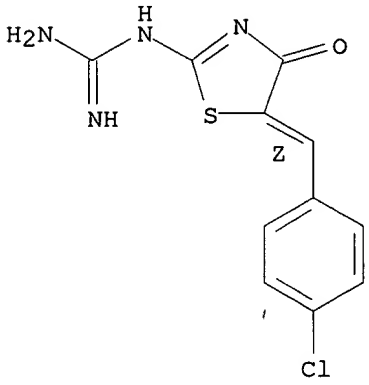
RN 197441-34-2 CAPLUS
CN Guanidine, [4,5-dihydro-5-[[4-(1-methylethyl)phenyl]methylene]-4-oxo-2-thiazolyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



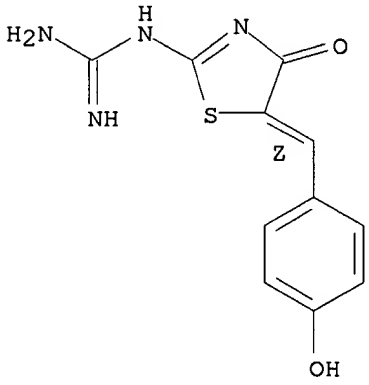
RN 197441-35-3 CAPLUS
CN Guanidine,
[5-[(4-chlorophenyl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]-,
(Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 197441-36-4 CAPLUS
CN Guanidine,
[4,5-dihydro-5-[(4-hydroxyphenyl)methylene]-4-oxo-2-thiazolyl]-
, (Z)- (9CI) (CA INDEX NAME)

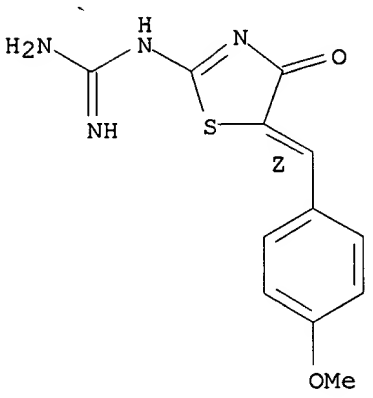
Double bond geometry as shown.



RN 197441-37-5 CAPLUS
CN Guanidine,
[4,5-dihydro-5-[(4-methoxyphenyl)methylene]-4-oxo-2-thiazolyl]-

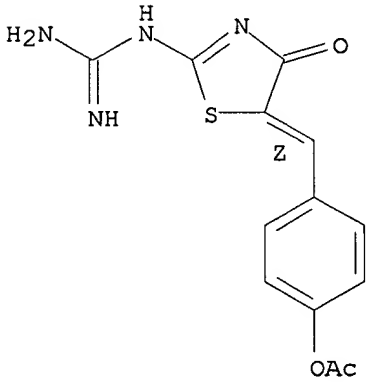
, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



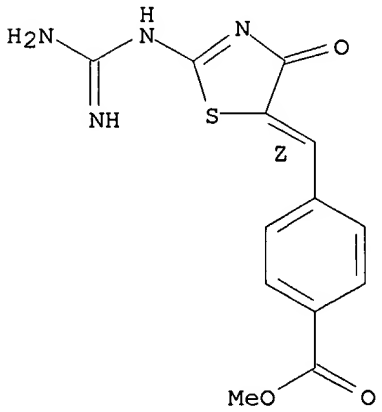
RN 197441-38-6 CAPLUS
CN Guanidine, [5-[[4-(acetyloxy)phenyl]methylene]-4,5-dihydro-4-oxo-2-thiazolyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



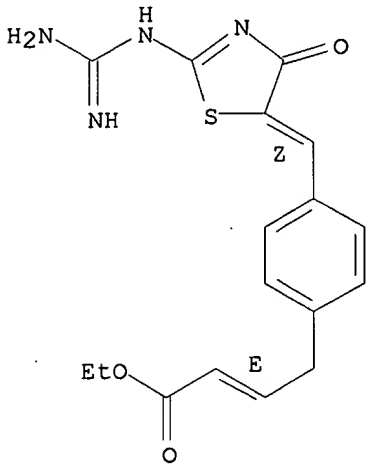
RN 197441-39-7 CAPLUS
CN Benzoic acid, 4-[[2-[(aminoiminomethyl)amino]-4-oxo-5(4H)-thiazolylidene]methyl]-, methyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



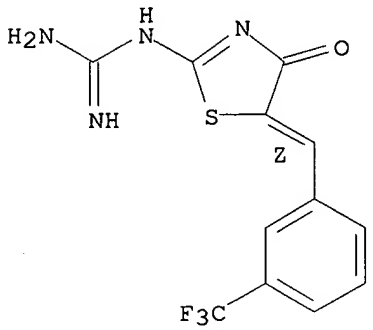
RN 197441-40-0 CAPLUS
CN 2-Butenoic acid, 4-[4-[[2-[(aminoiminomethyl)amino]-4-oxo-5(4H)-thiazolylidene]methyl]phenyl]-, ethyl ester, (Z,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



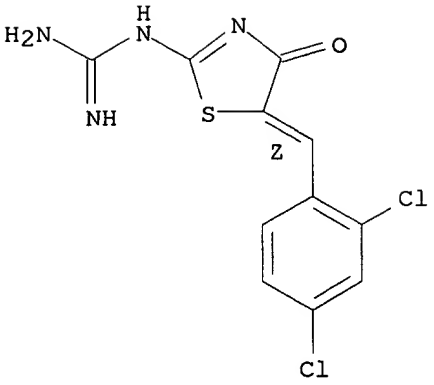
RN 197441-41-1 CAPLUS
CN Guanidine, [4,5-dihydro-4-oxo-5-[[3-(trifluoromethyl)phenyl]methylene]-2-thiazolyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



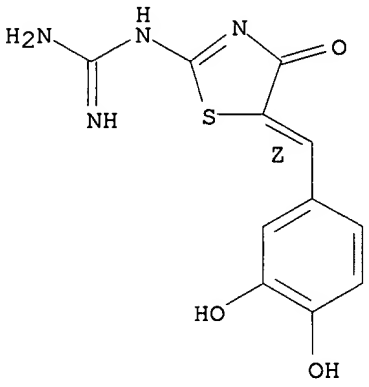
RN 197441-42-2 CAPLUS
CN Guanidine, [5-[(2,4-dichlorophenyl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



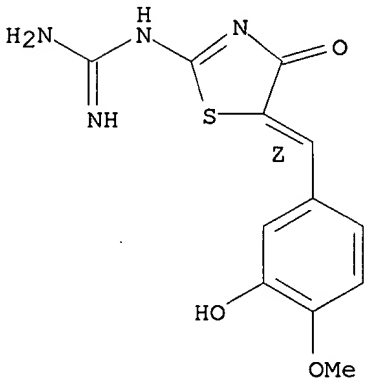
RN 197441-43-3 CAPLUS
CN Guanidine, [5-[(3,4-dihydroxyphenyl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



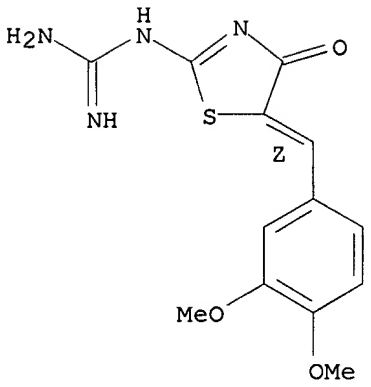
RN 197441-45-5 CAPLUS
CN Guanidine, [4,5-dihydro-5-[(3-hydroxy-4-methoxyphenyl)methylene]-4-oxo-2-thiazolyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



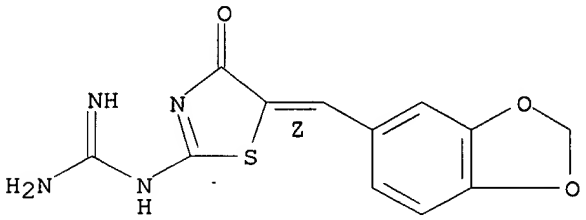
RN 197441-46-6 CAPLUS
CN Guanidine, [5-[(3,4-dimethoxyphenyl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



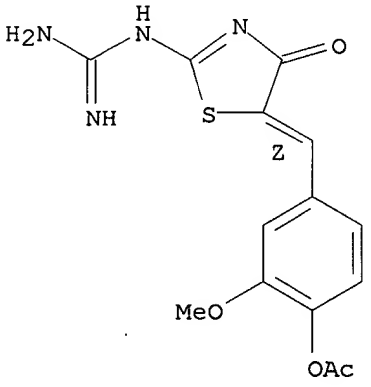
RN 197441-47-7 CAPLUS
CN Guanidine, [5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



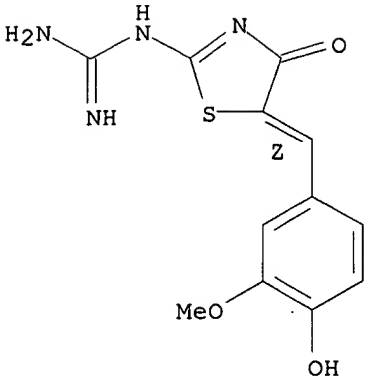
RN 197441-48-8 CAPLUS
CN Guanidine,
[5-[[4-(acetyloxy)-3-methoxyphenyl]methylene]-4,5-dihydro-4-oxo-2-thiazolyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 197441-49-9 CAPLUS
CN Guanidine, [4,5-dihydro-5-[(4-hydroxy-3-methoxyphenyl)methylene]-4-oxo-2-thiazolyl]-, monohydrochloride, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



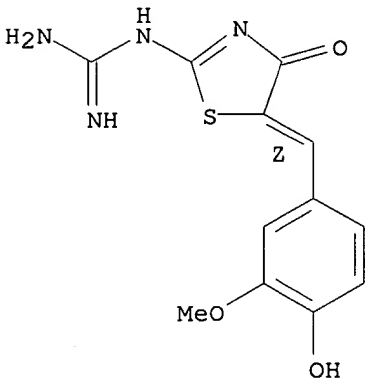
● HCl

RN 197441-50-2 CAPLUS
CN Guanidine, [4,5-dihydro-5-[(4-hydroxy-3-methoxyphenyl)methylene]-4-oxo-2-thiazolyl]-, (Z)-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

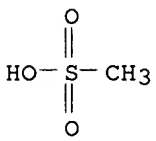
CRN 197441-44-4
CMF C12 H12 N4 O3 S

Double bond geometry as shown.



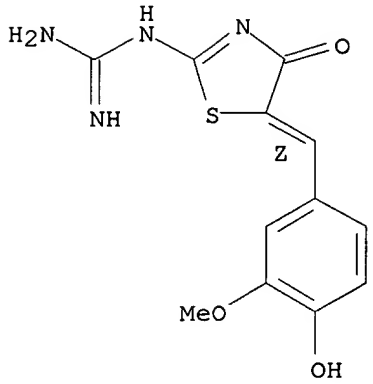
CM 2

CRN 75-75-2
CMF C H4 O3 S



RN 197441-51-3 CAPLUS
CN Guanidine, [4,5-dihydro-5-[(4-hydroxy-3-methoxyphenyl)methylene]-4-oxo-2-thiazolyl]-, monosodium salt, (Z)- (9CI) (CA INDEX NAME)

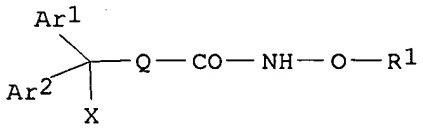
Double bond geometry as shown.



L5 ANSWER 24 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:134767 CAPLUS
DOCUMENT NUMBER: 126:143986
TITLE: Preparation of aromatic hydroxamic acid compounds as antineurodegenerative agents
INVENTOR(S): Kato, Kaneyoshi; Sugiura, Yoshihiro; Naruo, Ken-ichi; Takahashi, Hideki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 57 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 749957	A1	19961227	EP 1996-304582	19960620
EP 749957	B1	20000426		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5891916	A	19990406	US 1996-662240	19960614
CA 2179462	AA	19961222	CA 1996-2179462	19960619
JP 09067331	A2	19970311	JP 1996-159302	19960620
AT 192141	E	20000515	AT 1996-304582	19960620

PRIORITY APPLN. INFO.: JP 1995-154414 19950621
OTHER SOURCE(S): MARPAT 126:143986
GI



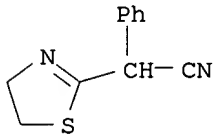
I

AB The title compds. [I; Ar1, Ar2 = (un)substituted arom. group; Q = (un)substituted divalent aliph. hydrocarbon group optionally contg. O or S; R1 = H, acyl group, etc.; X = an electron-withdrawing group, an optionally substituted arom. group, NR2R3 (wherein R2, R3 = H, acyl group

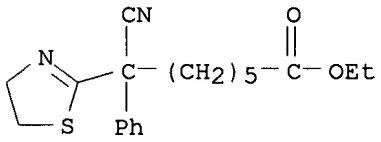
or (un)substituted hydrocarbon group, etc.)], useful for the treatment of neurodegenerative **diseases**, e.g. Alzheimer's disease, were prepd. and formulated. Thus, treatment of H2NOH in MeOH with 28% NaOMe/MeOH followed by addn. of Et 7-cyano-7,7-diphenylheptanoate in MeOH afforded I [Ar1, Ar2 = Ph; X = CN, Q = (CH2)5; R1 = H] which neutralized the LPS-induced cerebral tissue derangements as shown by the no. of induced circling behavior of 41% relative to those in the control group in male Wistar rats.

IT **186523-41-1P 186523-45-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of arom. hydroxamic acid compds. as antineurodegenerative agents)

RN 186523-41-1 CAPLUS
CN 2-Thiazoleacetonitrile, 4,5-dihydro-.alpha.-phenyl- (9CI) (CA INDEX NAME)



RN 186523-45-5 CAPLUS
CN 2-Thiazoleheptanoic acid, .zeta.-cyano-4,5-dihydro-.zeta.-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



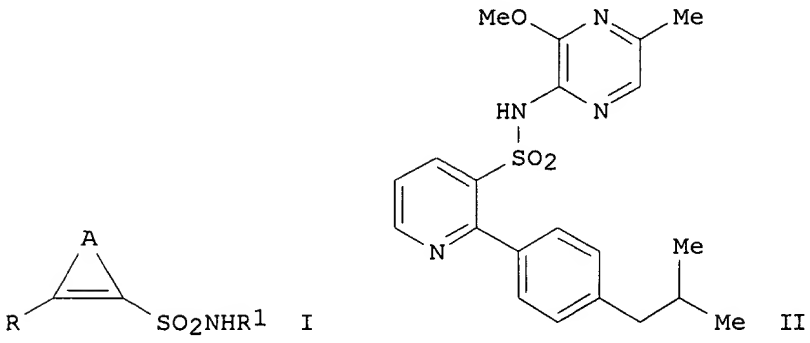
L5 ANSWER 25 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:132770 CAPLUS
DOCUMENT NUMBER: 126:144291
TITLE: N-pyrazinyl-2-phenyl-3-pyridinesulfonamides and analogs endothelin receptor antagonists
INVENTOR(S): Bradbury, Robert Hugh; Butlin, Roger John; James, Roger
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640681	A1	19961219	WO 1996-GB1295	19960603
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
CA 2219742	AA	19961219	CA 1996-2219742	19960603
AU 9658403	A1	19961230	AU 1996-58403	19960603
AU 715041	B2	20000113		

EP 832082	A1	19980401	EP 1996-919941		19960603
EP 832082	B1	20011121			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI					
CN 1192739	A	19980909	CN 1996-196149		19960603
BR 9608611	A	19990511	BR 1996-8611		19960603
JP 11509175	T2	19990817	JP 1996-500209		19960603
JP 3193058	B2	20010730	JP 1997-500209		19960603
ZA 9604615	A	19961209	ZA 1996-4615		19960604
US 5866568	A	19990202	US 1996-658969		19960604
NO 9705700	A	19971205	NO 1997-5700		19971205
US 6060475	A	20000509	US 1998-211483		19981214
US 6258817	B1	20010710	US 2000-504364		20000215
PRIORITY APPLN. INFO.:			GB 1995-11507	A	19950607
			GB 1995-19666	A	19950927
			WO 1996-GB1295	W	19960603
			US 1996-658969	A3	19960604
			US 1998-211483	A3	19981214

OTHER SOURCE(S): MARPAT 126:144291

GI

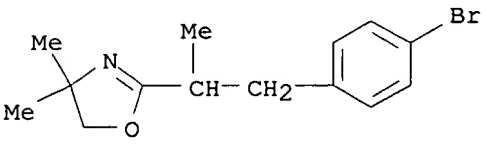


AB Title compds. [I; A = atoms to complete an (un)substituted pyridine ring; R = (un)substituted Ph; R1 = (un)substituted heteroarom. ring contg. 2 N atoms] were prepd. Thus, iso-Bu N-(3-methoxy-5-methyl-2-pyrazinyl)carbamate was amidated by 2-chloropyridine-3-sulfonyl chloride (prepn. each given) and the product arylated by 4-(Me2CHCH2)C6H4B(OH)2 to give, after deprotection, title compd. II. Data for biol activity of I were given.

IT **186498-18-0P 186498-19-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of n-pyrazinyl-2-phenyl-3-pyridinesulfonamides and analogs endothelin receptor antagonists)

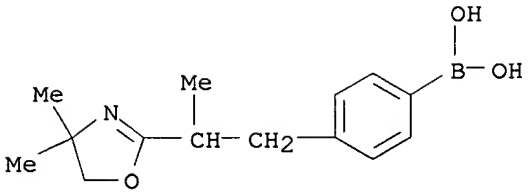
RN 186498-18-0 CAPLUS

CN Oxazole, 2-[2-(4-bromophenyl)-1-methylethyl]-4,5-dihydro-4,4-dimethyl- (9CI) (CA INDEX NAME)



RN 186498-19-1 CAPLUS

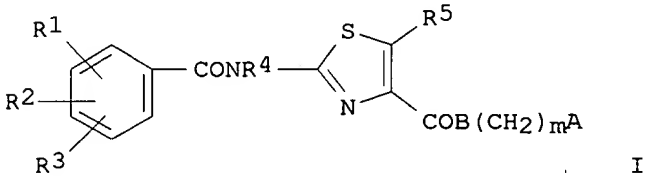
CN Boronic acid, [4-[2-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)propyl]phenyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1997:34215 CAPLUS
DOCUMENT NUMBER: 126:59946
TITLE: Preparation of aminothiazole derivatives as ameliorating agents for digestive tract movements
INVENTOR(S): Nagasawa, Masaaki; Murata, Masakazu; Nishioka, Hiroyasu; Kurimoto, Tadashi; Ueki, Shigeru; Kitagawa, Osamu
PATENT ASSIGNEE(S): Zeria Pharmaceutical Co., Ltd., Japan; Nagasawa, Masaaki; Murata, Masakazu; Nishioka, Hiroyasu; Kurimoto, Tadashi; Ueki, Shigeru; Kitagawa, Osamu
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9636619	A1	19961121	WO 1996-JP1297	19960516
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2219747	AA	19961121	CA 1996-2219747	19960516
AU 9657024	A1	19961129	AU 1996-57024	19960516
AU 699008	B2	19981119		
CN 1184471	A	19980610	CN 1996-194002	19960516
CN 1063442	B	20010321		
EP 870765	A1	19981014	EP 1996-915167	19960516
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 3181919	B2	20010703	JP 1996-534703	19960516
US 5981557	A	19991109	US 1997-952106	19971118

PRIORITY APPLN. INFO.: JP 1995-142399 A 19950518
WO 1996-JP1297 W 19960516
OTHER SOURCE(S): MARPAT 126:59946
GI



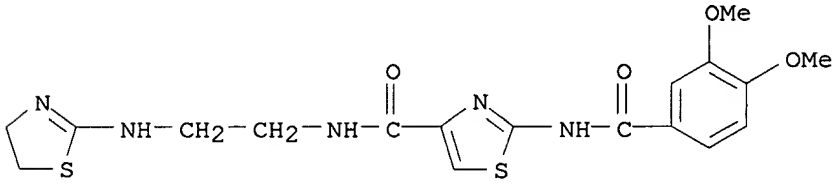
I

AB The title compds. (I; R1, R2, R3 = H, OH, lower alkyl or alkoxy, etc.; R4 = H, lower alkyl; R5 = H, halo, lower alkyl; m = 0-4; A = substituted amino or imino, heterocycle, etc.; B = imino, O) are prepd. I, having potent effects of promoting the movements of the digestive tracts, are

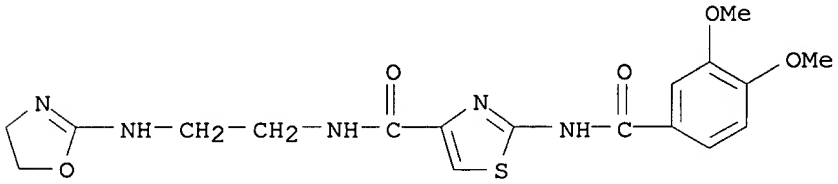
useful as drugs for upper-abdomen discomfort, malevolence, vomitting, heart burn, appetite loss, stomach pain, feeling of abdominal inflation, chronic stomach inflamation, reflux esophagitis, and postgastrectomy syndrome. Thus, 2-[N-(4,5-dimethoxy-2-hydroxybenzoyl)amino]-4-(ethoxycarbonyl)-1,3-thiazole.AcOH (prepn. given) was reacted with (Me2CHNHCH2)2 to give 69% I [R1 = 2-OH, R2 = 4-MeO, R3 = 5-MeO, R4 = R5 = H, m = 2, A = (Me2CH)2N, B = NH] (II). II at 1 mg/kg showed 213.3% movement coeff. when tested on dog i.v.

IT **185103-98-4P 185104-00-1P 185105-41-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminothiazole derivs. as ameliorating agents for digestive tract movements)

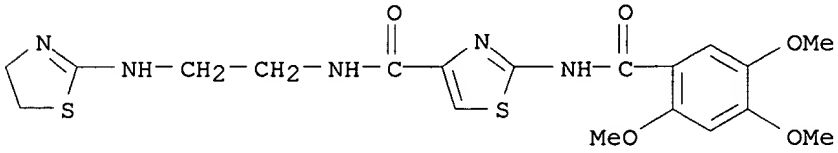
RN 185103-98-4 CAPLUS
CN 4-Thiazolecarboxamide, N-[2-[(4,5-dihydro-2-thiazolyl)amino]ethyl]-2-[(3,4-dimethoxybenzoyl)amino]- (9CI) (CA INDEX NAME)



RN 185104-00-1 CAPLUS
CN 4-Thiazolecarboxamide, N-[2-[(4,5-dihydro-2-oxazolyl)amino]ethyl]-2-[(3,4-dimethoxybenzoyl)amino]- (9CI) (CA INDEX NAME)



RN 185105-41-3 CAPLUS
CN 4-Thiazolecarboxamide, N-[2-[(4,5-dihydro-2-thiazolyl)amino]ethyl]-2-[(2,4,5-trimethoxybenzoyl)amino]- (9CI) (CA INDEX NAME)



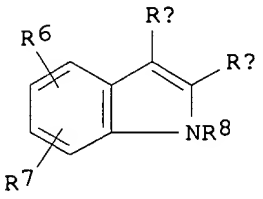
L5 ANSWER 27 OF 33 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 1996:548547 CAPLUS
DOCUMENT NUMBER: 125:195430
TITLE: Preparation of indoles useful in the treatment of osteoporosis
INVENTOR(S): Farina, Carlo; Gagliardi, Stefania; Parini, Carlo; Pinza, Mario; Nadler, Guy Marguerite Marie Gerard; Morvan, Marcel Jean-Marie

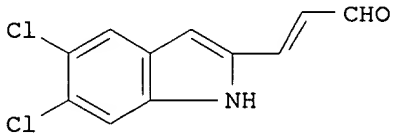
PATENT ASSIGNEE(S): Smithkline Beecham S.P.A., Italy
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9621644	A1	19960718	WO 1996-EP157	19960108
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN				
CA 2209936	AA	19960718	CA 1996-2209936	19960108
AU 9645360	A1	19960731	AU 1996-45360	19960108
EP 802902	A1	19971029	EP 1996-901275	19960108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI				
BR 9606743	A	19971230	BR 1996-6743	19960108
CN 1177957	A	19980401	CN 1996-192392	19960108
JP 10512251	T2	19981124	JP 1996-521450	19960108
ZA 9600121	A	19970709	ZA 1996-121	19960109
FI 9702919	A	19970909	FI 1997-2919	19970709
NO 9703178	A	19970909	NO 1997-3178	19970709
US 5981525	A	19991109	US 1997-860760	19971009

PRIORITY APPLN. INFO.: IT 1995-MI30 A 19950110
IT 1995-MI1687 A 19950801
WO 1996-EP157 W 19960108
OTHER SOURCE(S): CASREACT 125:195430; MARPAT 125:195430
GI



I



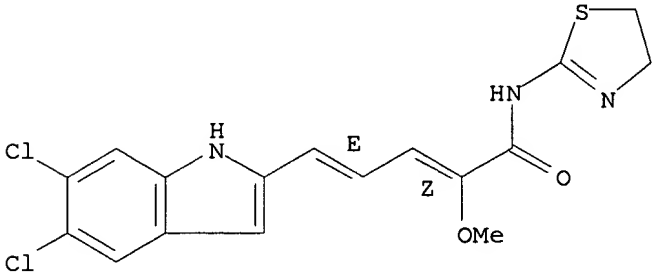
II

AB The title compds. [I; (i) Ra = H, alkyl, (substituted) aryl and Rb = C(R4):C(R3)C(R2):C(OR1)C(O)X wherein R1 = alkyl, (substituted) aryl; R2-R4 = H, alkyl, (substituted) aryl; X = (substituted) amino, alkoxy; (ii) Ra = C(R4):C(R3)C(R2):C(OR1)C(O)X and Rb = H, alkyl, (substituted) aryl; R6, R7 = H, OH, NH2, etc.; R8 = H, OH, alkyl, etc.], useful in the treatment of tumors, ulcers, AIDS, Alzheimer's disease and angiogenic **diseases**, and as immunosuppressants and antilipidemic agents, were prepd. Thus, reaction of propenaldehyde (E)-II with MeOC(O)CH(OMe)P+Ph3.Br- in the presence of 1,5-diazabicyclo[5.4.0]-5-undecene (DBU) in THF afforded (2Z,4E)-I [Ra = H; Rb = CH:CHCH:C(OMe)CO2Me; R6, R7 = 5,6-Cl2; R8 = H] which showed IC50 of 1.1 .mu.M against bafilomycin-sensitive ATPase in chicken osteoclasts.

IT **180868-27-3P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of indoles useful in the treatment of osteoporosis)
RN 180868-27-3 CAPLUS
CN 2,4-Pentadienamide, 5-(5,6-dichloro-1H-indol-2-yl)-N-(4,5-dihydro-2-thiazolyl)-2-methoxy-, (Z,E)- (9CI) (CA INDEX NAME)

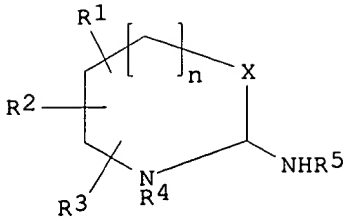
Double bond geometry as shown.



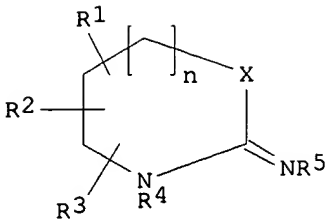
L5 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1996:467034 CAPLUS
DOCUMENT NUMBER: 125:142780
TITLE: Substituted heterocyclic compounds as inhibitors of nitric oxide synthase
INVENTOR(S): Shah, Shrenik K.; Grant, Stephan K.; Maccoss, Malcolm;
Shankaran, Kothandaraman; Qi, Hongbo; Guthikonda, Ravindra N.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9614842	A1	19960523	WO 1995-US14512	19951113
W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9641496	A1	19960606	AU 1996-41496	19951113

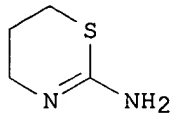
PRIORITY APPLN. INFO.: US 1994-339618 19941115
WO 1995-US14512 19951113
OTHER SOURCE(S): MARPAT 125:142780
GI



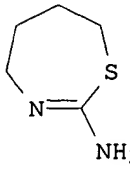
I



II



III



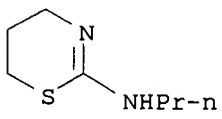
IV

AB Oxazinamines, thiazinamines and pyrimidinamines, and their homologs I (X =
N, S, O; n = 0-4; ; R1-R3 = alkyl, alkenyl, etc.; R4, R5 = H, alkyl, etc.)
and 2-iminooxazines, 2-iminothiazines, 2-iminopyrimidines II (same X, n, R1-R5) were disclosed for the treatment of nitric oxide synthase-mediated **diseases** and disorders, including neurodegenerative disorders, disorders of gastrointestinal motility and inflammation. Example compds. are 5,6-dihydro-4H-1,3-thiazin-2-amine (III) and 4,5,6,7-tetrahydro-1,3-thiazepin-2-amine (IV). These **diseases** and disorders include hypotension, septic shock, toxic shock syndrome, hemodialysis, IL-2 therapy such as in cancer patients, cachexia, immunosuppression such as in
transplant therapy, autoimmune and/or inflammatory indications including sunburn or psoriasis and respiratory conditions such as bronchitis, asthma, and acute respiratory distress (ARDS), myocarditis, heart failure,
atherosclerosis, arthritis, rheumatoid arthritis, chronic or inflammatory bowel disease, ulcerative colitis, systemic lupus erythematosus (SLE), ocular conditions such as ocular hypertension and uveitis, type 1 diabetes, insulin-dependent diabetes mellitus and cystic fibrosis. I are also useful in the treatment of hypoxia, hyperbaric oxygen convulsions and
toxicity, dementia, Sydenham's chorea, Parkinson's disease, Huntington's disease, amyotrophic lateral sclerosis, multiple sclerosis, Korsakoff's disease, imbecility related to cerebral vessel disorder, ischemic brain edema, sleeping disorders, schizophrenia, depression, PMS, anxiety, drug addiction, pain, migraine, immune complex disease, as immunosuppressive agents and for preventing or reversing tolerance to opiates and diazepines.

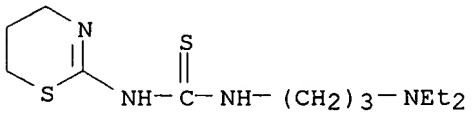
IT **179116-08-6P 179116-12-2P 179116-13-3P**
179116-14-4P 179116-15-5P 179116-16-6P
179116-17-7P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of oxazinamine, thiazinamines and pyrimidinamines and homologs as nitric oxide synthase inhibitors)

RN 179116-08-6 CAPLUS

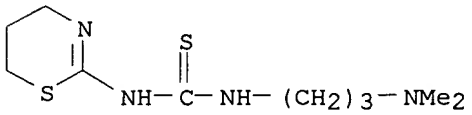
CN 4H-1,3-Thiazin-2-amine, 5,6-dihydro-N-propyl- (9CI) (CA INDEX NAME)



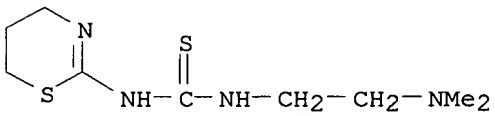
RN 179116-12-2 CAPLUS
CN Thiourea,
N-[3-(diethylamino)propyl]-N'-(5,6-dihydro-4H-1,3-thiazin-2-yl)-
(9CI) (CA INDEX NAME)



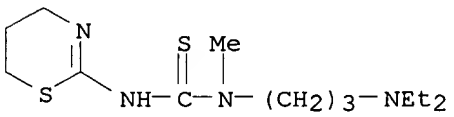
RN 179116-13-3 CAPLUS
CN Thiourea,
N-(5,6-dihydro-4H-1,3-thiazin-2-yl)-N'-[3-(dimethylamino)propyl]-
(9CI) (CA INDEX NAME)



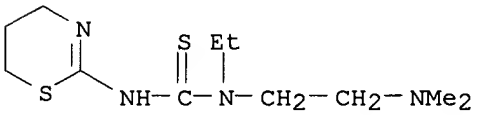
RN 179116-14-4 CAPLUS
CN Thiourea,
N-(5,6-dihydro-4H-1,3-thiazin-2-yl)-N'-[2-(dimethylamino)ethyl]-
(9CI) (CA INDEX NAME)



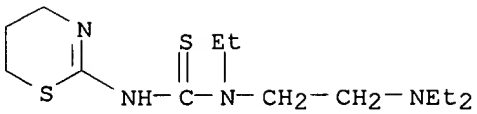
RN 179116-15-5 CAPLUS
CN Thiourea,
N-[3-(diethylamino)propyl]-N'-(5,6-dihydro-4H-1,3-thiazin-2-yl)-
N-methyl- (9CI) (CA INDEX NAME)



RN 179116-16-6 CAPLUS
CN Thiourea,
N'-(5,6-dihydro-4H-1,3-thiazin-2-yl)-N-[2-(dimethylamino)ethyl]-
N-ethyl- (9CI) (CA INDEX NAME)

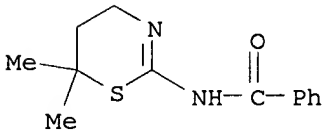


RN 179116-17-7 CAPLUS
CN Thiourea,
N-[2-(diethylamino)ethyl]-N'-(5,6-dihydro-4H-1,3-thiazin-2-yl)-N-
ethyl- (9CI) (CA INDEX NAME)

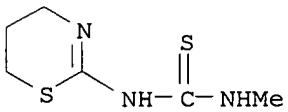


IT **179116-32-6 179116-33-7 179116-34-8**
179116-35-9 179116-36-0 179116-37-1
179116-38-2 179116-39-3
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepn. of oxazinamine, thiazinamines and pyrimidinamines and homologs as nitric oxide synthase inhibitors)

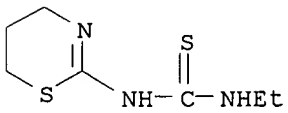
RN 179116-32-6 CAPLUS
CN Benzamide, N-(5,6-dihydro-6,6-dimethyl-4H-1,3-thiazin-2-yl)- (9CI) (CA INDEX NAME)



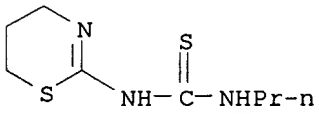
RN 179116-33-7 CAPLUS
CN Thiourea, N-(5,6-dihydro-4H-1,3-thiazin-2-yl)-N'-methyl- (9CI) (CA INDEX NAME)



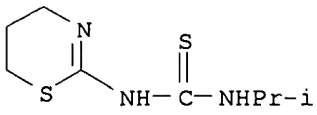
RN 179116-34-8 CAPLUS
CN Thiourea, N-(5,6-dihydro-4H-1,3-thiazin-2-yl)-N'-ethyl- (9CI) (CA INDEX NAME)



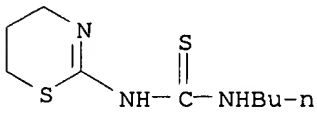
RN 179116-35-9 CAPLUS
CN Thiourea, N-(5,6-dihydro-4H-1,3-thiazin-2-yl)-N'-propyl- (9CI) (CA INDEX NAME)



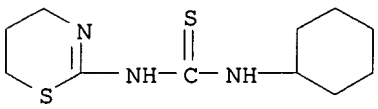
RN 179116-36-0 CAPLUS
CN Thiourea, N-(5,6-dihydro-4H-1,3-thiazin-2-yl)-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)



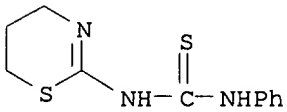
RN 179116-37-1 CAPLUS
CN Thiourea, N-butyl-N'-(5,6-dihydro-4H-1,3-thiazin-2-yl)- (9CI) (CA INDEX NAME)



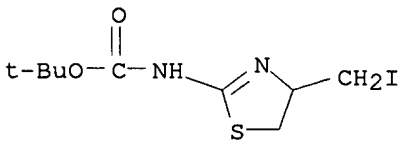
RN 179116-38-2 CAPLUS
CN Thiourea, N-cyclohexyl-N'-(5,6-dihydro-4H-1,3-thiazin-2-yl)- (9CI) (CA INDEX NAME)



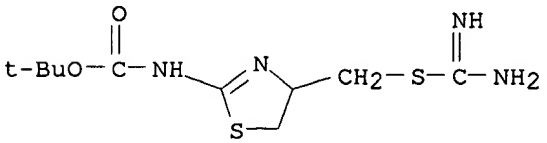
RN 179116-39-3 CAPLUS
CN Thiourea, N-(5,6-dihydro-4H-1,3-thiazin-2-yl)-N'-phenyl- (9CI) (CA INDEX NAME)

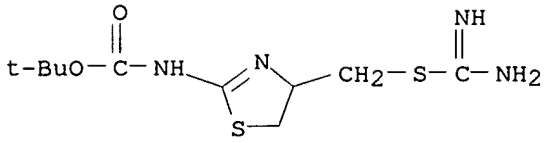


IT **179116-01-9P 179116-02-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of oxazinamine, thiazinamines and pyrimidinamines and homologs as nitric oxide synthase inhibitors)
RN 179116-01-9 CAPLUS
CN Carbamic acid, [4,5-dihydro-4-(iodomethyl)-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



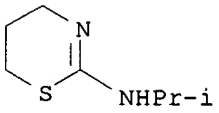
RN 179116-02-0 CAPLUS
CN Carbamic acid, [4-[[(aminoiminomethyl)thio]methyl]-4,5-dihydro-2-thiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



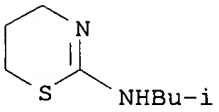


IT **179116-09-7P 179116-10-0P 179116-11-1P**
179116-18-8P 179116-20-2P 179116-40-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of oxazinamine, thiazinamines and pyrimidinamines and homologs as nitric oxide synthase inhibitors)

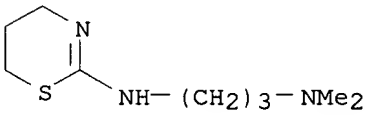
RN 179116-09-7 CAPLUS
CN 4H-1,3-Thiazin-2-amine, 5,6-dihydro-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



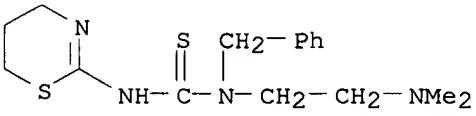
RN 179116-10-0 CAPLUS
CN 4H-1,3-Thiazin-2-amine, 5,6-dihydro-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)



RN 179116-11-1 CAPLUS
CN 1,3-Propanediamine, N'-(5,6-dihydro-4H-1,3-thiazin-2-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

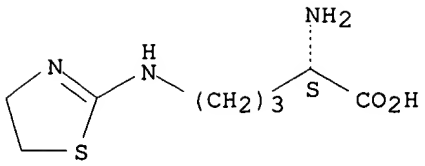


RN 179116-18-8 CAPLUS
CN Thiourea, N'-(5,6-dihydro-4H-1,3-thiazin-2-yl)-N-[2-(dimethylamino)ethyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



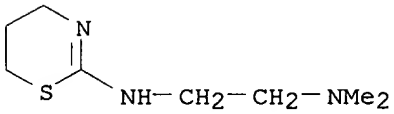
RN 179116-20-2 CAPLUS
CN L-Ornithine, N5-(4,5-dihydro-2-thiazolyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



HCl

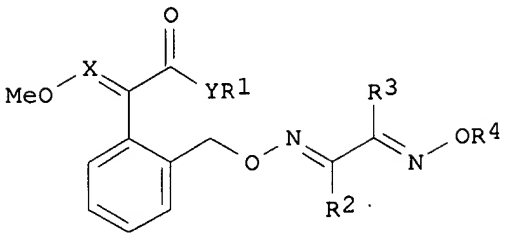
RN 179116-40-6 CAPLUS
CN 1,2-Ethanediamine, N'-(5,6-dihydro-4H-1,3-thiazin-2-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



L5 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1996:462225 CAPLUS
DOCUMENT NUMBER: 125:114304
TITLE: N-(ortho-substituted benzyloxy)imine derivatives, preparation and use as fungicides, acaricides or insecticides
INVENTOR(S): Ziegler, Hugo; Trah, Stephan; Zurflueh, Rene
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9611183	A1	19960418	WO 1995-EP3802	19950926
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CH 689228	A	19981231	CH 1994-3033	19941007
CA 2200590	AA	19960418	CA 1995-2200590	19950926
AU 9536990	A1	19960502	AU 1995-36990	19950926
AU 692613	B2	19980611		
EP 784611	A1	19970723	EP 1995-934646	19950926
EP 784611	B1	19991103		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1160393	A	19970924	CN 1995-195543	19950926
BR 9509284	A	19971118	BR 1995-9284	19950926
HU 77295	A2	19980330	HU 1997-2266	19950926
JP 10507168	T2	19980714	JP 1995-512290	19950926
AT 186294	E	19991115	AT 1995-934646	19950926
ES 2139246	T3	20000201	ES 1995-934646	19950926
PL 181426	B1	20010731	PL 1995-319689	19950926
ZA 9508438	A	19960506	ZA 1995-8438	19951006
IL 115545	A1	20001031	IL 1995-115545	19951006
FI 9701353	A	19970404	FI 1997-1353	19970402
US 5863951	A	19990126	US 1997-809985	19970403

NO 9701555 A 19970602 NO 1997-1555 19970404
PRIORITY APPLN. INFO.: CH 1994-3033 A 19941007
WO 1995-EP3802 W 19950926
OTHER SOURCE(S): MARPAT 125:114304
GI



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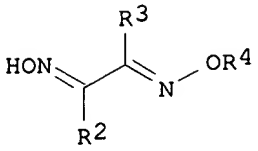
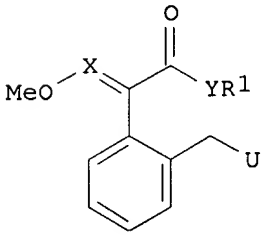
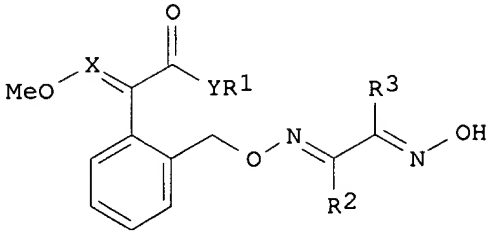


II



III



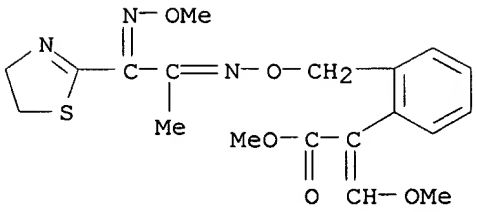
IV

AB Oxime ethers I and their isomers and isomer mixts. are claimed in which (a) X is an N atom an Y is O or NH, or (b) X is CH and Y is O; R1 is C1-C4 alkyl; R2 is H, C1-C4 alkyl, cyclopropyl or CN; R3 is CN, substituted or unsubstituted di(C1-C6 alkyl)aminocarbonyl, substituted or unsubstituted C1-C6 alkyl-S(O)n, substituted or unsubstituted aryl-S(O)n, substituted or unsubstituted heteroaryl, substituted or unsubstituted heterocyclyl or substituted or unsubstituted heterocyclylcarbonyl; and R4 is C1-C6 alkyl; C1-C6 haloalkyl having 1 to 5 halogen atoms; C1-C4 alkoxy-C1-C2 alkyl; C2-C6 alkenyl which is unsubstituted or substituted by 1 to 3 halogen atoms; C3-C6 alkynyl; C3-C6 cycloalkyl-C1-C4 alkyl which is unsubstituted or substituted by 1-4 halogen atoms, and n = 1 or 2. They are prepd. by reaction of an oxime II with a benzyl deriv. III, or by reaction of an oxime IV with a compd. of formula U-R4, where R1-R4, X and Y are as defined above and U is a leaving group. The compds. are used in microbicidal compds with suitable carriers and optional surfactants. These compds. can be used for controlling plant **diseases**, insects and pests.

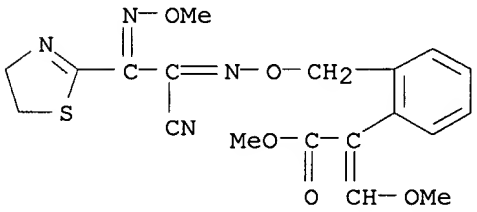
IT **179161-43-4P 179161-44-5P 179161-45-6P**
179161-46-7P 179161-47-8P 179161-48-9P
179161-49-0P 179161-72-9P 179161-73-0P
179161-94-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(N-(ortho-substituted benzyloxy)imine derivs., prepn. and use as fungicides, acaricides or insecticides)

RN 179161-43-4 CAPLUS

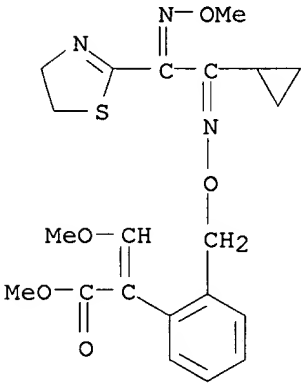
CN Benzeneacetic acid, 2-[5-(4,5-dihydro-2-thiazolyl)-4-methyl-2,7-dioxa-3,6-diazaocta-3,5-dien-1-yl]-.alpha.-(methoxymethylene)-, methyl ester (9CI) (CA INDEX NAME)



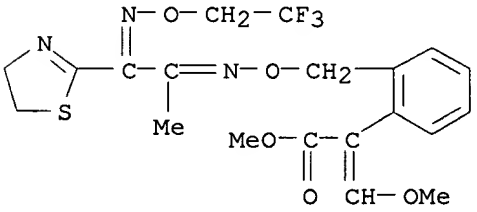
RN 179161-44-5 CAPLUS
CN Benzeneacetic acid, 2-[4-cyano-5-(4,5-dihydro-2-thiazolyl)-2,7-dioxa-3,6-diazaocta-3,5-dien-1-yl]-.alpha.-(methoxymethylene)-, methyl ester (9CI) (CA INDEX NAME)



RN 179161-45-6 CAPLUS
CN Benzeneacetic acid, 2-[4-cyclopropyl-5-(4,5-dihydro-2-thiazolyl)-2,7-dioxa-3,6-diazaocta-3,5-dien-1-yl]-.alpha.-(methoxymethylene)-, methyl ester (9CI) (CA INDEX NAME)

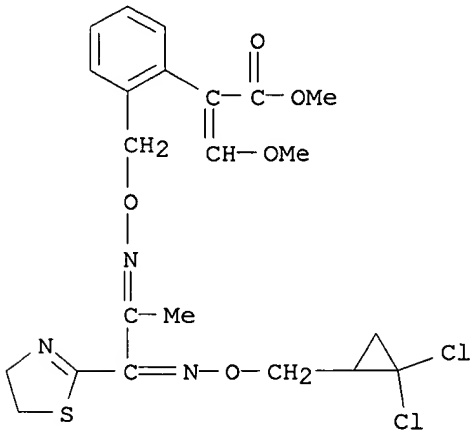


RN 179161-46-7 CAPLUS
CN Benzeneacetic acid, 2-[5-(4,5-dihydro-2-thiazolyl)-9,9,9-trifluoro-4-methyl-2,7-dioxa-3,6-diazanona-3,5-dien-1-yl]-.alpha.-(methoxymethylene)-, methyl ester (9CI) (CA INDEX NAME)

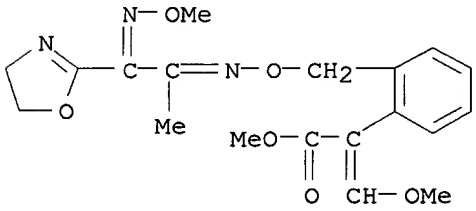


RN 179161-47-8 CAPLUS
CN Benzeneacetic acid, 2-[8-(2,2-dichlorocyclopropyl)-5-(4,5-dihydro-2-

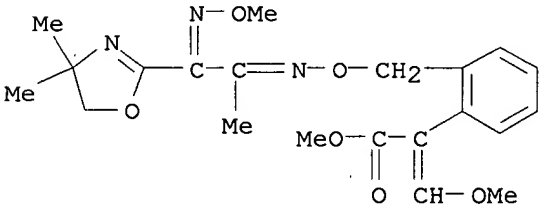
thiazolyl)-4-methyl-2,7-dioxa-3,6-diazaocta-3,5-dien-1-yl]-.alpha.-(methoxymethylene)-, methyl ester (9CI) (CA INDEX NAME)



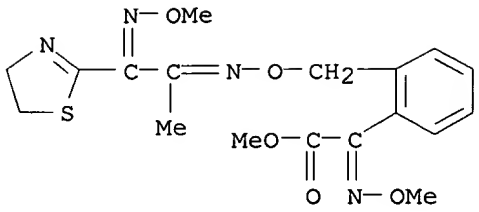
RN 179161-48-9 CAPLUS
CN Benzeneacetic acid, 2-[5-(4,5-dihydro-2-oxazolyl)-4-methyl-2,7-dioxa-3,6-diazaocta-3,5-dien-1-yl]-.alpha.-(methoxymethylene)-, methyl ester (9CI) (CA INDEX NAME)



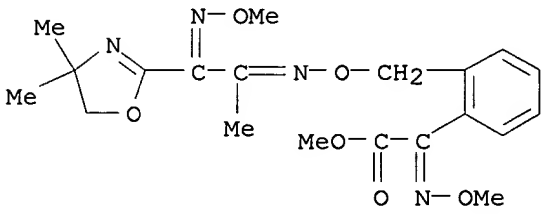
RN 179161-49-0 CAPLUS
CN Benzeneacetic acid, 2-[5-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-4-methyl-2,7-dioxa-3,6-diazaocta-3,5-dien-1-yl]-.alpha.-(methoxymethylene)-, methyl ester (9CI) (CA INDEX NAME)



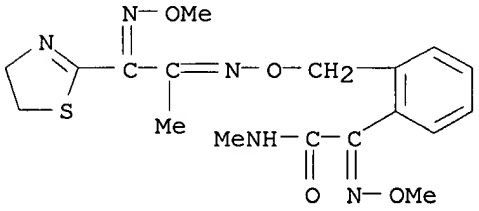
RN 179161-72-9 CAPLUS
CN Benzeneacetic acid, 2-[5-(4,5-dihydro-2-thiazolyl)-4-methyl-2,7-dioxa-3,6-diazaocta-3,5-dien-1-yl]-.alpha.-(methoxyimino)-, methyl ester (9CI) (CA INDEX NAME)



RN 179161-73-0 CAPLUS
CN Benzeneacetic acid, 2-[5-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-4-methyl-2,7-dioxa-3,6-diazaocta-3,5-dien-1-yl]-.alpha.-(methoxyimino)-, methyl ester (9CI) (CA INDEX NAME)

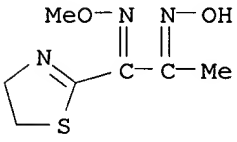


RN 179161-94-5 CAPLUS
CN Benzeneacetamide, 2-[5-(4,5-dihydro-2-thiazolyl)-4-methyl-2,7-dioxa-3,6-diazaocta-3,5-dien-1-yl]-.alpha.-(methoxyimino)-N-methyl- (9CI) (CA INDEX NAME)

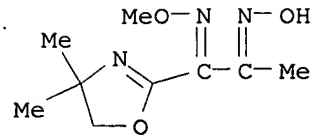


IT **179162-13-1P 179162-14-2P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(intermediate; N-(ortho-substituted benzyloxy)imine derivs., prepn. and use as fungicides, acaricides or insecticides)

RN 179162-13-1 CAPLUS
CN 1,2-Propanedione, 1-(4,5-dihydro-2-thiazolyl)-, 1-(O-methyloxime) 2-oxime (9CI) (CA INDEX NAME)



RN 179162-14-2 CAPLUS
CN 1,2-Propanedione, 1-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)-, 1-(O-methyloxime) 2-oxime (9CI) (CA INDEX NAME)



L5 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1996:428453 CAPLUS
DOCUMENT NUMBER: 125:86649
TITLE: Preparation of endothelin antagonists bearing 5-membered heterocyclic amides
INVENTOR(S): Ashton, Wallace T.; Chang, Linda L.; Greenlee, William J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 177 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9608486	A1	19960321	WO 1995-US11469	19950911
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5538991	A	19960723	US 1994-306275	19940914
AU 9535095	A1	19960329	AU 1995-35095	19950911

PRIORITY APPLN. INFO.: US 1994-306275 19940914
WO 1995-US11469 19950911
OTHER SOURCE(S): MARPAT 125:86649
GI

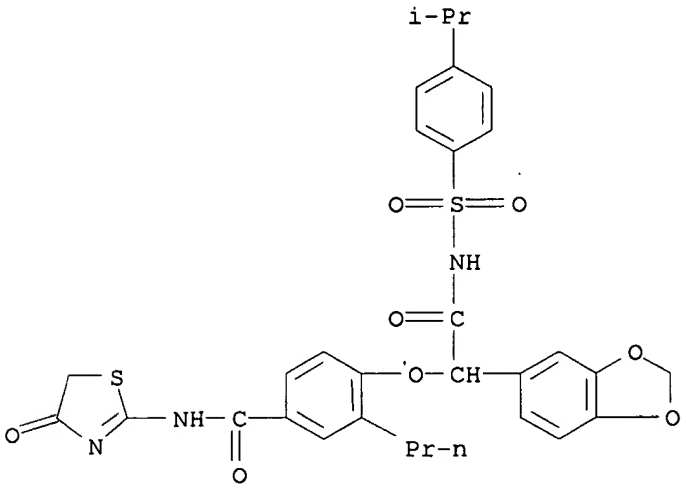
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1-R3b = H, halogen, NO2, (un)substituted NH2, CF3, Ph, etc; R8 = H, (un)substituted alkyl, (un)substituted Ph; R9, R10 = H, (un)substituted alkyl, alkenyl, alkynyl, halogen, alkoxy, Ph, etc; R12 = (un)substituted heterocyclylalkylaminocarbonyl; X = O, S(O)n, (un)substituted NH, CH2O, OCH2, direct bond, etc.; n = 0-2; Z = (un)substituted CO2H, tetrazol-5-ylaminocarbonyl, etc.], which have endothelin antagonist activity (no data) and are useful in treating cardiovascular disorders such as hypertension (no data), postischemic renal failure (no data), vasospasm (no data), cerebral and cardiac ischemia (no data), benign prostatic hyperplasia (no data), inflammatory **diseases** including Raynaud's disease (no data), and asthma (no data), are prepd. Thus, triazole deriv. II, m.p. 108-110.degree., was prepd.

IT **178620-40-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of endothelin receptor antagonists bearing 5-membered heterocyclic amides)

RN 178620-40-1 CAPLUS
CN 1,3-Benzodioxole-5-acetamide, .alpha.-[4-[[(4,5-dihydro-4-oxo-2-

thiazolyl)amino]carbonyl]-2-propylphenoxy]-N-[[4-(1-methylethyl)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)



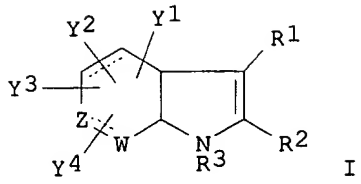
=> d l5 31-33 ibib abs hitstr

L5 ANSWER 31 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1996:353185 CAPLUS
DOCUMENT NUMBER: 125:33473
TITLE: Preparation of heterocyclic compounds useful as allosteric effectors at muscarinic receptors
INVENTOR(S): Birdsall, Nigel; Lazareno, Sebastian; Naruto, Syunji; Koyama, Kazuo; Sugimoto, Masahiko; Marumoto, Shinji
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 351 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9603377	A1	19960208	WO 1995-JP1494	19950727
W: AU, CA, CN, CZ, FI, HU, JP, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2196046	AA	19960208	CA 1995-2196046	19950727
AU 9530866	A1	19960222	AU 1995-30866	19950727
AU 686426	B2	19980205		
EP 804416	A1	19971105	EP 1995-926509	19950727
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
CN 1166169	A	19971126	CN 1995-195262	19950727
HU 76923	A2	19980128	HU 1997-248	19950727
JP 10503488	T2	19980331	JP 1995-505655	19950727
RU 2152385	C1	20000710	RU 1997-102695	19950727
NO 9700308	A	19970325	NO 1997-308	19970124
FI 9700328	A	19970327	FI 1997-328	19970127
US 5877199	A	19990302	US 1997-791499	19970127

PRIORITY APPLN. INFO.: GB 1994-15175 A 19940727
GB 1994-23948 A 19941125
WO 1995-JP1494 W 19950727
OTHER SOURCE(S): MARPAT 125:33473

GI



I

AB Title compds. [I; 1 of R1,R2 = H, alkyl, alkanoyl, aryl, etc. and the other = H, alkyl, aryl(alkyl); R3 = H, amino-protective group; 1 of Y1-Y4 = CO2H, SO2NH2, carboxyalkyl(oxy), etc. and the others = H, halo, alkyl, alkoxy, etc.; W = CH2, CH, SO0-2; Z = CH2,CH, NH, N; dashed line = optional bond] were prepd. Data for effect of prepd. I on acetylcholine binding were given.

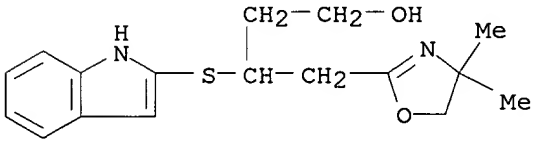
IT **177548-69-5P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclic compds. useful as allosteric effectors at muscarinic receptors)

RN 177548-69-5 CAPLUS

CN 2-Oxazolebutanol, 4,5-dihydro-.gamma.-(1H-indol-2-ylthio)-4,4-dimethyl- (9CI) (CA INDEX NAME)



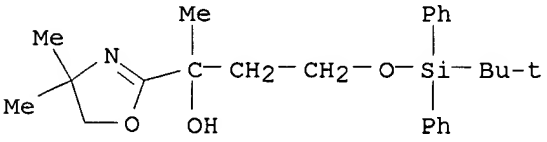
IT **177548-68-4P 177550-21-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of heterocyclic compds. useful as allosteric effectors at muscarinic receptors)

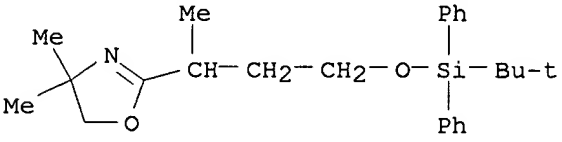
RN 177548-68-4 CAPLUS

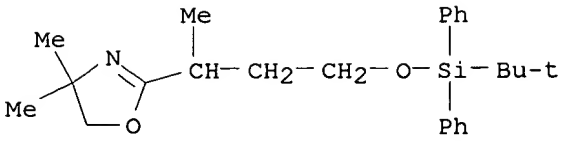
CN 2-Oxazolemethanol, .alpha.-[2-[[(1,1-dimethylethyl)diphenylsilyl]oxy]ethyl]-4,5-dihydro-.alpha.,4,4-trimethyl- (9CI) (CA INDEX NAME)



RN 177550-21-9 CAPLUS

CN Oxazole, 2-[3-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-1-methylpropyl]-4,5-dihydro-4,4-dimethyl- (9CI) (CA INDEX NAME)

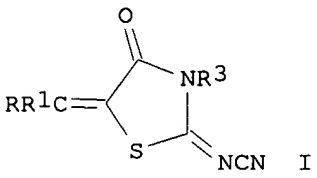




L5 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1996:298393 CAPLUS
DOCUMENT NUMBER: 124:343290
TITLE: Preparation of 5-alkylidene-2-(N-cyanoimino)thiazolidin-4-ones as aldose reductase inhibitors
INVENTOR(S): Fumio, Yoneda; Mayumi, Watanabe; Masatoshi, Sakae; Masanori, Katurada; Takaaki, Sabato
PATENT ASSIGNEE(S): Fujimoto Pharmaceutical Co, Ltd, Japan
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 697410	A1	19960221	EP 1995-304416	19950623
R: BE, DE, FR, GB, IT, SE				
JP 08041040	A2	19960213	JP 1994-209067	19940729
US 5750712	A	19980512	US 1995-493152	19950621

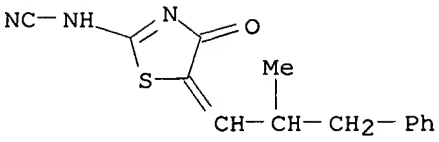
PRIORITY APPLN. INFO.: JP 1994-209067 19940729
OTHER SOURCE(S): MARPAT 124:343290
GI



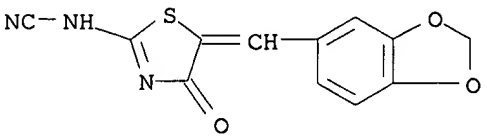
AB Title compds. [I; R = (Z)-R2(CH:CR1)n][II; each R1 independently = H or alkyl; R2 = (un)substituted Ph, naphthyl; R3 = H, alkyl, CH2CO2R4; R4 = H or alkyl; n = 0 or 1] were prepd. Thus, 2-(N-cyanoimino)thiazolidin-4-one K salt was condensed with vanillin to give II (R1 = R3 = H, R2 = 4-hydroxy-3-methoxyphenyl, n = 0) which gave 100% inhibition of aldose reductase at 1.0x10-7M in vitro.

IT **176529-65-0P 176529-68-3P 176529-69-4P 176529-70-7P 176529-71-8P 176529-72-9P 176529-73-0P 176529-74-1P 176529-75-2P 176529-76-3P 176529-77-4P 176529-78-5P 176529-79-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-alkylidene-2-(N-cyanoimino)thiazolidin-4-ones as aldose reductase inhibitors)

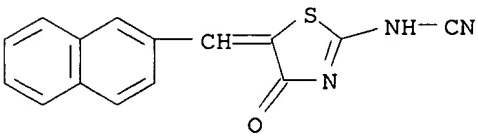
RN 176529-65-0 CAPLUS
CN Cyanamide, [4,5-dihydro-5-(2-methyl-3-phenylpropylidene)-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)



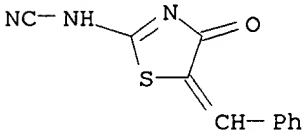
RN 176529-68-3 CAPLUS
CN Cyanamide, [5-(1,3-benzodioxol-5-ylmethylene)-4,5-dihydro-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)



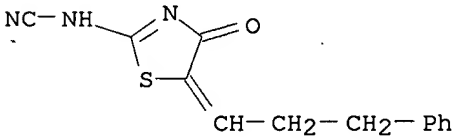
RN 176529-69-4 CAPLUS
CN Cyanamide, [4,5-dihydro-5-(2-naphthalenylmethylene)-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)



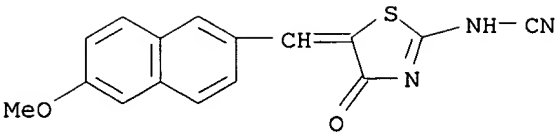
RN 176529-70-7 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-(phenylmethylene)-2-thiazolyl]- (9CI) (CA
INDEX NAME)



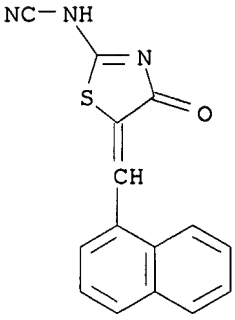
RN 176529-71-8 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-(3-phenylpropylidene)-2-thiazolyl]- (9CI) (CA INDEX NAME)



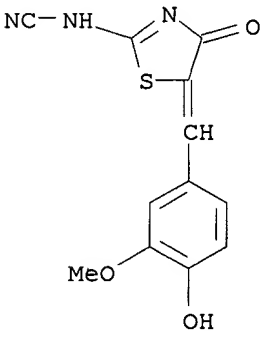
RN 176529-72-9 CAPLUS
CN Cyanamide, [4,5-dihydro-5-[(6-methoxy-2-naphthalenyl)methylene]-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)



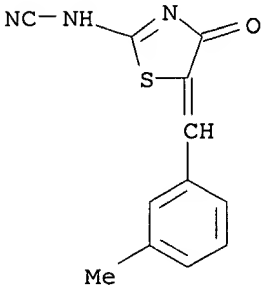
RN 176529-73-0 CAPLUS
CN Cyanamide, [4,5-dihydro-5-(1-naphthalenylmethylene)-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)



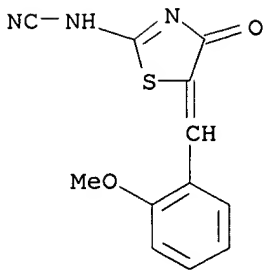
RN 176529-74-1 CAPLUS
CN Cyanamide, [4,5-dihydro-5-[(4-hydroxy-3-methoxyphenyl)methylene]-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)



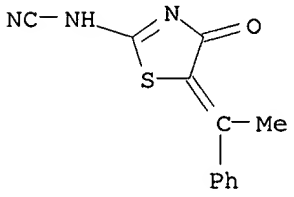
RN 176529-75-2 CAPLUS
CN Cyanamide, [4,5-dihydro-5-[(3-methylphenyl)methylene]-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 176529-76-3 CAPLUS
CN Cyanamide, [4,5-dihydro-5-[(2-methoxyphenyl)methylene]-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

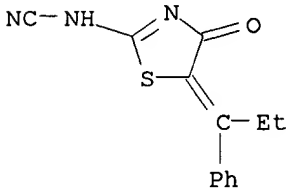


RN 176529-77-4 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-(1-phenylethylidene)-2-thiazolyl]-, potassium salt (9CI) (CA INDEX NAME)

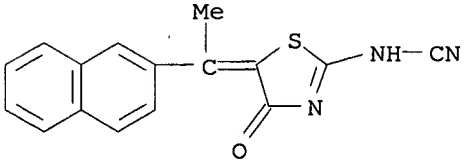


● K

RN 176529-78-5 CAPLUS
CN Cyanamide, [4,5-dihydro-4-oxo-5-(1-phenylpropylidene)-2-thiazolyl]- (9CI) (CA INDEX NAME)

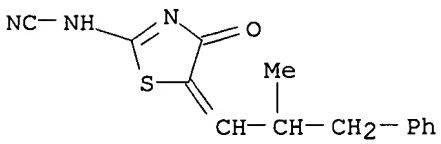


RN 176529-79-6 CAPLUS
CN Cyanamide, [4,5-dihydro-5-[1-(2-naphthalenyl)ethylidene]-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)

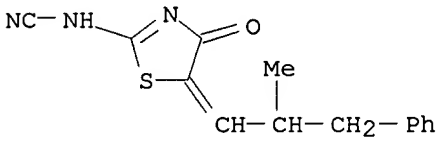


IT **176529-80-9 176529-81-0 176529-82-1**
176529-83-2, 2-(N-Cyanoimino)thiazolidin-4-one
RL: RCT (Reactant)
(prepn. of 5-alkylidene-2-(N-cyanoimino)thiazolidin-4-ones as aldose reductase inhibitors)
RN 176529-80-9 CAPLUS

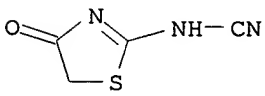
CN Cyanamide, [4,5-dihydro-5-(2-methyl-3-phenylpropylidene)-4-oxo-2-thiazolyl]-, potassium salt (9CI) (CA INDEX NAME)



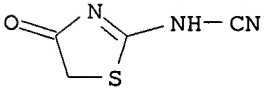
RN 176529-81-0 CAPLUS
CN Cyanamide, [4,5-dihydro-5-(2-methyl-3-phenylpropylidene)-4-oxo-2-thiazolyl]-, ammonium salt (9CI) (CA INDEX NAME)



RN 176529-82-1 CAPLUS
CN Cyanamide, (4,5-dihydro-4-oxo-2-thiazolyl)-, potassium salt (9CI) (CA INDEX NAME)



RN 176529-83-2 CAPLUS
CN Cyanamide, (4,5-dihydro-4-oxo-2-thiazolyl)- (9CI) (CA INDEX NAME)

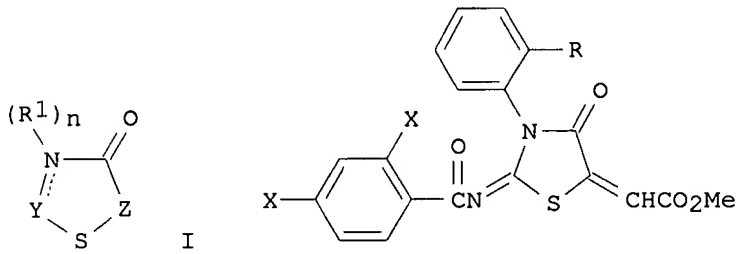


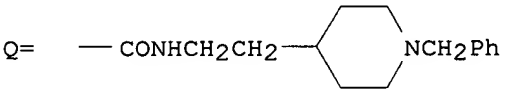
L5 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: 1996:130808 CAPLUS
DOCUMENT NUMBER: 124:176081
TITLE: Preparation of 1,3-thiazolidin-4-one derivatives and analogs as thrombin receptor antagonists
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 35 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07285952	A2	19951031	JP 1995-67197	19950327
PRIORITY APPLN. INFO.:			GB 1994-7018	19940408
			GB 1994-17443	19940830

OTHER SOURCE(S): MARPAT 124:176081
GI

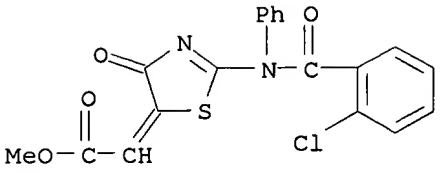




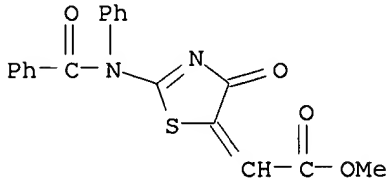
AB The title compds. [I; R1 = lower alkyl, aryl-lower alkyl, lower cycloalkyl, heterocyclyl, acylheterocyclyl, (un)substituted aryl; Y = R2-W:C, R3R4NC, CO; wherein R2 = acyl; W = N, CH; R3 = acyl; R4 = aryl; Z = C:CHR5, CHR7; wherein R5 = (un)protected CO2H, (un)protected amino-lower alkoxycarbonyl, acyl, (un)substituted aryl, heterocyclyloxy; R7 = H, (un)protected carboxy-lower alkyl; n = 0,1], useful for the treatment of the thrombin receptor-mediated **diseases**, e.g. thrombotic **diseases**, angina pectoris, heart disorder after implantation of a heart pace maker, valvular heart disease after replacement of an artificial heart vulvae, lung infarction, Raynaud syndrome, nephritis, inflammation, and arteriosclerosis, are prepd. Thus, 0.29 mL di-Me butynedioate was added to a suspension of 0.50 g 1-benzoyl-3-phenylthiourea in MeOH and the resulting mixt. was refluxed for 3 h to give the title compd. (II; R = X = H). II (R = Q, X = Cl) showed IC50 of 2.2 .times. 10-6 M for inhibiting the blood platelet aggregation of human platelet rich plasma which was induced by thrombin receptor agonist peptide.

IT **173904-58-0P 173904-82-0P 173904-83-1P 173904-84-2P 173904-89-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thiazolidinone derivs. and analogs as thrombin receptor antagonists)

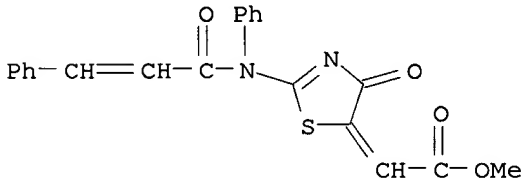
RN 173904-58-0 CAPLUS
CN Acetic acid, [2-[(2-chlorobenzoyl)phenylamino]-4-oxo-5(4H)-thiazolylidene]-, methyl ester (9CI) (CA INDEX NAME)



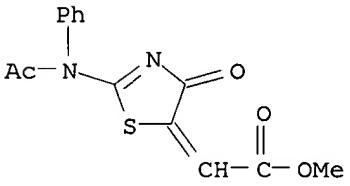
RN 173904-82-0 CAPLUS
CN Acetic acid, [2-(benzoylphenylamino)-4-oxo-5(4H)-thiazolylidene]-, methyl ester (9CI) (CA INDEX NAME)



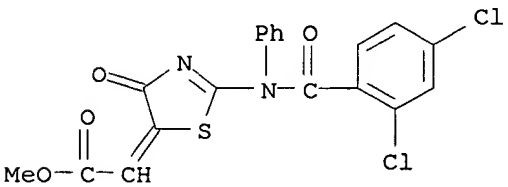
RN 173904-83-1 CAPLUS
CN Acetic acid, [4-oxo-2-[(1-oxo-3-phenyl-2-propenyl)phenylamino]-5(4H)-thiazolylidene]-, methyl ester (9CI) (CA INDEX NAME)



RN 173904-84-2 CAPLUS
CN Acetic acid, [2-(acetylphenylamino)-4-oxo-5(4H)-thiazolylidene]-, methyl ester (9CI) (CA INDEX NAME)



RN 173904-89-7 CAPLUS
CN Acetic acid, [2-[(2,4-dichlorobenzoyl)phenylamino]-4-oxo-5(4H)-thiazolylidene]-, methyl ester (9CI) (CA INDEX NAME)



=>

---Logging off of STN---

=>
Executing the logoff script...

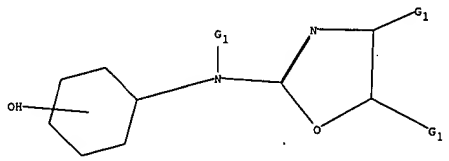
=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	178.30	312.94

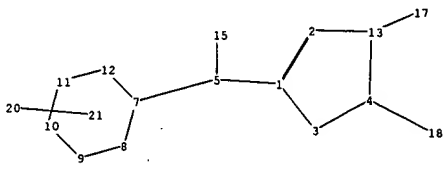
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-24.11	-24.11

STN INTERNATIONAL LOGOFF AT 17:16:59 ON 27 DEC 2001

C:\Program Files\Stnexp\Queries\09530807f.str



16



chain nodes :
5 15 16 17 18 20
ring nodes :
1 2 3 4 7 8 9 10 11 12 13
chain bonds :
1-5 4-18 5-7 5-15 13-17 16-16 16-16
ring bonds :
1-2 1-3 2-13 3-4 4-13 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
1-2 1-3 1-5 2-13 3-4 4-13 4-18 5-7 5-15 7-8 7-12 8-9 9-10 10-11 11-12
13-17 16-16 16-16
isolated ring systems :
containing 1 : 7 :

G1:H,Ak

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 20:CLASS 21:CLASS